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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:14:35 ON 09 MAR 2009

=> fil reg
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   0.22   0.22

FILE 'REGISTRY' ENTERED AT 11:14:48 ON 09 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0
DICTIONARY FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
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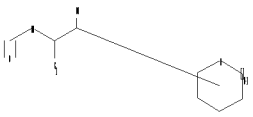
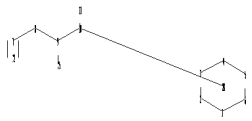
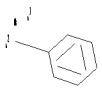
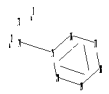
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10599125.str

chain nodes :
7 8 9 10 11 12 13 14 25
ring nodes :
1 2 3 4 5 6 15 16 17 18 19 20
chain bonds :
7-8 7-12 8-9 9-10 9-25 10-11 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-25 10-11
exact bonds :
9-10 14-15
normalized bonds :
15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 15 :

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 25:CLASS 28:Atom

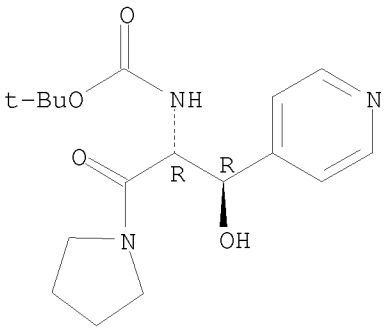
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

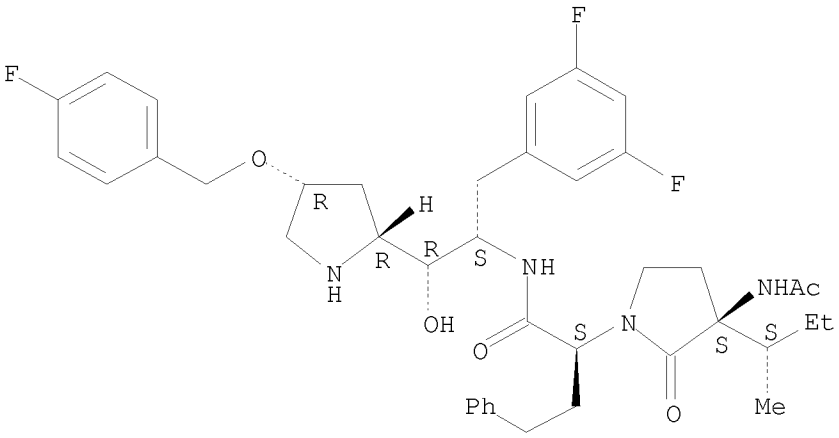
```
=> s l1
SAMPLE SEARCH INITIATED 11:15:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    61528 TO ITERATE

  3.3% PROCESSED     2000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1215765 TO  1245355
PROJECTED ANSWERS:             760 TO     1700

L2            2 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:15:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1232660 TO ITERATE

 69.0% PROCESSED   850755 ITERATIONS                               407 ANSWERS

 81.1% PROCESSED  1000000 ITERATIONS                               807 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.30

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1232660 TO  1232660
PROJECTED ANSWERS:             900 TO     1088

L3          807 SEA SSS FUL L1

=> d scan

L3   807 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   Carbamic acid, N-[(1R)-1-[(R)-hydroxy-4-pyridinylmethyl]-2-oxo-2-(1-
     pyrrolidinyl)ethyl]-, 1,1-dimethylethyl ester, rel-
MF   C17 H25 N3 O4

Relative stereochemistry.
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):50

L3   807 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
```

IN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(4-fluorophenyl)methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)-
MF C40 H49 F3 N4 O5

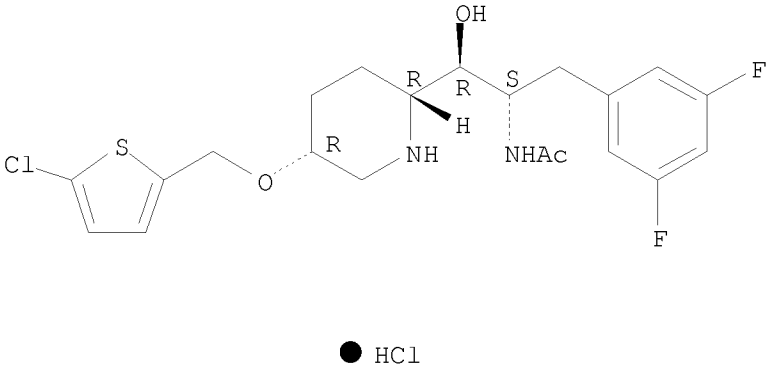
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(5-chloro-2-thienyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1)
MF C21 H25 Cl F2 N2 O3 S . Cl H

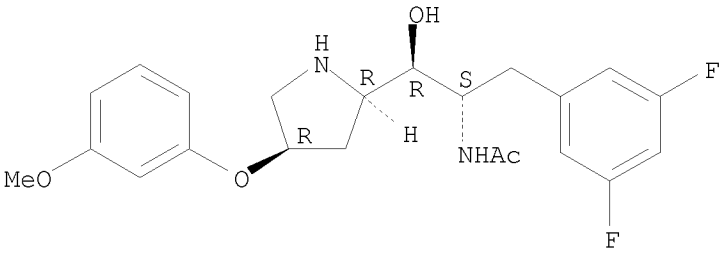
Absolute stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-
MF C22 H26 F2 N2 O4
CI COM

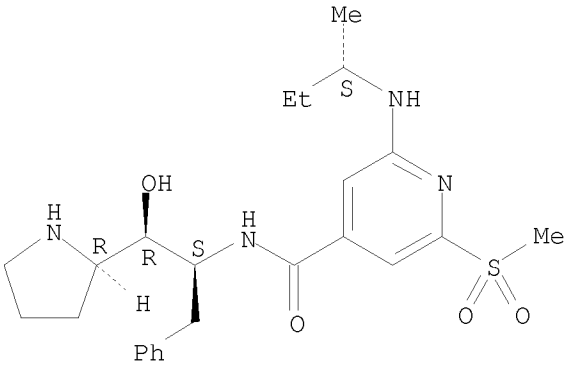
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-2-[[(1S)-1-methylpropyl]amino]-6-(methylsulfonyl)-, hydrochloride (1:?)
MF C24 H34 N4 O4 S . x Cl H

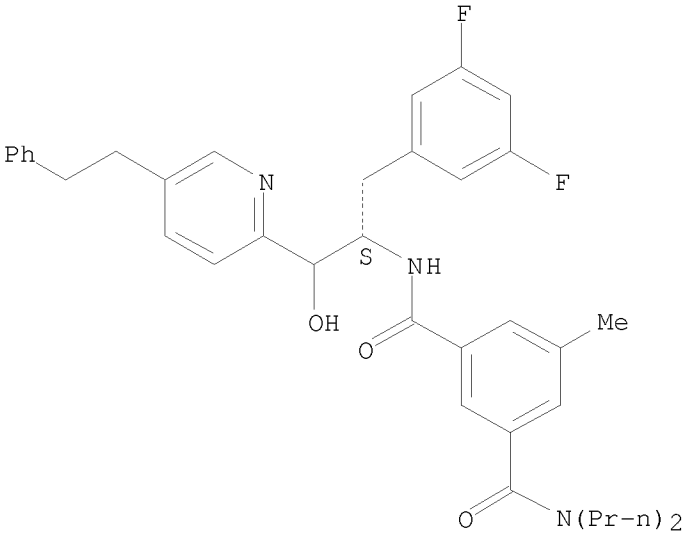
Absolute stereochemistry.



●x HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(2-phenylethyl)-2-pyridinyl]ethyl]-5-methyl-N1,N1-dipropyl-
MF C37 H41 F2 N3 O3

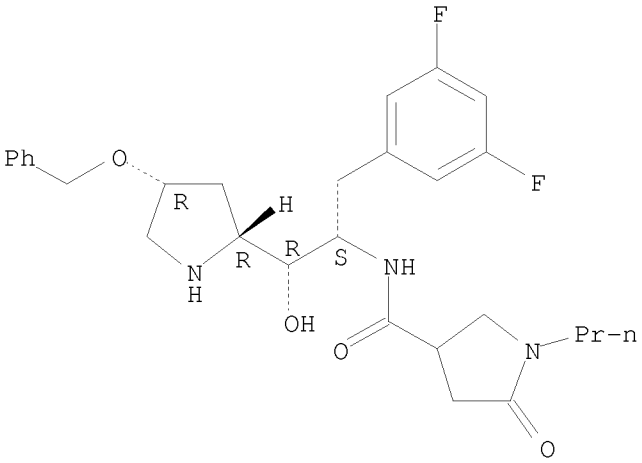
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-propyl-
MF C28 H35 F2 N3 O4

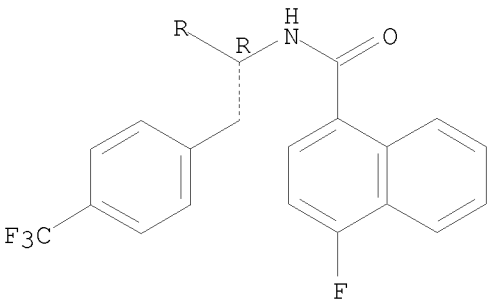
Absolute stereochemistry.

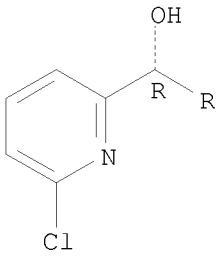


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Naphthalenecarboxamide, N-[(1R,2R)-2-(6-chloro-2-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-4-fluoro-, rel-
MF C26 H19 Cl F4 N2 O2

Relative stereochemistry.

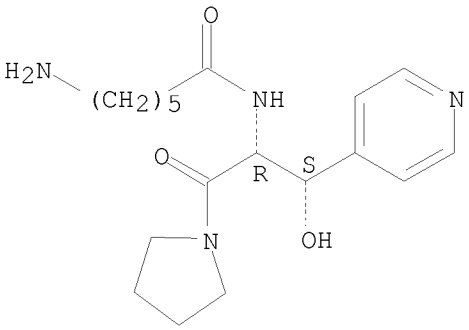




PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

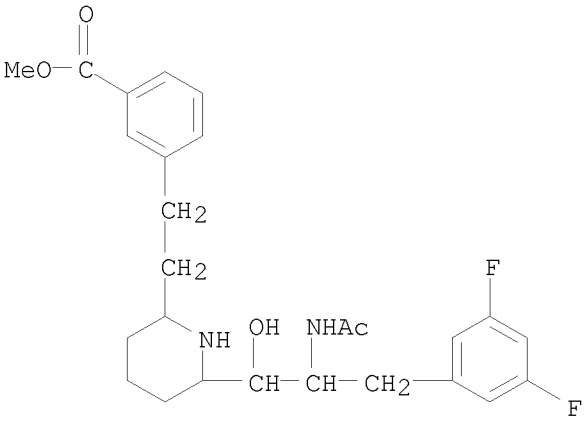
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Hexanamide, 6-amino-N-[(1R)-1-[(S)-hydroxy-4-pyridinylmethyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:2), rel-
MF C18 H28 N4 O3 . 2 Cl H

Relative stereochemistry.



●2 HCl

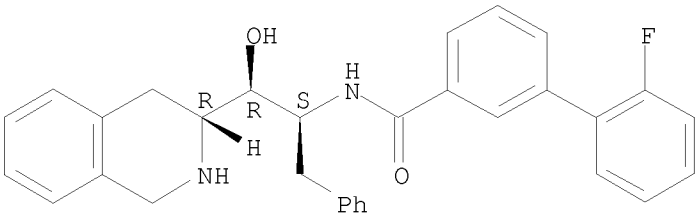
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzoic acid, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]-, methyl ester
MF C26 H32 F2 N2 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN [1,1'-Biphenyl]-3-carboxamide, 2'-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-
MF C31 H29 F N2 O2
CI COM

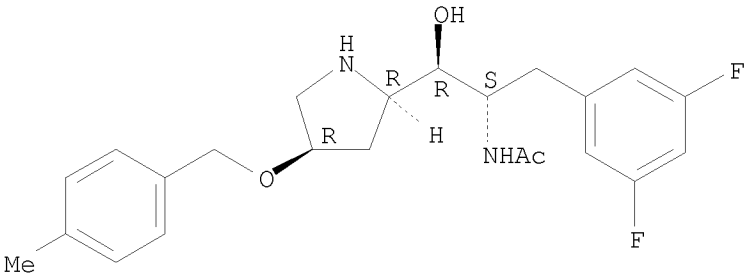
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(4-methylphenyl)methoxy]-2-pyrrolidinyl]ethyl]-
MF C23 H28 F2 N2 O3
CI COM

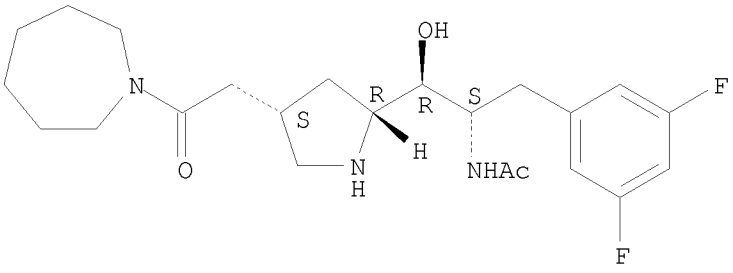
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethyl]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1)
MF C23 H33 F2 N3 O3 . Cl H

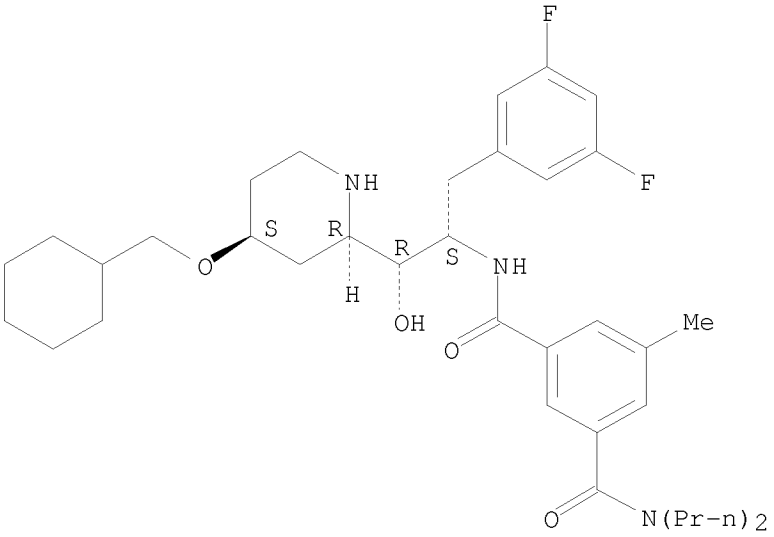
Absolute stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[(2R,4S)-4-(cyclohexylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl-
MF C36 H51 F2 N3 O4

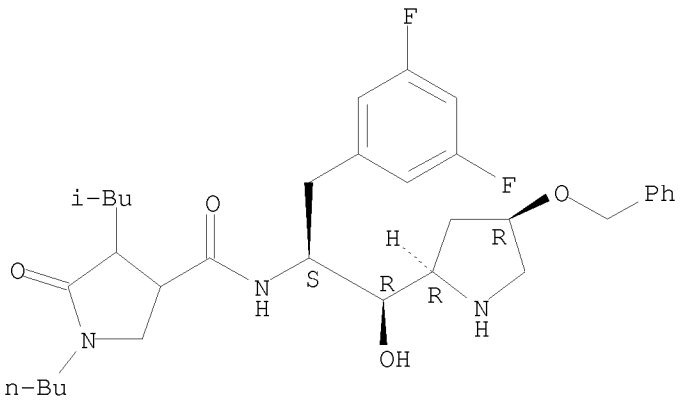
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-4-(2-methylpropyl)-5-oxo-
MF C33 H45 F2 N3 O4

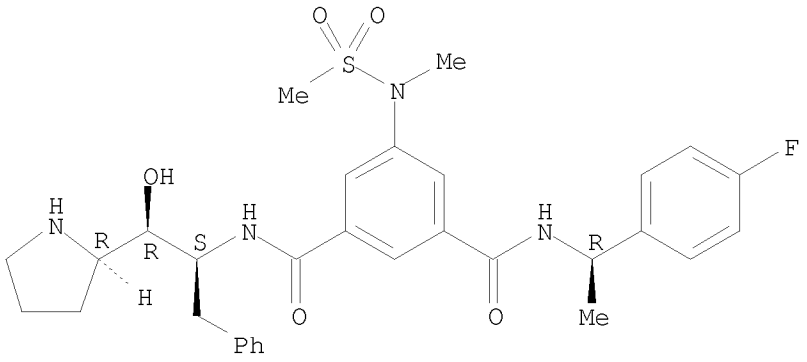
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N1-[(1R)-1-(4-fluorophenyl)ethyl]-N3-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-5-[methyl(methylsulfonyl)amino]-
MF C31 H37 F N4 O5 S
CI COM

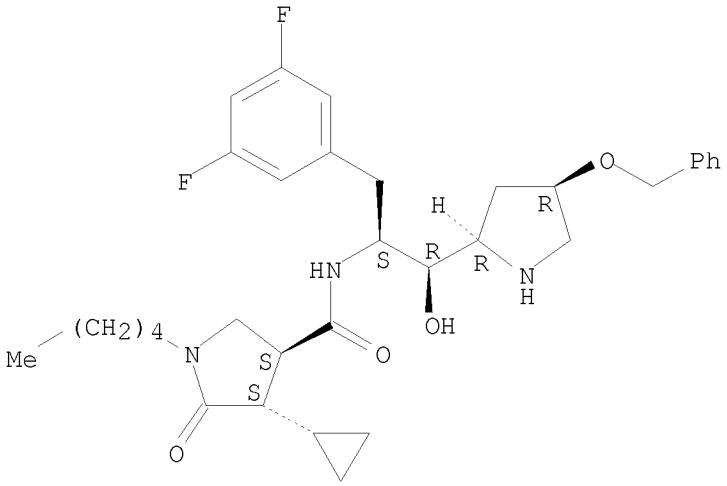
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyrrolidinecarboxamide, 4-cyclopropyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-pentyl-, (3S,4S)-
MF C33 H43 F2 N3 O4

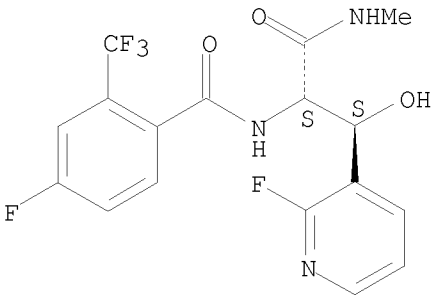
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyridinepropanamide, 2-fluoro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βR)-rel-
MF C17 H14 F5 N3 O3

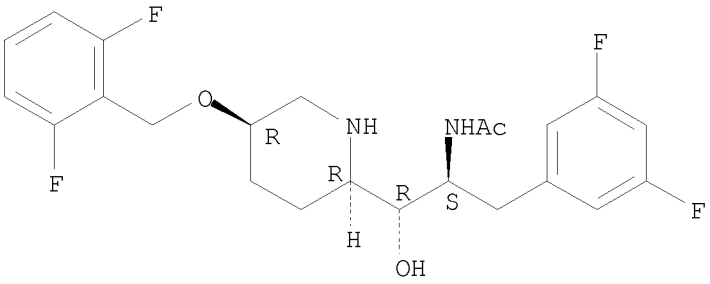
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2,6-difluorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-
MF C23 H26 F4 N2 O3
CI COM

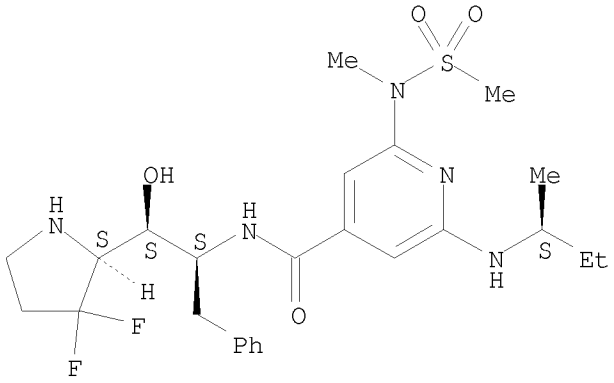
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Pyridinecarboxamide, N-[(1S,2S)-2-[(2S)-3,3-difluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:1)
MF C25 H35 F2 N5 O4 S . Cl H

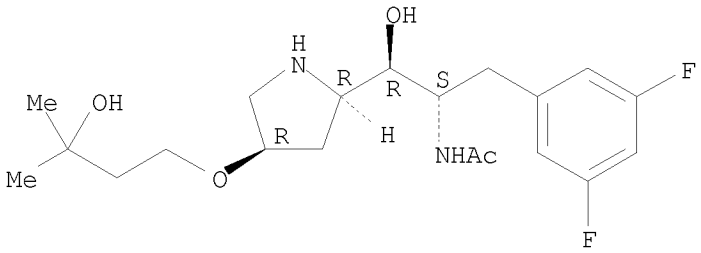
Absolute stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-hydroxy-3-methylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1)
MF C20 H30 F2 N2 O4 . Cl H

Absolute stereochemistry.



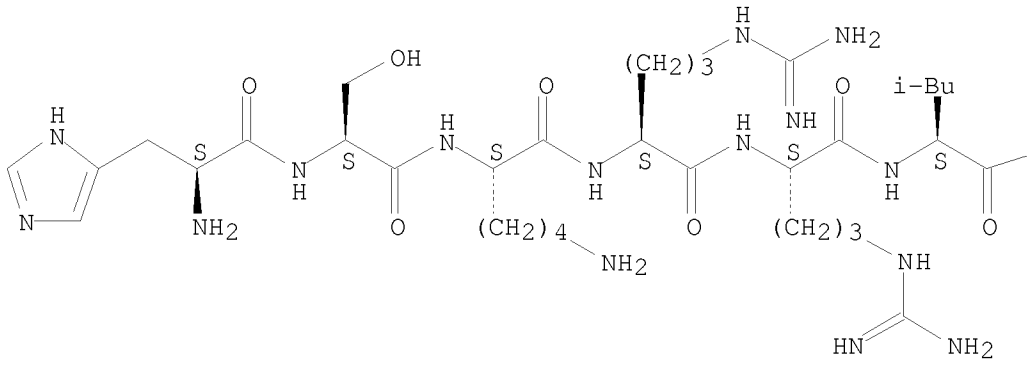
● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN L-Serine, L-histidyl-L-seryl-L-lysyl-L-arginyl-L-arginyl-L-leucyl-L-isoleucyl-3-(3-pyridinyl)-, (3R)- (9CI)
SQL 8
MF C47 H80 N18 O11

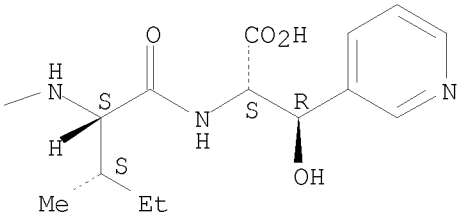
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



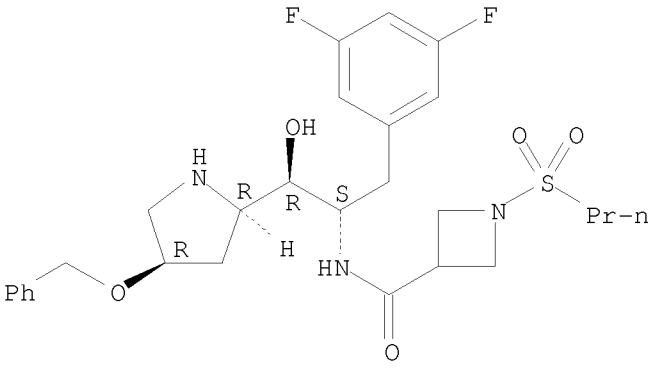
PAGE 1-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Azetidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(propylsulfonyl)-
MF C27 H35 F2 N3 O5 S

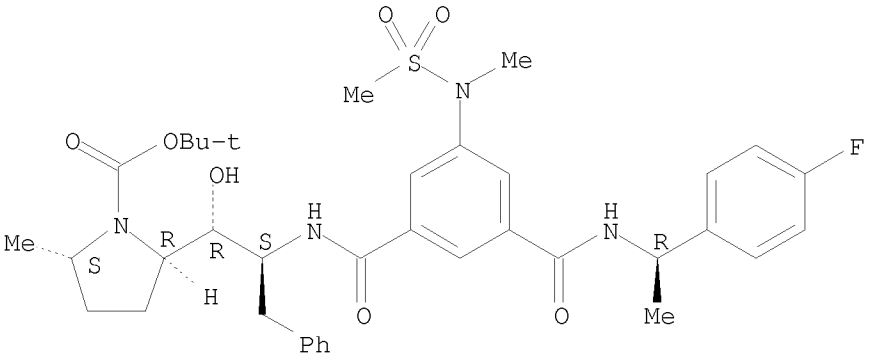
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Pyrrolidinecarboxylic acid, 2-[(1R,2S)-2-[[3-[[[(1R)-1-(4-fluorophenyl)ethyl]amino]carbonyl]-5-[methyl(methylsulfonyl)amino]benzoyl]amino]-1-hydroxy-3-phenylpropyl]-5-methyl-, 1,1-dimethylethyl ester, (2R,5S)-
MF C37 H47 F N4 O7 S

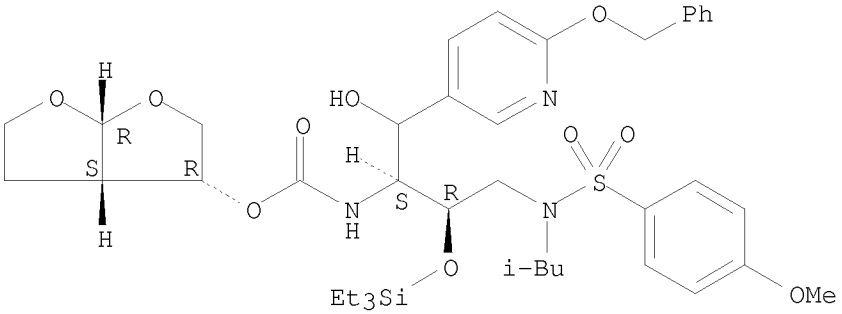
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C40 H57 N3 O10 S Si

Absolute stereochemistry.

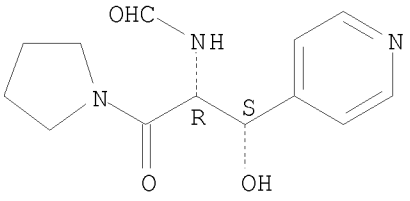


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Formamide, N-[(1R)-1-[(S)-hydroxy-4-pyridinylmethyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, hydrochloride (1:1), rel-

MF C13 H17 N3 O3 . Cl H

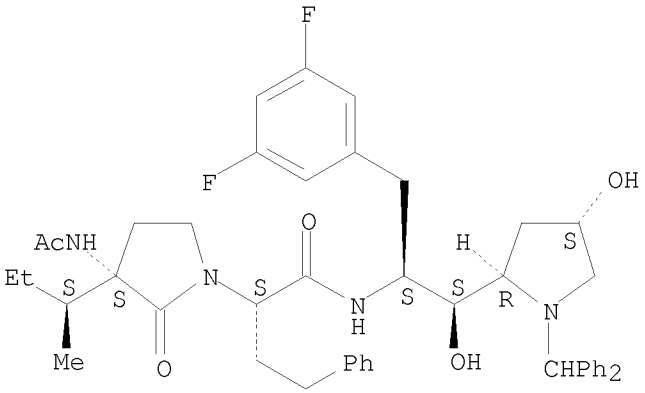
Relative stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-hydroxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)-
MF C46 H54 F2 N4 O5

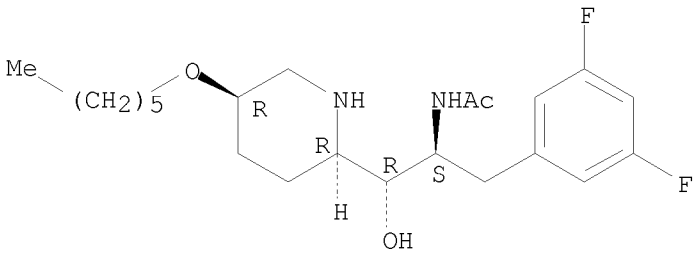
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(hexyloxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1)
MF C22 H34 F2 N2 O3 . Cl H

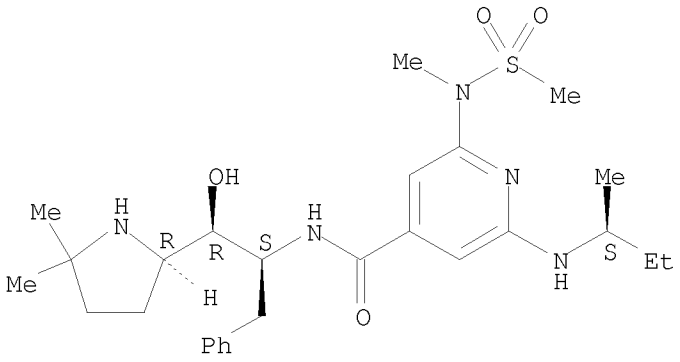
Absolute stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Pyridinecarboxamide, N-[(1S,2R)-2-[(2R)-5,5-dimethyl-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-
MF C27 H41 N5 O4 S
CI COM

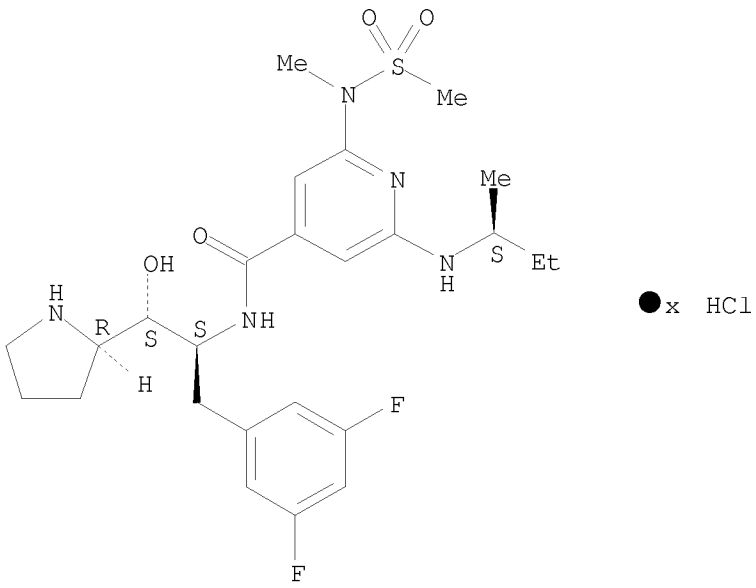
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

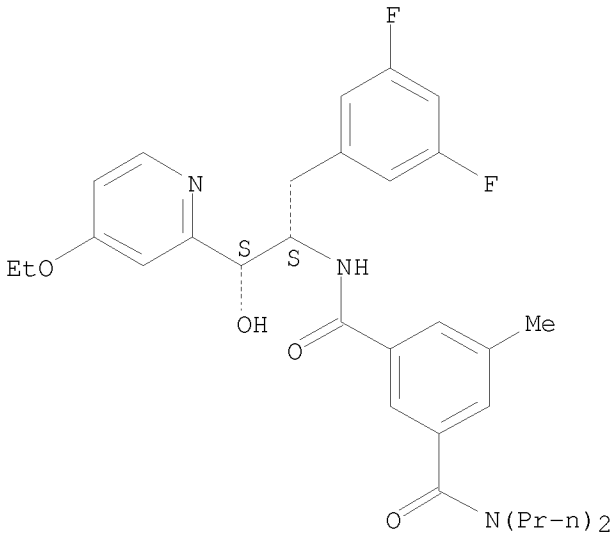
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Pyridinecarboxamide, N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?)
MF C25 H35 F2 N5 O4 S . x Cl H

Absolute stereochemistry.



L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N3-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-(4-ethoxy-2-pyridinyl)-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl-
MF C31 H37 F2 N3 O4

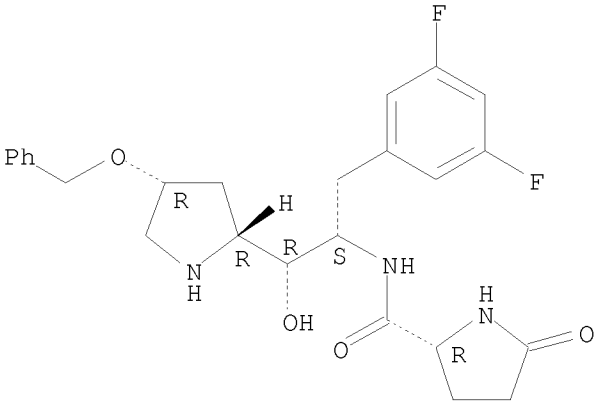
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-, (2R)-
MF C25 H29 F2 N3 O4

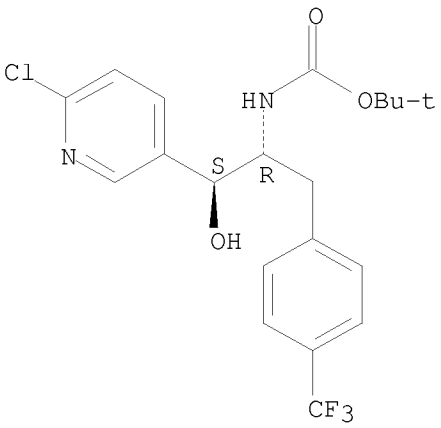
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Carbamic acid, [(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel-(9CI)
MF C20 H22 Cl F3 N2 O3

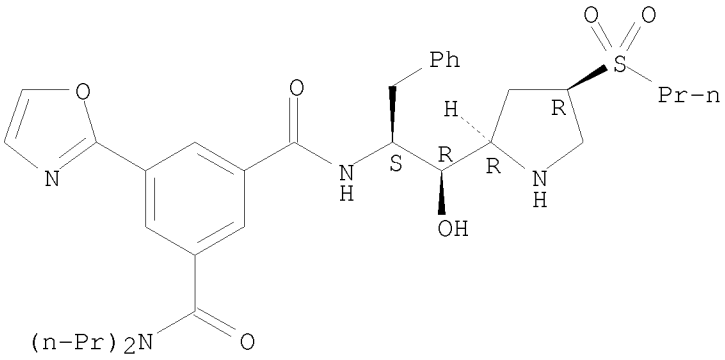
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

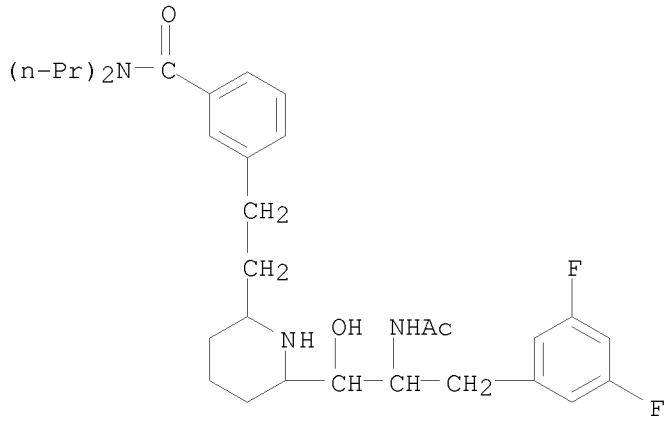
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-[(2R,4R)-4-(propylsulfonyl)-2-pyrrolidinyl]ethyl]-5-(2-oxazolyl)-N1,N1-dipropyl-
MF C33 H44 N4 O6 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

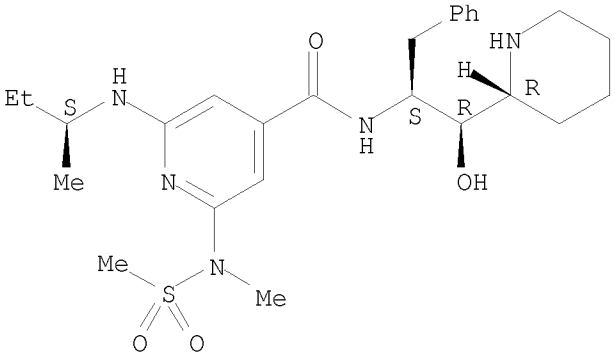
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]-N,N-dipropyl-
MF C31 H43 F2 N3 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-piperidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-
MF C26 H39 N5 O4 S
CI COM

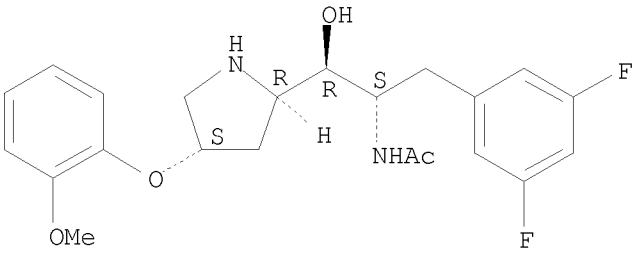
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-
MF C22 H26 F2 N2 O4
CI COM

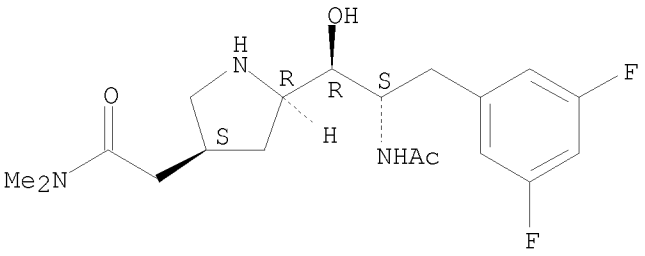
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-dimethyl-, hydrochloride (1:1), (3S,5R)-
MF C19 H27 F2 N3 O3 . Cl H

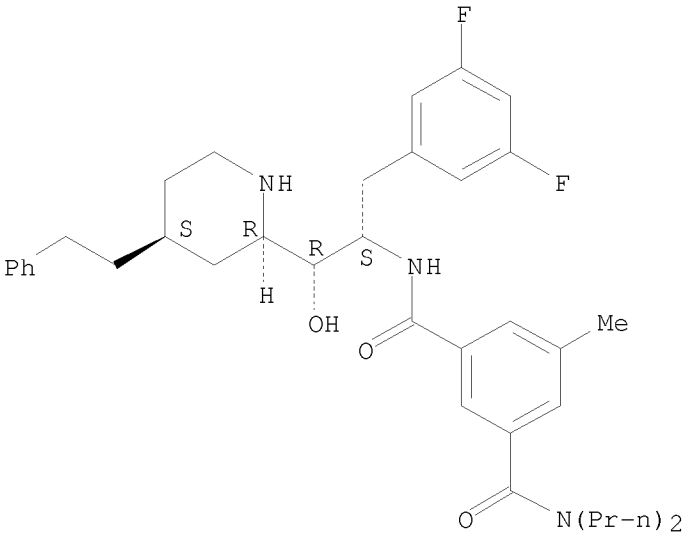
Absolute stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-phenylethyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl-
MF C37 H47 F2 N3 O3

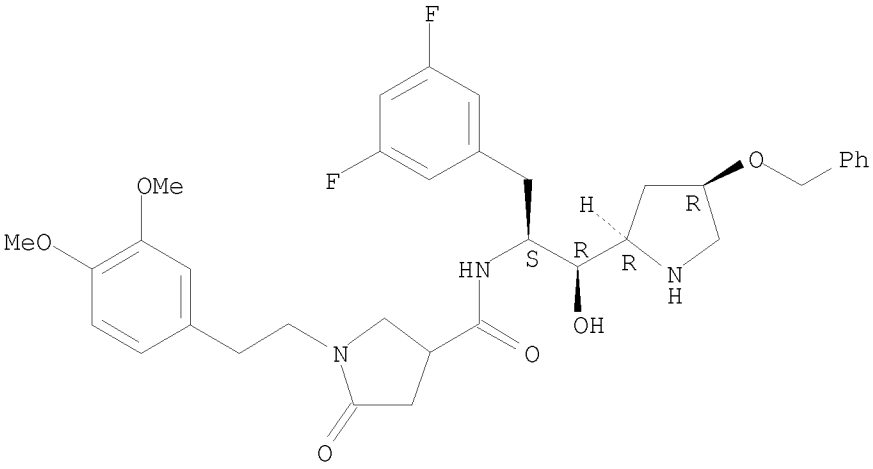
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[2-(3,4-dimethoxyphenyl)ethyl]-5-oxo-
MF C35 H41 F2 N3 O6

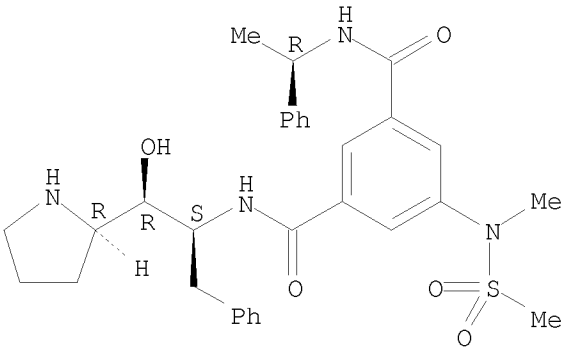
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1,3-Benzenedicarboxamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]-
MF C31 H38 N4 O5 S
CI COM

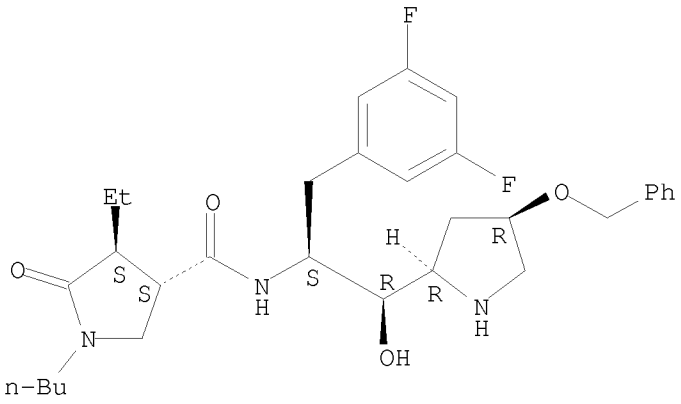
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-4-ethyl-5-oxo-, (3S,4S)-
MF C31 H41 F2 N3 O4

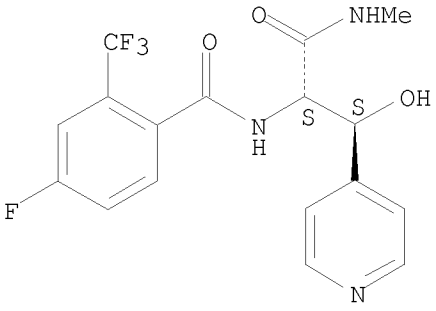
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 4-Pyridinepropanamide, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βR)-rel-
MF C17 H15 F4 N3 O3

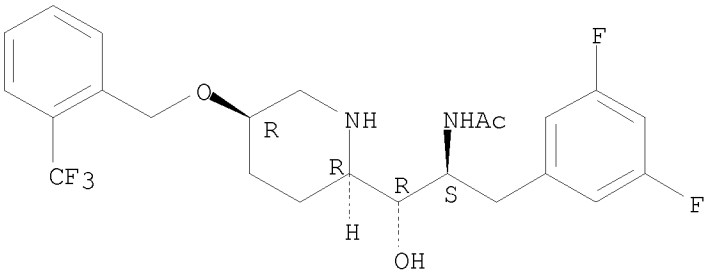
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[[2-(trifluoromethyl)phenyl]methoxy]-2-piperidinyl]ethyl]-
MF C24 H27 F5 N2 O3
CI COM

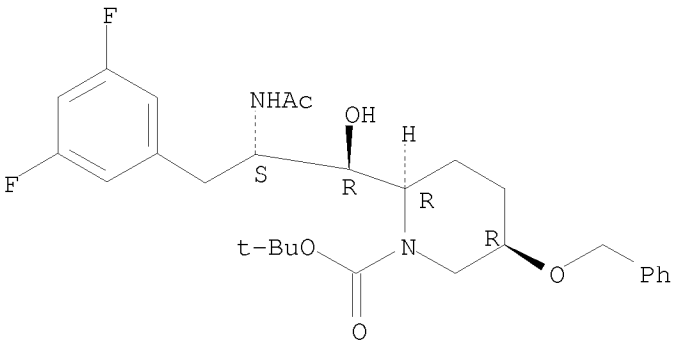
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Piperidinecarboxylic acid, 2-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,5R)-
MF C28 H36 F2 N2 O5

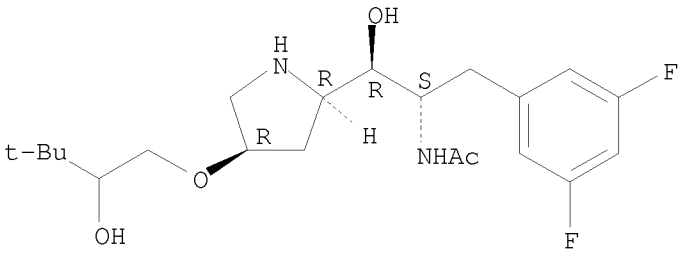
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-hydroxy-3,3-dimethylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1)
MF C21 H32 F2 N2 O4 . Cl H

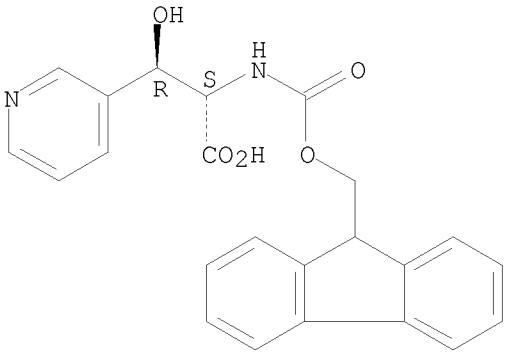
Absolute stereochemistry.



● HCl

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Pyridinepropanoic acid, α-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-β-hydroxy-, (αS,βR)- (9CI)
MF C23 H20 N2 O5

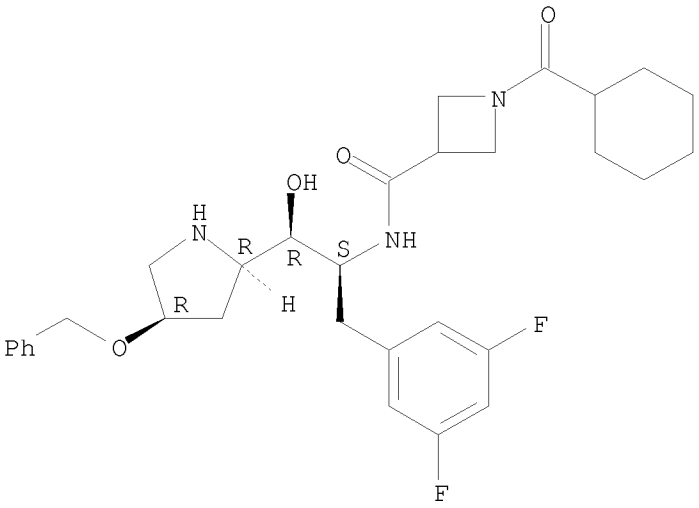
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

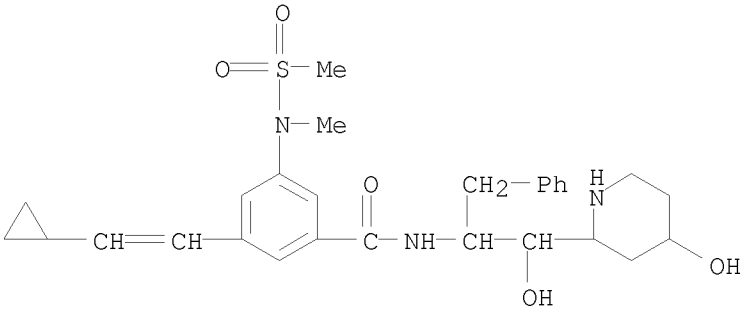
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 3-Azetidinecarboxamide, 1-(cyclohexylcarbonyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-
MF C31 H39 F2 N3 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

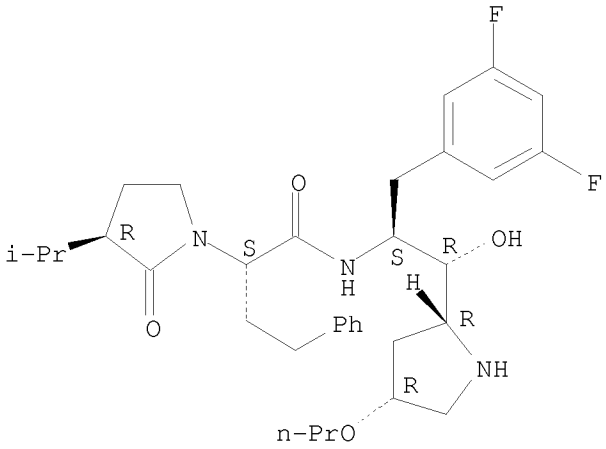
L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, 3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
MF C28 H37 N3 O5 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
MF C33 H45 F2 N3 O4

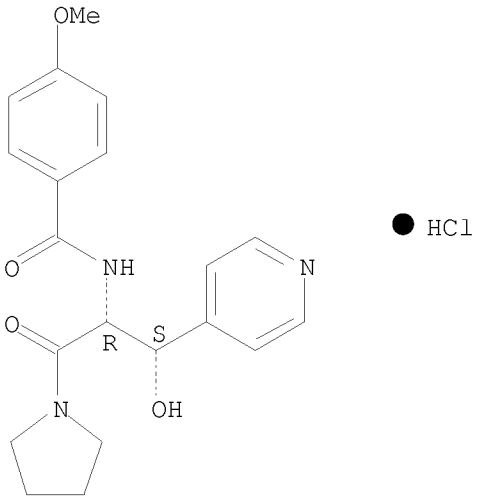
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 807 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Benzamide, N-[(1R)-1-[(S)-hydroxy-4-pyridinylmethyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-4-methoxy-, hydrochloride (1:1), rel-
MF C20 H23 N3 O4 . Cl H

Relative stereochemistry.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.84	187.06

FILE 'CAPLUS' ENTERED AT 11:16:35 ON 09 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 9 Mar 2009 VOL 150 ISS 11
FILE LAST UPDATED: 8 Mar 2009 (20090308/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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=> d his

     (FILE 'HOME' ENTERED AT 11:14:35 ON 09 MAR 2009)

     FILE 'REGISTRY' ENTERED AT 11:14:48 ON 09 MAR 2009
L1              STRUCTURE UPLOADED
L2            2 S L1
L3          807 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:16:35 ON 09 MAR 2009

=> s l3 and (pry<2005)
            66 L3
       4601172 PRY<2005
L4          23 L3 AND (PRY<2005)

=> d 1-23 ibib abs hitstr

L4   ANSWER 1 OF 23  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2006:333303  CAPLUS
DOCUMENT NUMBER:         144:343625
TITLE:                   Methods of treatment of amyloidosis using substituted
                         ethanolcyclicamine aspartyl protease inhibitors
INVENTOR(S):             Hom, Roy; Fang, Lawrence; John, Varghese
PATENT ASSIGNEE(S):      Elan Pharmaceuticals, Inc., USA
SOURCE:                  PCT Int. Appl., 139 pp., which
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2006026532        A2    20060309    WO 2005-US30608        20050826 <--
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WO 2006026532 A3 20060720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
CA 2576782 A1 20060309 CA 2005-2576782 20050826 <--
EP 1789388 A2 20070530 EP 2005-792572 20050826 <--
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JP 2008511643 T 20080417 JP 2007-530212 20050826 <--
US 20080166332 A1 20080710 US 2007-659788 20070821 <--
PRIORITY APPLN. INFO.: US 2004-604706P P 20040827 <--
US 2004-632971P P 20041206 <--
WO 2005-US30608 W 20050826

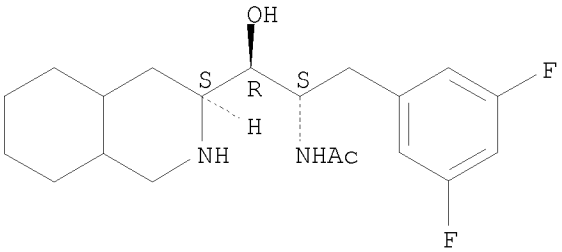
OTHER SOURCE(S): MARPAT 144:343625

AB The invention relates to novel compds. and methods of treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein.

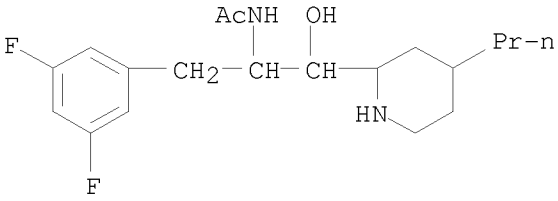
IT 878138-13-7P 878138-25-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(4-propylpiperidin-2-yl)ethyl]acetamide 878138-41-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-piperidin-2-ylethyl]acetamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods of treatment of amyloidosis using substituted ethanolcyclicamine aspartyl protease inhibitors)

RN 878138-13-7 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(3S)-decahydro-3-isoquinolinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)

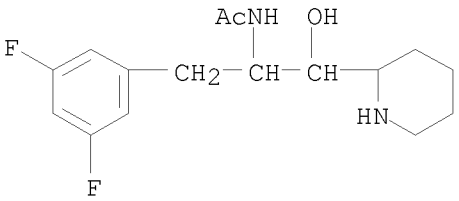
Absolute stereochemistry.



RN 878138-25-1 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(4-propyl-2-piperidinyl)ethyl]- (CA INDEX NAME)



RN 878138-41-1 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-piperidinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:272514 CAPLUS
DOCUMENT NUMBER: 144:331692
TITLE: Preparation of heteroaroylserine amides as herbicides
INVENTOR(S): Witschel, Matthias; Stelzer, Frank; Kuehn, Toralf; Parra Rapado, Liliana; Rack, Michael; Hupe, Eike; Zagar, Cyrill; Reinhard, Robert; Sievernich, Bernd; Ehrhardt, Thomas
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029829	A1	20060323	WO 2005-EP9856	20050914 <--
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005284348	A1	20060323	AU 2005-284348	20050914 <--
CA 2577181	A1	20060323	CA 2005-2577181	20050914 <--
EP 1791829	A1	20070606	EP 2005-790101	20050914 <--
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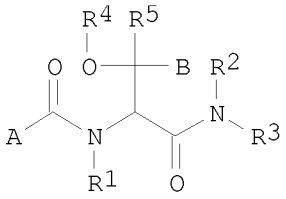
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

CN 101023073	A	20070822	CN 2005-80031324	20050914 <--
JP 2008513393	T	20080501	JP 2007-531663	20050914 <--
BR 2005015184	A	20080722	BR 2005-15184	20050914 <--
MX 200701836	A	20070423	MX 2007-1836	20070214 <--
IN 2007KN00555	A	20070706	IN 2007-KN555	20070214 <--
US 20070270312	A1	20071122	US 2007-662586	20070313 <--
KR 2007058618	A	20070608	KR 2007-708532	20070413 <--
PRIORITY APPLN. INFO.:			DE 2004-102004045298A	20040916 <--
			WO 2005-EP9856 W	20050914

OTHER SOURCE(S): MARPAT 144:331692

GI



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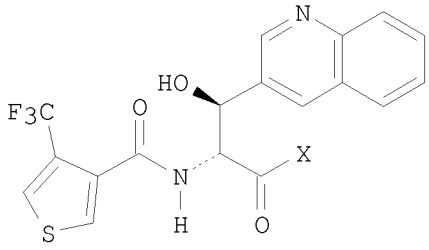


II

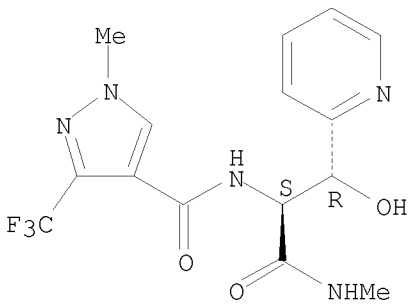
AB Title compds. I [A = 5 or 6-membered heteroaryl with provisos; B = mono or bicyclic heteroaryl with provisos; R1,R2 = H OH, alkoxy; R3 = alkyl, cyanoalkyl, haloalkyl; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl] were prepared For example, N-acylation of methylamine with serine ester II (X = OEt) afforded serine amide II (X = NHMe) in 88% yield. Compds. I exhibited very good herbicidal activity against amaranthus retroflexus, i.e., pig weed.

IT 880478-07-9P 880478-08-0P 880478-09-1P
880478-10-4P 880478-15-9P 880478-16-0P
880478-17-1P 880478-18-2P 880478-19-3P
880478-20-6P 880478-21-7P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaroylserine amides as herbicides)

RN 880478-07-9 CAPLUS

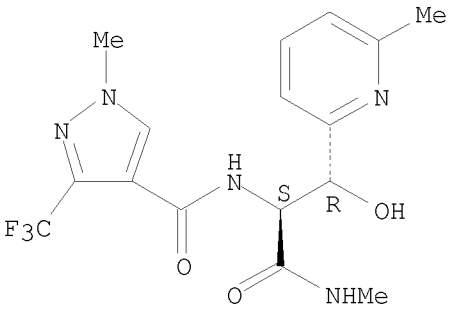
CN 2-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



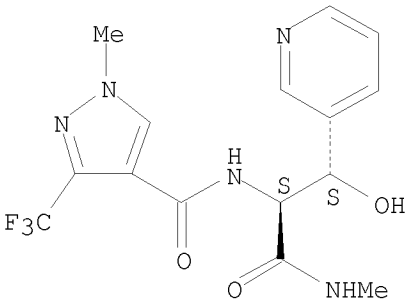
RN 880478-08-0 CAPLUS
CN 2-Pyridinepropanamide, β-hydroxy-N,6-dimethyl-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



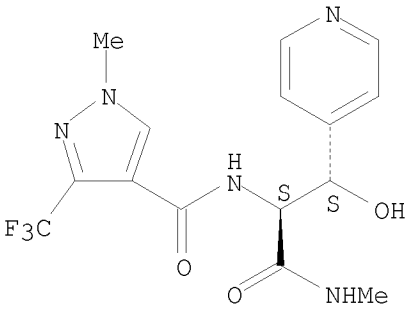
RN 880478-09-1 CAPLUS
CN 3-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 880478-10-4 CAPLUS
CN 4-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

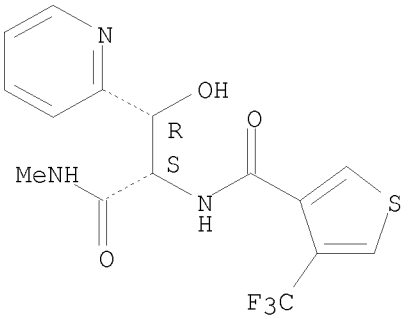
Relative stereochemistry.



RN 880478-15-9 CAPLUS

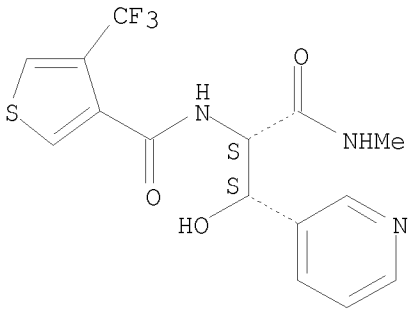
CN 2-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



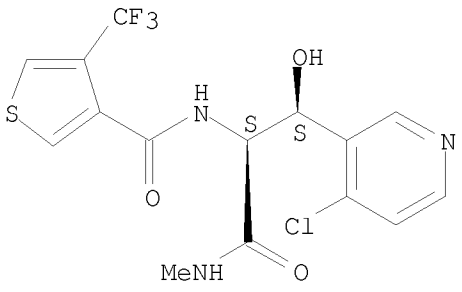
RN 880478-16-0 CAPLUS
CN 3-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



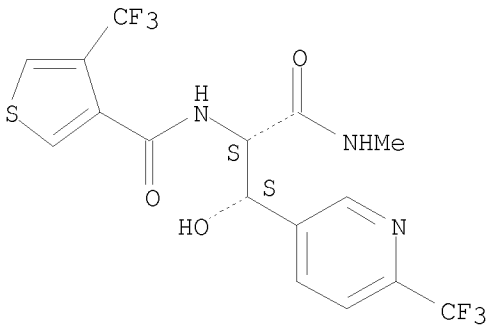
RN 880478-17-1 CAPLUS
CN 3-Pyridinepropanamide, 4-chloro-β-hydroxy-N-methyl-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



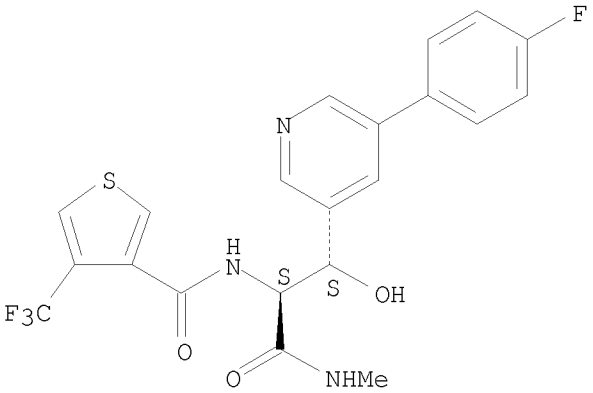
RN 880478-18-2 CAPLUS
CN 3-Pyridinepropanamide, β-hydroxy-N-methyl-6-(trifluoromethyl)-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



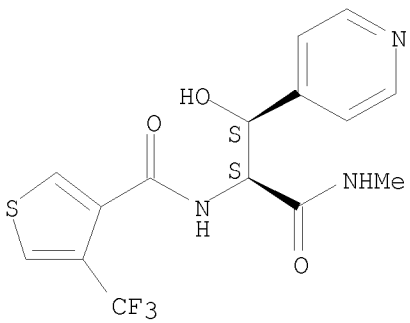
RN 880478-19-3 CAPLUS
CN 3-Pyridinepropanamide, 5-(4-fluorophenyl)-β-hydroxy-N-methyl-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 880478-20-6 CAPLUS
CN 4-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

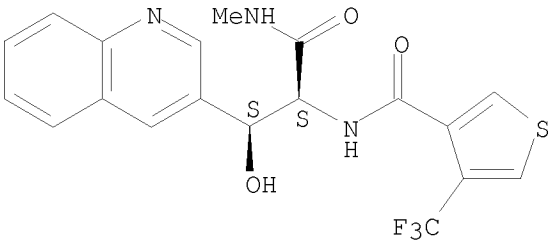
Relative stereochemistry.



RN 880478-21-7 CAPLUS
CN 3-Quinolinepropanamide, β-hydroxy-N-methyl-α-[[[4-

(trifluoromethyl)-3-thienyl]carbonyl]amino]-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.

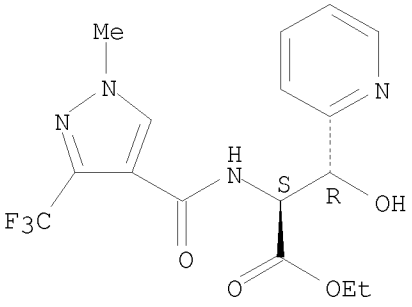


IT 880477-98-5P 880477-99-6P 880478-00-2P
880478-01-3P 880478-02-4P 880478-03-5P
880478-04-6P 880478-05-7P 880478-06-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroaroylserine amides as herbicides)

RN 880477-98-5 CAPLUS

CN 2-Pyridinepropanoic acid, β-hydroxy-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

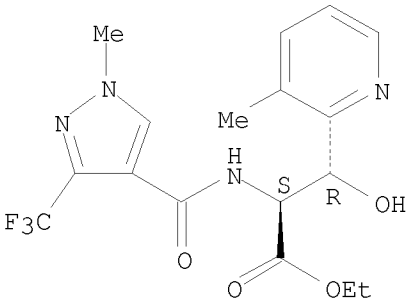
Relative stereochemistry.



RN 880477-99-6 CAPLUS

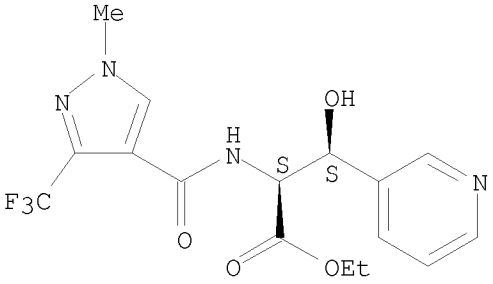
CN 2-Pyridinepropanoic acid, β-hydroxy-3-methyl-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



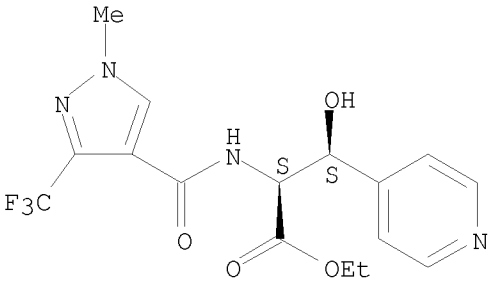
RN 880478-00-2 CAPLUS
CN 3-Pyridinepropanoic acid, β-hydroxy-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



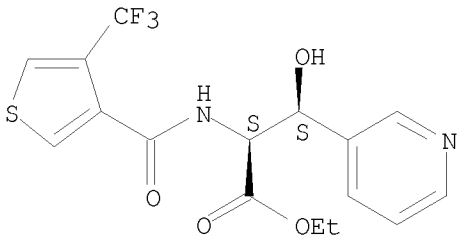
RN 880478-01-3 CAPLUS
CN 4-Pyridinepropanoic acid, β-hydroxy-α-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



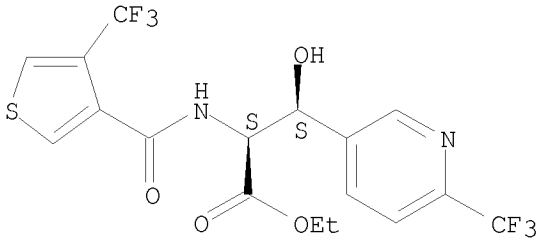
RN 880478-02-4 CAPLUS
CN 3-Pyridinepropanoic acid, β-hydroxy-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



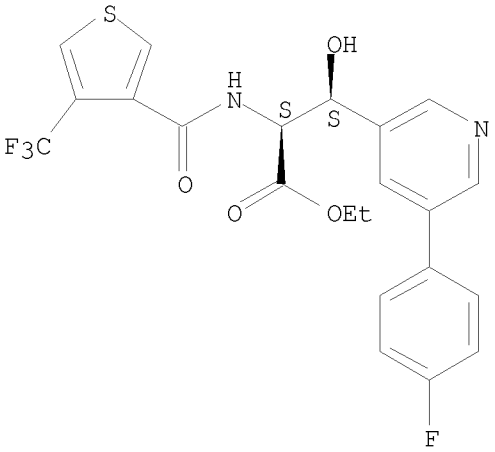
RN 880478-03-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-hydroxy-6-(trifluoromethyl)-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



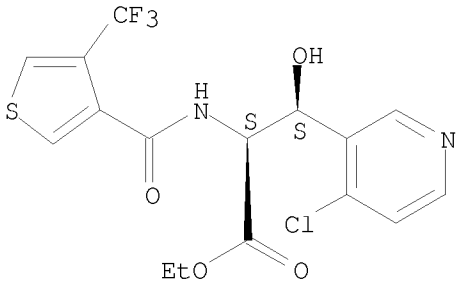
RN 880478-04-6 CAPLUS
CN 3-Pyridinepropanoic acid, 5-(4-fluorophenyl)-β-hydroxy-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



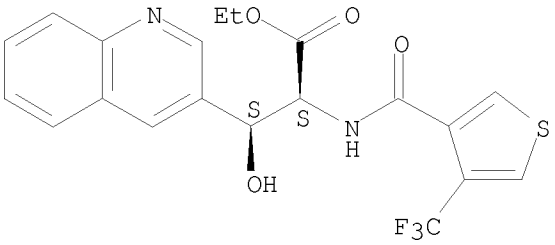
RN 880478-05-7 CAPLUS
CN 3-Pyridinepropanoic acid, 4-chloro-β-hydroxy-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 880478-06-8 CAPLUS
CN 3-Quinolinepropanoic acid, β-hydroxy-α-[[[4-(trifluoromethyl)-3-thienyl]carbonyl]amino]-, ethyl ester, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:269897 CAPLUS
DOCUMENT NUMBER: 144:331133
TITLE: Preparation of N-benzoylserine amides as agrochemical herbicides
INVENTOR(S): Witschel, Matthias; Stelzer, Frank; Kuehn, Toralf; Parra Rapado, Liliana; Hupe, Eike; Zagar, Cyrill; Reinhard, Robert; Sievernich, Bernd; Ehrhardt, Thomas
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029828	A1	20060323	WO 2005-EP9855	20050914 <--
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CA 2579799	A1	20060323	CA 2005-2579799	20050914 <--
EP 1791825	A1	20070606	EP 2005-787301	20050914 <--
EP 1791825	B1	20080917		
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JP 2008513392	T	20080501	JP 2007-531662	20050914 <--
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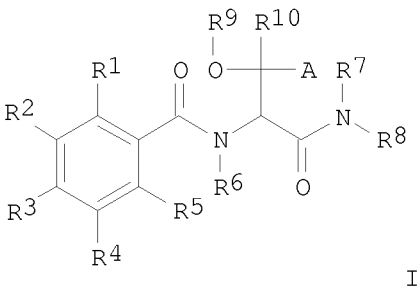
AT 408608	T	20081015	AT 2005-787301	20050914 <--
MX 200702357	A	20070507	MX 2007-2357	20070227 <--
IN 2007KN00755	A	20070713	IN 2007-KN755	20070301 <--
US 20080103049	A1	20080501	US 2007-662585	20070313 <--
KR 2007058619	A	20070608	KR 2007-708533	20070413 <--

PRIORITY APPLN. INFO.: DE 2004-102004045300A 20040916 <--
WO 2005-EP9855 W 20050914
OTHER SOURCE(S): MARPAT 144:331133

GI



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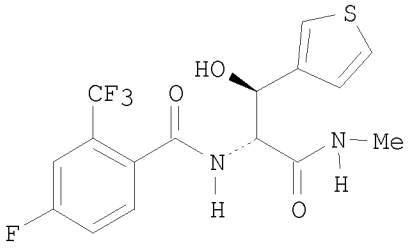

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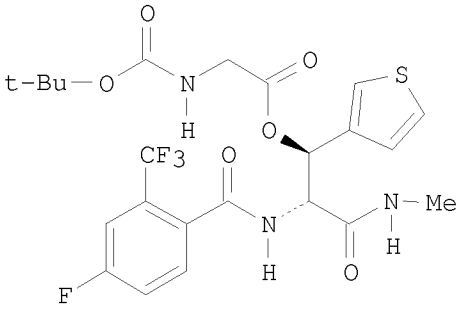


III

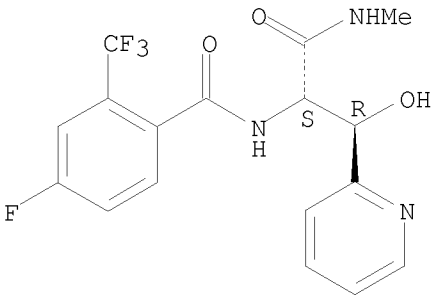
AB Title compds. I [A = mono or bicyclic heteroaryl with provisos; R1 = halo, CN, alkyl, etc.; R2, R3, R4, R5 = H, halo, CN, etc.; R6, R7 = H, OH, alkoxy, etc.; R8 = alkyl, cyanoalkyl, haloalkyl; R9 = H, alkyl, cycloalkyl, etc.; R10 = H, alkyl] were prepared For example, O-acylation of serine II with N-Boc-glycine afforded threo-benzamide III in 24% yield. Compds. I exhibited very good herbicidal activity against amaranthus retroflexus, i.e., pig weed.

IT 880483-78-3P 880483-79-4P 880483-80-7P
880483-92-1P 880484-17-3P 880484-18-4P
880484-19-5P 880484-20-8P 880484-21-9P
880484-22-0P 880484-24-2P 880484-25-3P
880484-26-4P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzoylserine amides as agrochem. herbicides)

RN 880483-78-3 CAPLUS

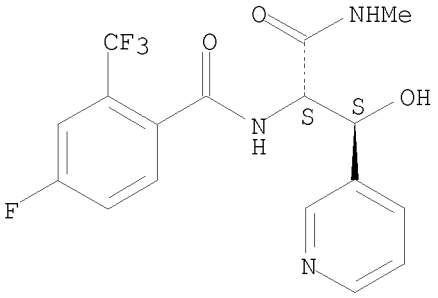
CN 2-Pyridinepropanamide, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



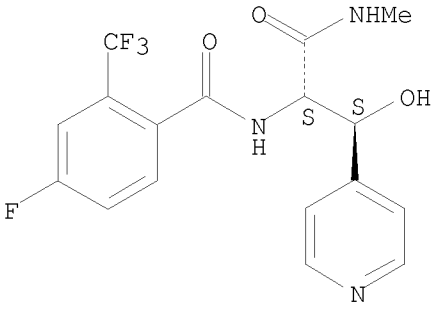
RN 880483-79-4 CAPLUS
CN 3-Pyridinepropanamide, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.

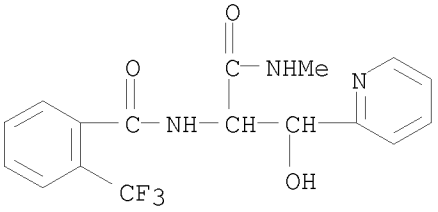


RN 880483-80-7 CAPLUS
CN 4-Pyridinepropanamide, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βR)-rel- (CA INDEX NAME)

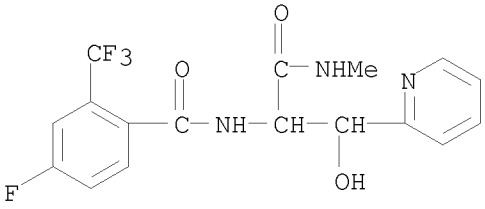
Relative stereochemistry.



RN 880483-92-1 CAPLUS
CN 2-Pyridinepropanamide, β-hydroxy-N-methyl-α-[[2-(trifluoromethyl)benzoyl]amino]- (CA INDEX NAME)

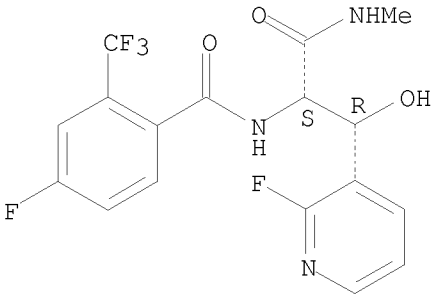


RN 880484-17-3 CAPLUS
CN 2-Pyridinepropanamide, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl- (CA INDEX NAME)



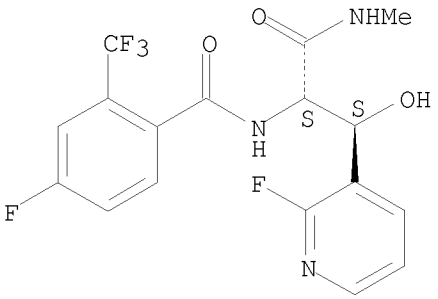
RN 880484-18-4 CAPLUS
CN 3-Pyridinepropanamide, 2-fluoro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



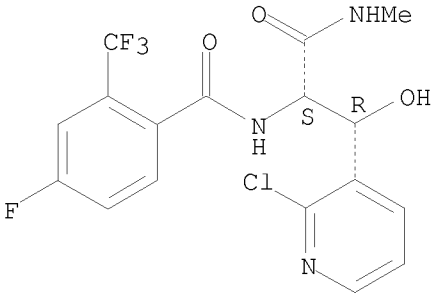
RN 880484-19-5 CAPLUS
CN 3-Pyridinepropanamide, 2-fluoro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



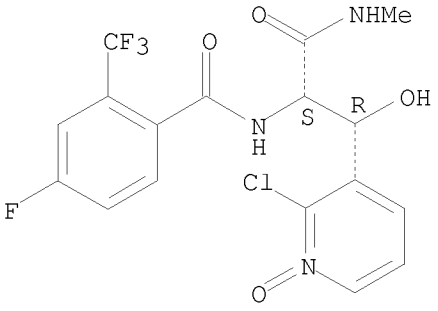
RN 880484-20-8 CAPLUS
CN 3-Pyridinepropanamide, 2-chloro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



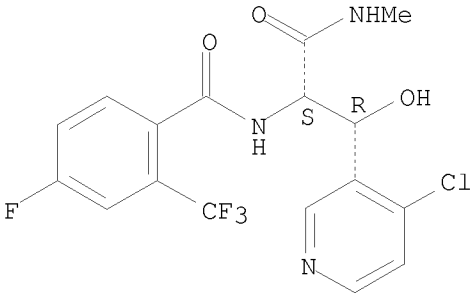
RN 880484-21-9 CAPLUS
CN 3-Pyridinepropanamide, 2-chloro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, 1-oxide, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 880484-22-0 CAPLUS
CN 3-Pyridinepropanamide, 4-chloro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 880484-24-2 CAPLUS
CN Pyridinium, 2-chloro-3-[(1R,2S)-2-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-1-hydroxy-3-(methylamino)-3-oxopropyl]-1-methyl-, rel-, 1,1,1-trifluoromethanesulfonate (1:1) (CA INDEX NAME)

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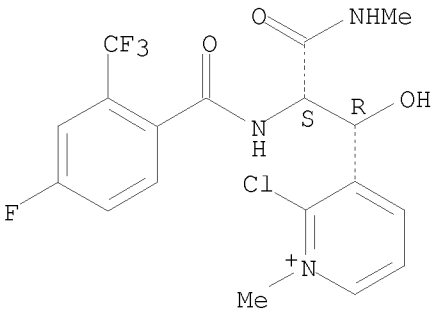
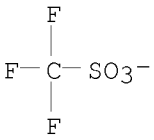
CRN 880484-23-1
CMF C18 H17 Cl F4 N3 O3

Relative stereochemistry.



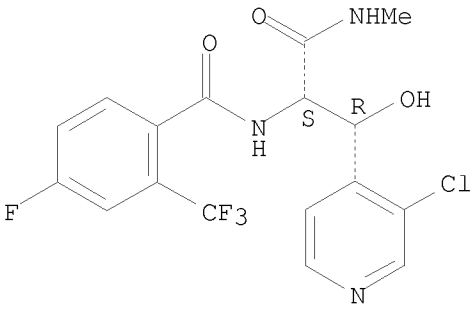
CM 2

CRN 37181-39-8
CMF C F3 O3 S



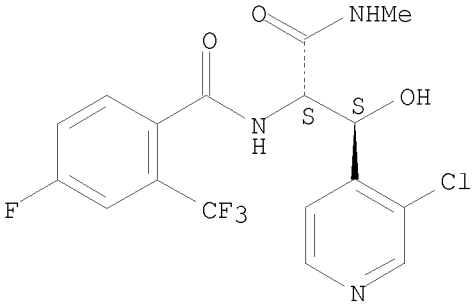
RN 880484-25-3 CAPLUS
CN 4-Pyridinepropanamide, 3-chloro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



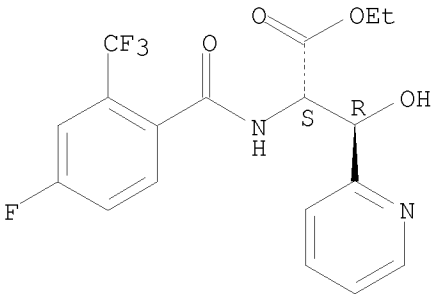
RN 880484-26-4 CAPLUS
CN 4-Pyridinepropanamide, 3-chloro-α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-N-methyl-, (αR,βR)-rel- (CA INDEX NAME)

Relative stereochemistry.



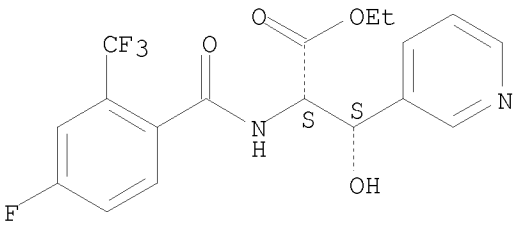
IT 880483-73-8P 880483-74-9P 880483-75-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-benzoylserine amides as agrochem. herbicides)
RN 880483-73-8 CAPLUS
CN 2-Pyridinepropanoic acid, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-, ethyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



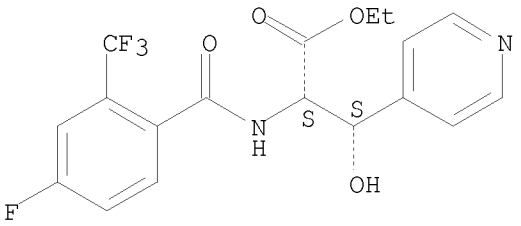
RN 880483-74-9 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 880483-75-0 CAPLUS
CN 4-Pyridinepropanoic acid, α-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]-β-hydroxy-, ethyl ester, (αR,βR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:213031 CAPLUS
DOCUMENT NUMBER: 144:292575
TITLE: Preparation of ethanol cyclic amine selective β-secretase inhibitors for treatment of amyloidosis
INVENTOR(S): Hom, Roy; Fang, Lawrence; John, Varghese
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006026533	A2	20060309	WO 2005-US30613	20050826 <--
WO 2006026533	A3	20060608		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CA 2577392	A1	20060309	CA 2005-2577392		20050826	<--
US 20060074098	A1	20060406	US 2005-211484		20050826	<--
EP 1802574	A2	20070704	EP 2005-792436		20050826	<--
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			WO 2005-US30613	W	20050826	

OTHER SOURCE(S): CASREACT 144:292575; MARPAT 144:292575

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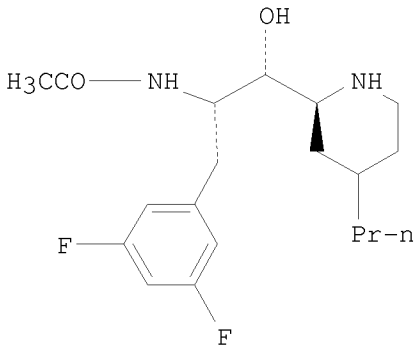

II

AB The invention relates to ethanol cyclic amines (R2R1CHCH(Rc)OH (I); R1 = (un)substituted aryl, thien-2-yl, et al.; R2 = H, -C(O)NH, -NHC(O)CH2halo, -NHC(O)CH(halo)2, et al.; Rc = cyclic amine radical; addnl. details (16 pages for 1st claim) are given in the claims; e.g. N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-2-((2S)-4-propylpiperidin-2-yl)ethyl]acetamide (shown as II)) and methods and mechanism of treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein. Although the methods of preparation are not claimed, prepns. and/or characterization data for 3 examples of I are included. For example, II was prepared via Beak ortho-lithiation in 4 steps starting from tert-Bu 4-propylpiperidin-1-carboxylate and (2S)-2-dibenzylamino-3-(3,5-difluorophenyl)propionaldehyde (preparation described). Selective inhibition of β-secretase over cathepsin D/cathepsin E is illustrated for 2 examples of I, e.g. IC50 ratio >3.3 for N-[1-(decahydroisoquinolin-3-yl)-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide.

IT 878137-88-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2S)-piperidin-2-yl)ethyl]acetamide 878138-01-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2S)-4-propylpiperidin-2-yl)ethyl]acetamide 878138-13-7P, N-[(1S,2R)-2-((3S)-Decahydroisoquinolin-3-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-25-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(4-propylpiperidin-2-yl)ethyl]acetamide 878138-27-3P, N-[1-(Decahydroisoquinolin-3-yl)-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide 878138-29-5P, N-[2-(4-Butyl-4-hydroxypiperidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-31-9P, N-[1-(3,5-Difluorobenzyl)-2-[4-(4,4-dimethylpentyl)-4-hydroxypiperidin-2-

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, N-[2-(5-Butyl-4-oxopiperidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-47-7P,
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7-(2-Acetylamino-1-hydroxy-3-phenylpropyl)-1,4-dioxa-8-azaspiro[4.5]decane-8-carboxylic acid tert-butyl ester 878138-52-4P,
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N-[3-(3,5-Difluorophenyl)-1-[6-(4,4-dimethylpentyl)piperidin-2-yl]-1-hydroxypropan-2-yl]acetamide 878138-58-0P,
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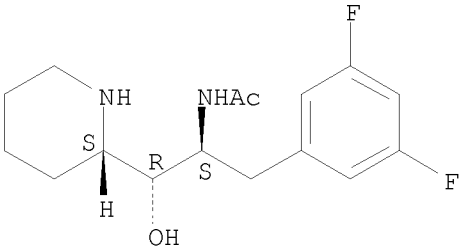
N-[3-(3,5-Difluorophenyl)-1-hydroxy-1-[6-[2-(3-methoxycyclohexyl)ethyl]piperidin-2-yl]propan-2-yl]acetamide 878138-72-8P, N-[1-[6-(2-Cyclohexylethyl)piperidin-2-yl]-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide 878138-74-0P,
Methyl 3-[2-[6-[2-acetamido-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidin-2-yl]ethyl]benzoate 878138-76-2P,
3-[2-[6-[2-Acetamido-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidin-2-yl]ethyl]benzoic acid
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of ethanol cyclic amine selective β-secretase inhibitors for treatment of amyloidosis)

RN 878137-88-3 CAPLUS

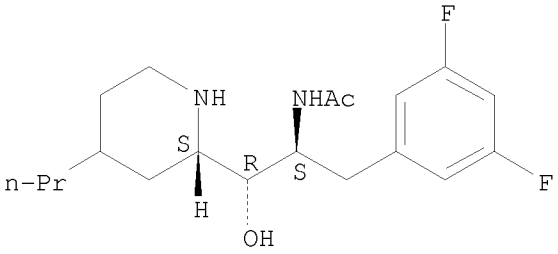
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2S)-2-piperidinylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



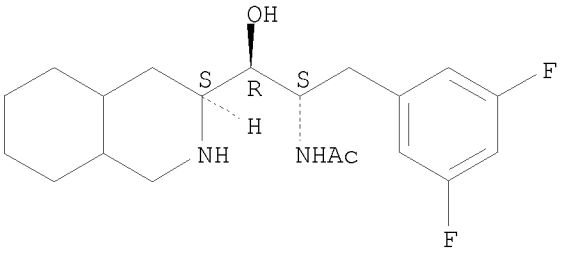
RN 878138-01-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2S)-4-propyl-2-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

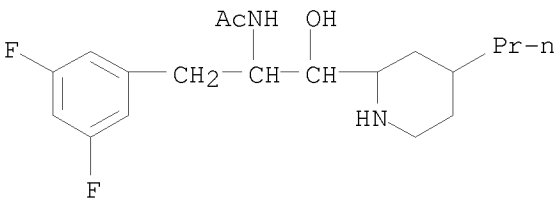


RN 878138-13-7 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(3S)-decahydro-3-isoquinolinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)

Absolute stereochemistry.

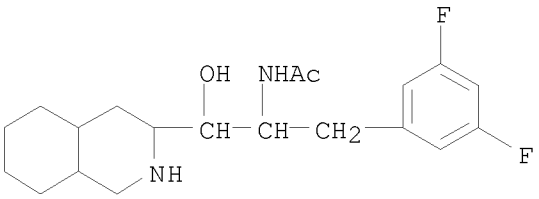


RN 878138-25-1 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(4-propyl-2-piperidinyl)ethyl]- (CA INDEX NAME)

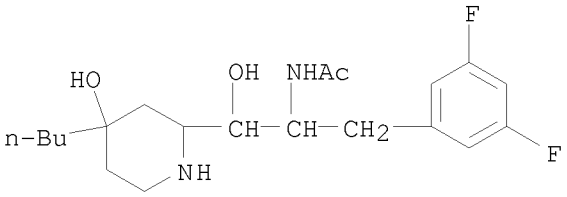


RN 878138-27-3 CAPLUS
CN Acetamide, N-[2-(decahydro-3-isoquinolinyl)-1-[(3,5-difluorophenyl)methyl]-

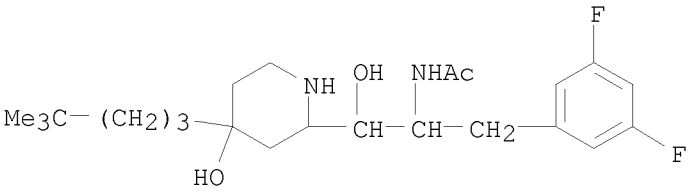
2-hydroxyethyl]- (CA INDEX NAME)



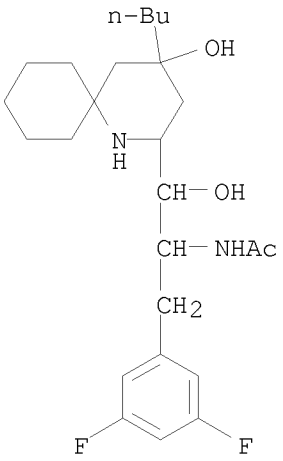
RN 878138-29-5 CAPLUS
CN Acetamide, N-[2-(4-butyl-4-hydroxy-2-piperidinyl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



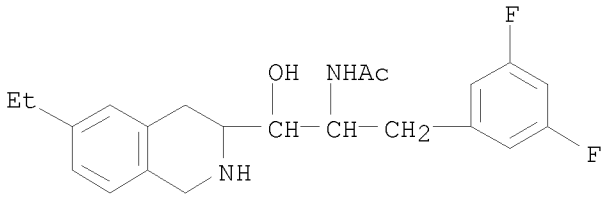
RN 878138-31-9 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[4-(4,4-dimethylpentyl)-4-hydroxy-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



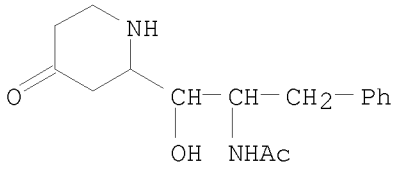
RN 878138-33-1 CAPLUS
CN Acetamide, N-[2-(4-butyl-4-hydroxy-1-azaspiro[5.5]undec-2-yl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



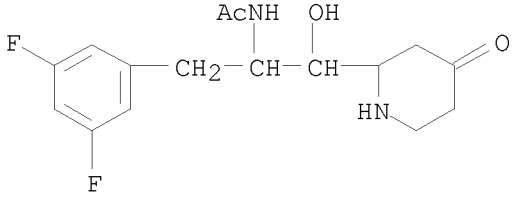
RN 878138-35-3 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-(6-ethyl-1,2,3,4-tetrahydro-3-isoquinolinyl)-2-hydroxyethyl]- (CA INDEX NAME)



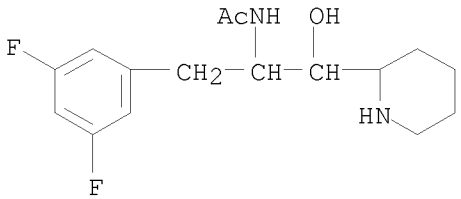
RN 878138-37-5 CAPLUS
CN Acetamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]- (CA INDEX NAME)



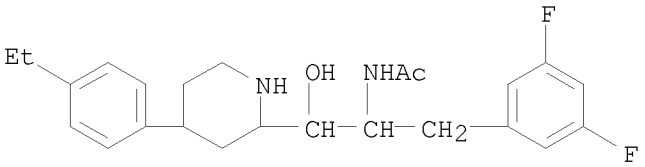
RN 878138-39-7 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(4-oxo-2-piperidinyl)ethyl]- (CA INDEX NAME)



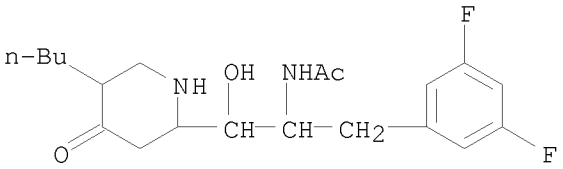
RN 878138-41-1 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-piperidinyl)ethyl]- (CA INDEX NAME)



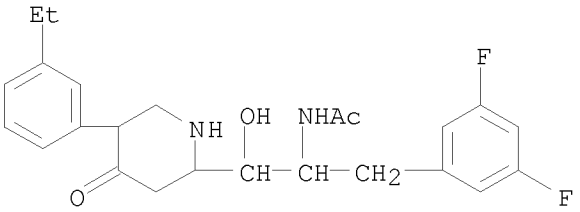
RN 878138-43-3 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[4-(4-ethylphenyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



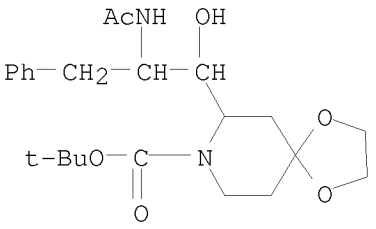
RN 878138-45-5 CAPLUS
CN Acetamide, N-[2-(5-butyl-4-oxo-2-piperidinyl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



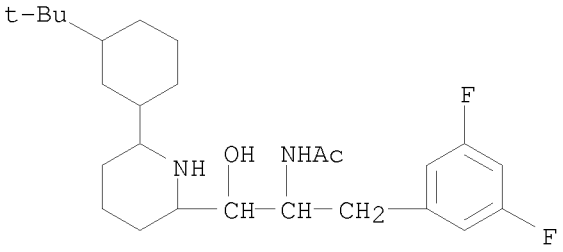
RN 878138-47-7 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[5-(3-ethylphenyl)-4-oxo-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



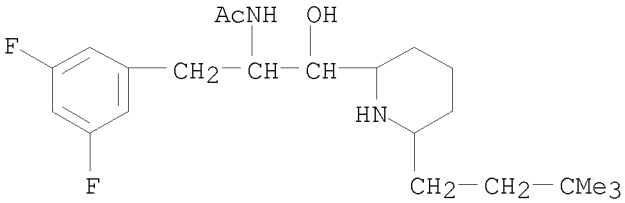
RN 878138-50-2 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane-8-carboxylic acid, 7-[2-(acetylamino)-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



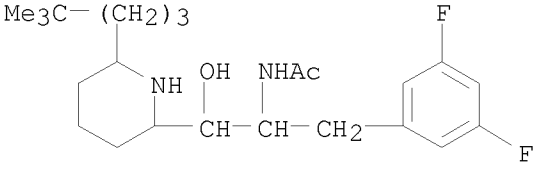
RN 878138-52-4 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-[3-(1,1-dimethylethyl)cyclohexyl]-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



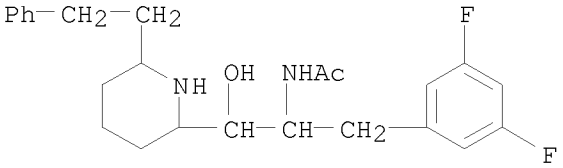
RN 878138-54-6 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-(3,3-dimethylbutyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



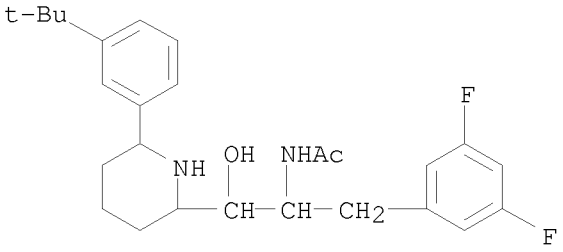
RN 878138-56-8 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-(4,4-dimethylpentyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



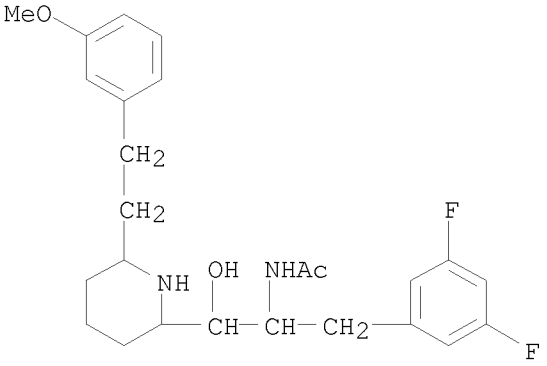
RN 878138-58-0 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[6-(2-phenylethyl)-2-piperidinyl]ethyl]- (CA INDEX NAME)



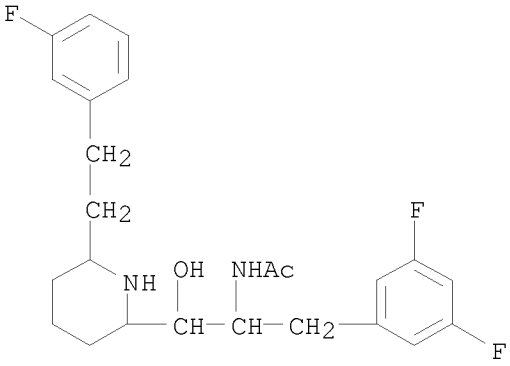
RN 878138-60-4 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-[3-(1,1-dimethylethyl)phenyl]-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



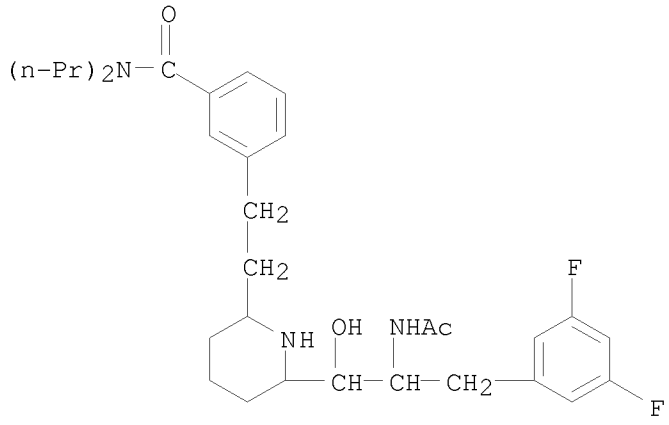
RN 878138-62-6 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[6-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl]ethyl]- (CA INDEX NAME)



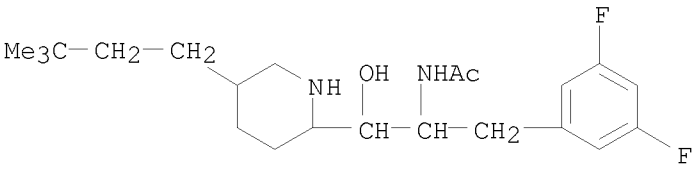
RN 878138-64-8 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-[2-(3-fluorophenyl)ethyl]-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



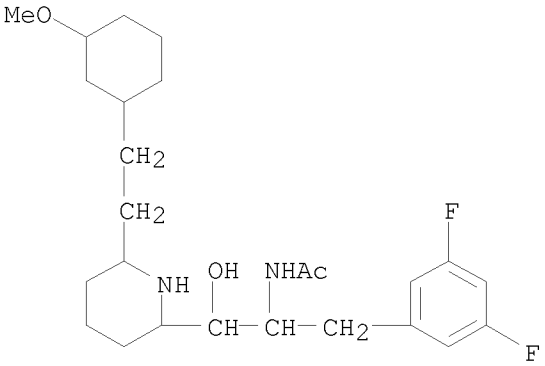
RN 878138-66-0 CAPLUS
CN Benzamide, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]-N,N-dipropyl- (CA INDEX NAME)



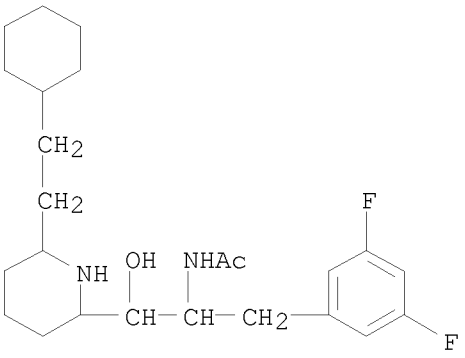
RN 878138-68-2 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[5-(3,3-dimethylbutyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



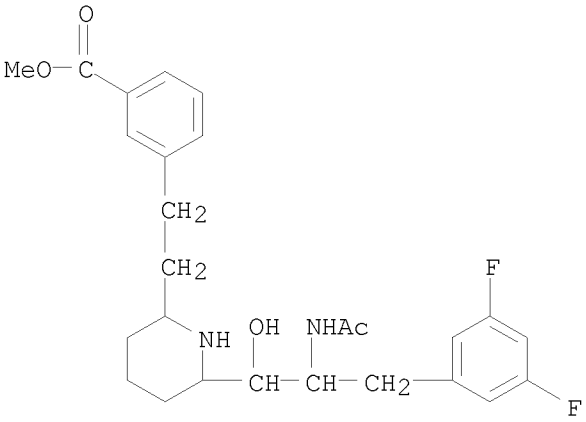
RN 878138-70-6 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[6-[2-(3-methoxycyclohexyl)ethyl]-2-piperidinyl]ethyl]- (CA INDEX NAME)



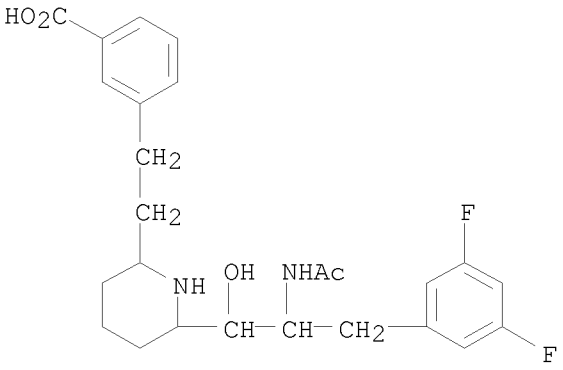
RN 878138-72-8 CAPLUS
CN Acetamide, N-[2-[6-(2-cyclohexylethyl)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



RN 878138-74-0 CAPLUS
CN Benzoic acid, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]-, methyl ester (CA INDEX NAME)



RN 878138-76-2 CAPLUS
CN Benzoic acid, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:192220 CAPLUS
DOCUMENT NUMBER: 144:254000
TITLE: Preparation of novel gamma-lactams as beta-secretase inhibitors
INVENTOR(S): Thompson, Lorin A.; Boy, Kenneth M.; Shi, Jianliang; Macor, John E.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

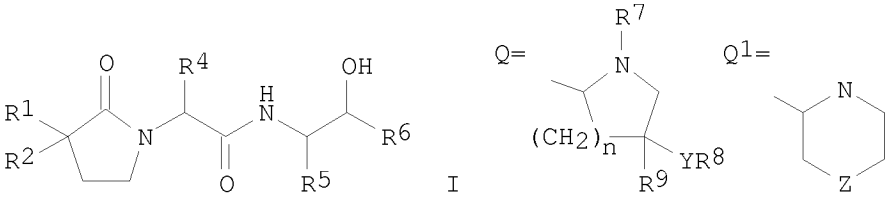
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060046984	A1	20060302	US 2005-206441	20050818 <--
US 7388007	B2	20080617		
WO 2006026204	A2	20060309	WO 2005-US29669	20050823 <--
WO 2006026204	A3	20060727		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:	US 2004-604624P	P	20040826 <--
	US 2005-660433P	P	20050310
	US 2005-206441	A	20050818

OTHER SOURCE(S): CASREACT 144:254000; MARPAT 144:254000
GI



AB There is provided a series of novel substituted γ-lactams (2-pyrrolidinone) of formula (I) or stereoisomers or pharmaceutically acceptable salts thereof [R1 = H, C1-6 alkyl, NHR3; R2 = each (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-4 alkyl; R3 = C(O)R10, C(O)OR10, C(O)NHR10, S(O)nR10, (un)substituted C1-6alkyl; R4 = each (un)substituted C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-4 alkyl, Ph, or phenyl-C1-4alkyl; R5 = each (un)substituted C1-6 alkyl, Ph, or phenyl-C1-4 alkyl; R6 = Q, Q1; R7 = H, C1-4 alkyl; n = 1, 2; Y = O, NR7, S(O)n; Z = CH2, O, S; R8, R9 = independently H, C1-4 alkyl, C3-6 alkenyl, C3-6 alkynyl, or each (un)substituted Ph or pyridyl; or YR8 and R9 are joined together with the

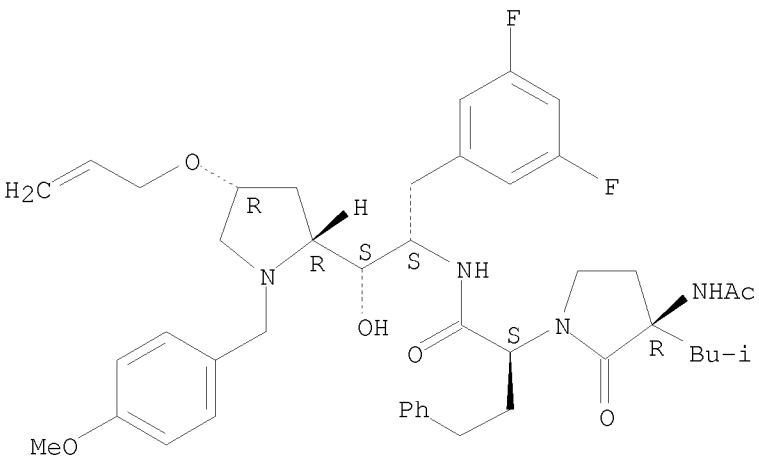
carbon to which they are attached to form a 5- or 6-membered ring (wherein Y = O and R8 and R9 are -CH2(CH2)n-O-); R10 = (un)substituted C1-4 alkyl]. Their pharmaceutical compns. containing the compds. I. These novel compds. inhibit the processing of amyloid precursor protein (APP) by β-secretase and, more specifically, inhibit the production of Aβ-peptide. They are useful in the treatment of neurol. disorders related to β-amyloid production, such as Alzheimer's disease, cerebral amyloid angiopathy, and Down's Syndrome, and other conditions affected by anti-amyloid activity. Thus, (2S)-2-[(3S)-3-acetamido-3-((R)-sec-butyl)-2-oxopyrrolidin-1-yl]-N-[(1R,2S)-3-(3,5-difluorophenyl)-1-hydroxy-1-((2R)-piperidin-2-yl)propan-2-yl]-4-phenylbutanamide, which was prepared by condensation of (2S)-2-[(3S)-3-acetamido-3-((R)-sec-butyl)-2-oxopyrrolidin-1-yl]-4-phenylbutanoic acid with (1S,2S)-2-amino-1-((2R)-1-benzhydrylpiperidin-2-yl)-3-(3,5-difluorophenyl)propan-1-ol followed by hydrogenolysis over Pd, showed IC50 of <0.1 μg/mL against β-secretase expressed in HEK293-9B.A1 cell line.

IT 877078-96-1P, (2S)-N-[(1S,2S)-1-[(2R,4R)-1-(4-Methoxybenzyl)-4-(allyloxy)pyrrolidin-2-yl]-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]-2-((3R)-3-acetamido-3-isobutyl-2-oxopyrrolidin-1-yl)-4-phenylbutanamide
877079-09-9P 877079-14-6P 877079-18-0P
877079-22-6P 877079-26-0P 877079-30-6P
877079-33-9P 877079-36-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of novel gamma-lactams as beta-secretase inhibitors for treatment of neurol. disorders related to β-amyloid production)

RN 877078-96-1 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-1-[(4-methoxyphenyl)methyl]-4-(2-propen-1-yloxy)-2-pyrrolidinyl]ethyl]-3-(2-methylpropyl)-2-oxo-α-(2-phenylethyl)-, (αS,3R)- (CA INDEX NAME)

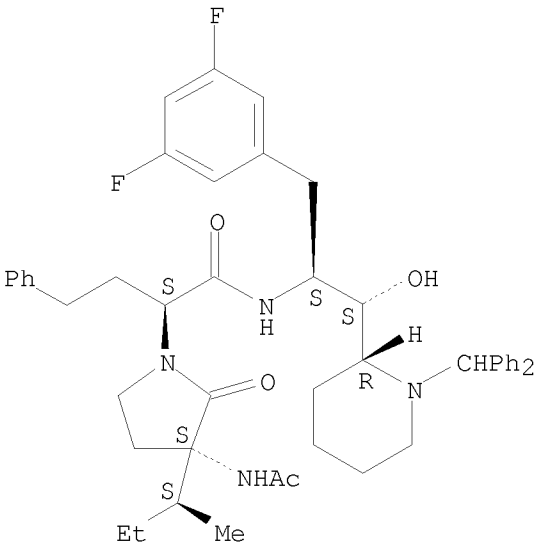
Absolute stereochemistry.



RN 877079-09-9 CAPLUS

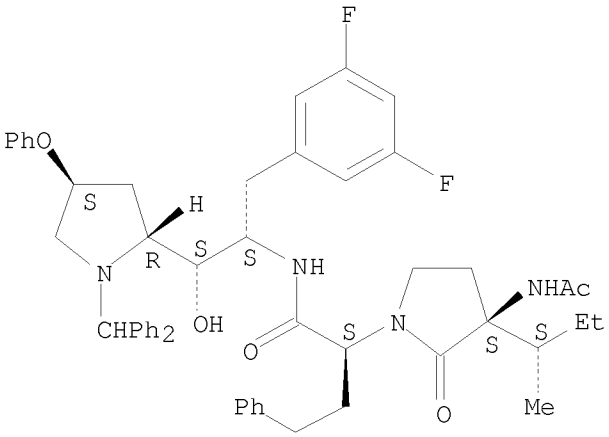
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R)-1-(diphenylmethyl)-2-piperidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



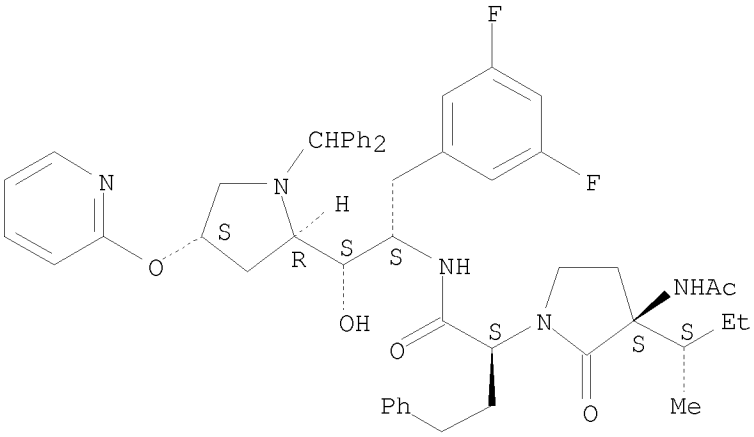
RN 877079-14-6 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-phenoxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



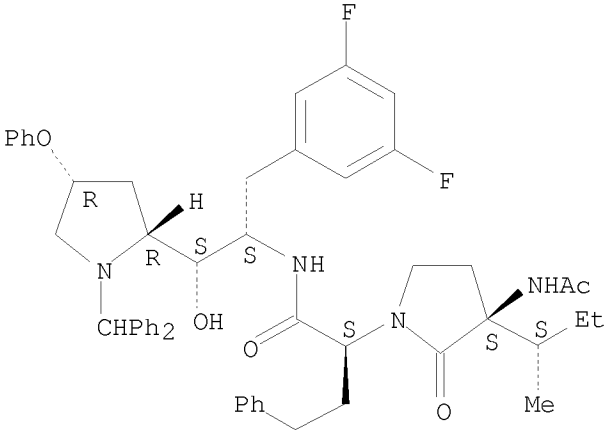
RN 877079-18-0 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-(2-pyridinyloxy)-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



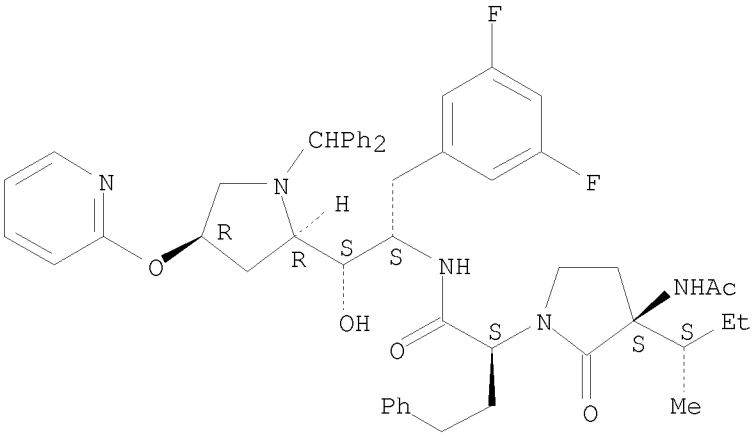
RN 877079-22-6 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-phenoxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



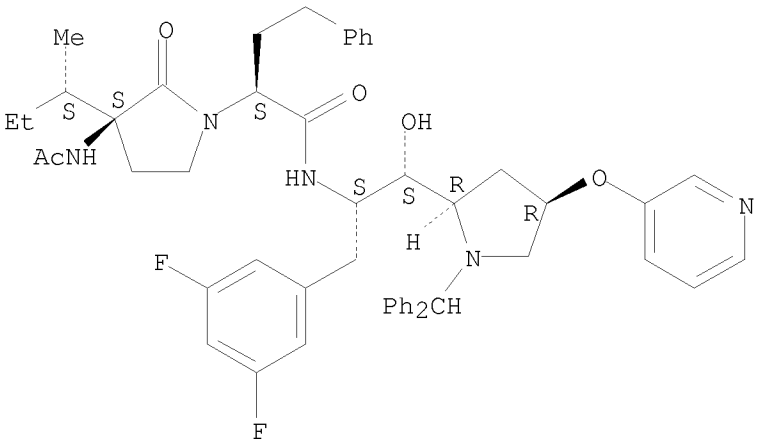
RN 877079-26-0 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-(2-pyridinyloxy)-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



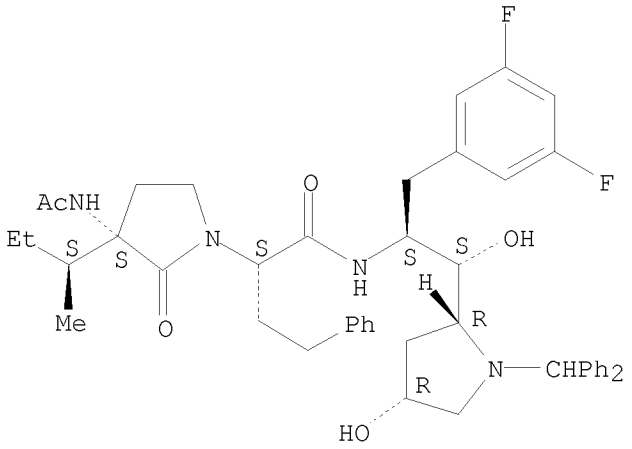
RN 877079-30-6 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-(3-pyridinyloxy)-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



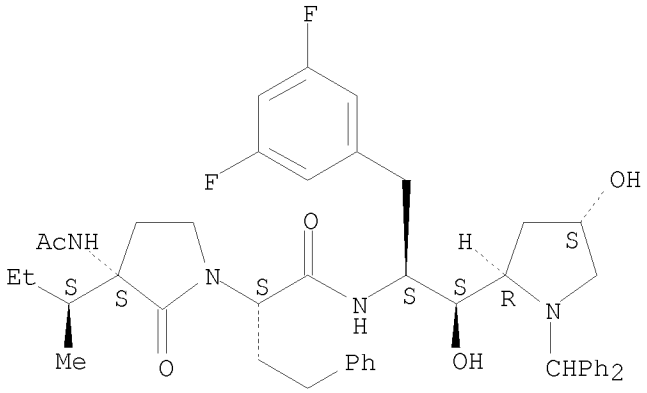
RN 877079-33-9 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-hydroxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 877079-36-2 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-hydroxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



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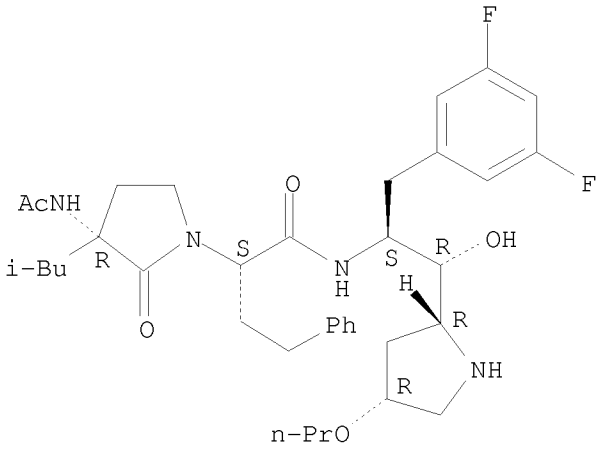
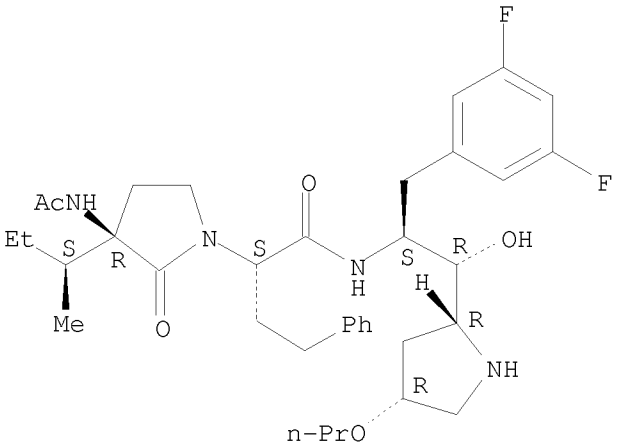
877078-97-2P 877079-08-8P 877079-11-3P
877079-15-7P 877079-19-1P 877079-23-7P
877079-27-1P 877079-31-7P 877079-34-0P
877079-39-5P 877079-41-9P 877079-43-1P
877079-45-3P 877079-47-5P 877079-49-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel gamma-lactams as beta-secretase inhibitors for treatment of neurol. disorders related to β-amyloid production)
RN 877078-94-9 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-propoxy-2-pyrrolidinyl]ethyl]-3-(2-methylpropyl)-2-oxo-α-(2-phenylethyl)-, (αS,3R)- (CA INDEX NAME)

Absolute stereochemistry.



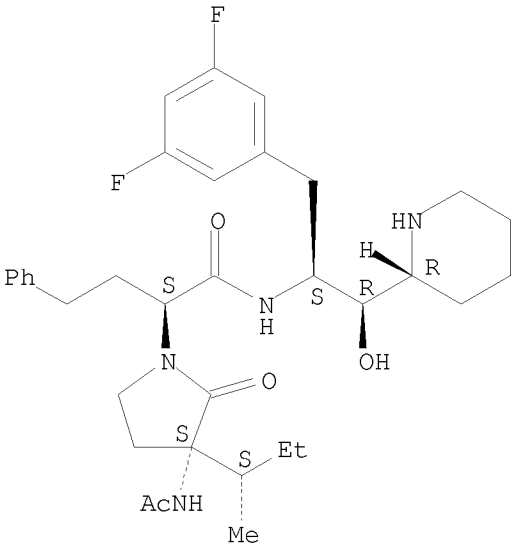
RN 877078-97-2 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-propoxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3R)- (CA INDEX NAME)

Absolute stereochemistry.



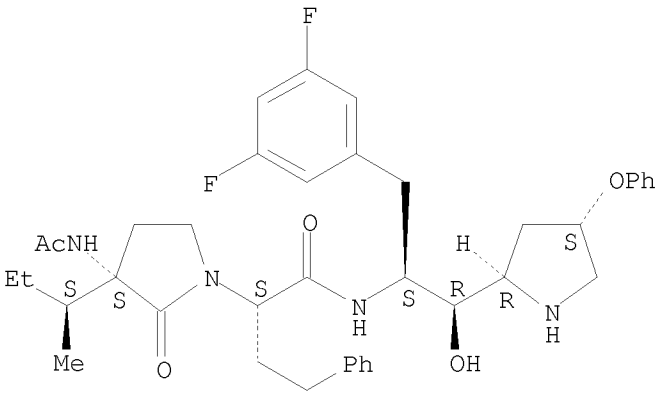
RN 877079-08-8 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



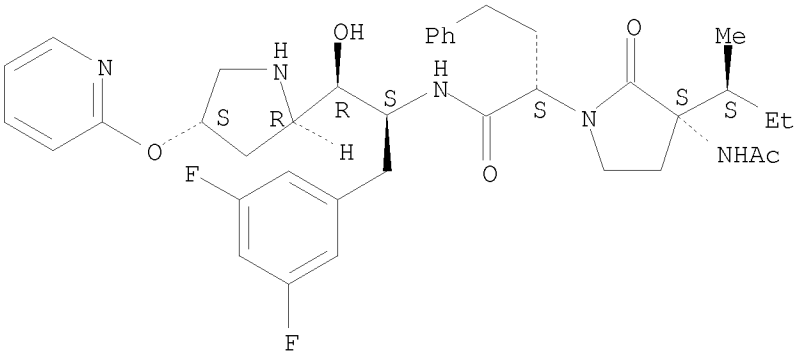
RN 877079-11-3 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



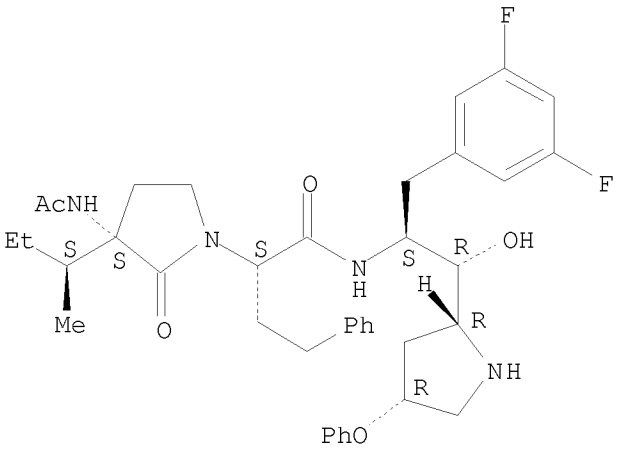
RN 877079-15-7 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-pyridinyloxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



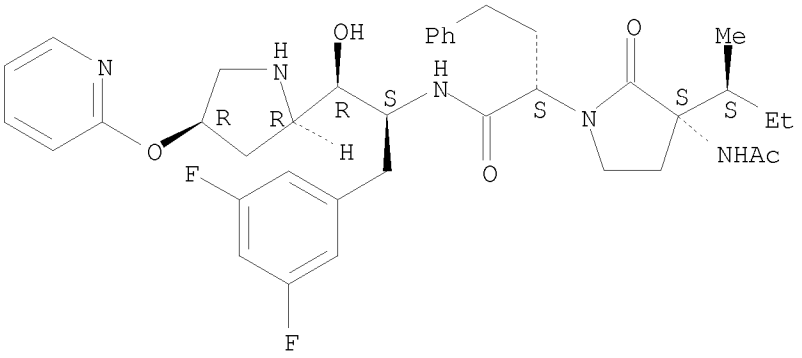
RN 877079-19-1 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



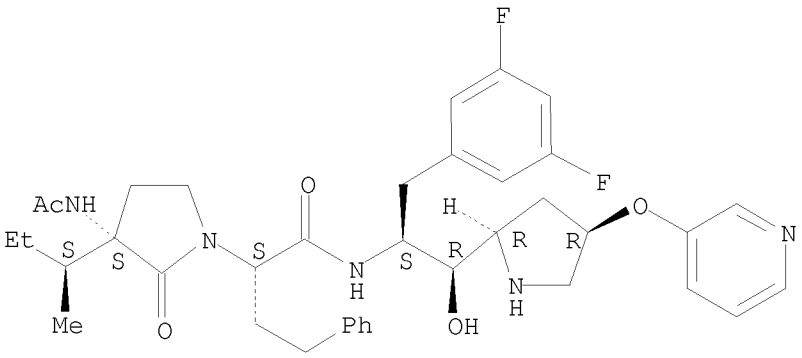
RN 877079-23-7 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-pyridinyloxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



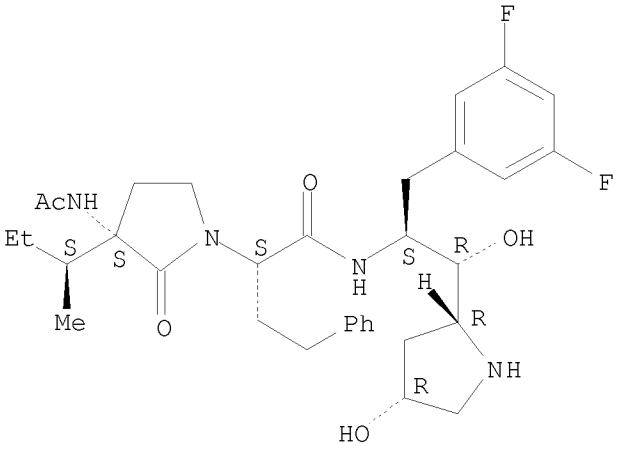
RN 877079-27-1 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-pyridinyloxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 877079-31-7 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



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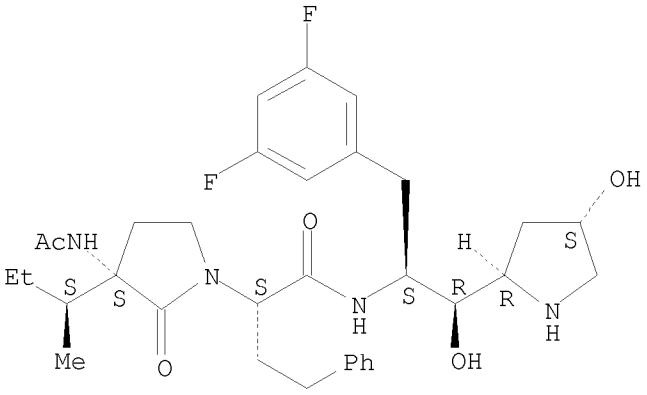
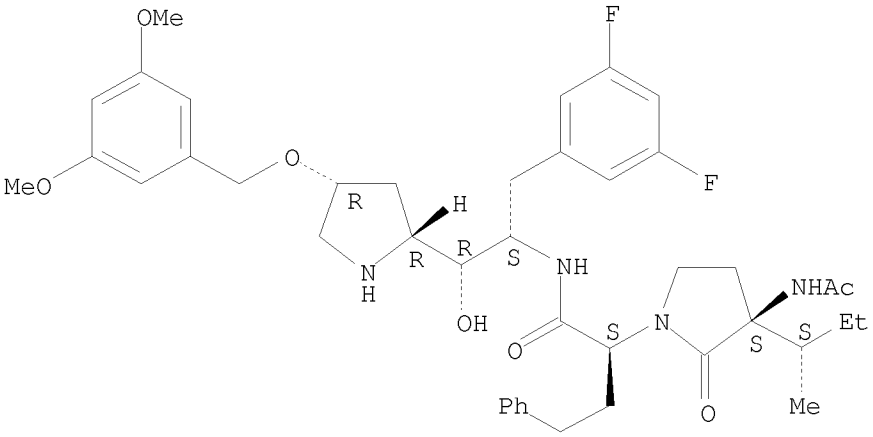
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-hydroxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



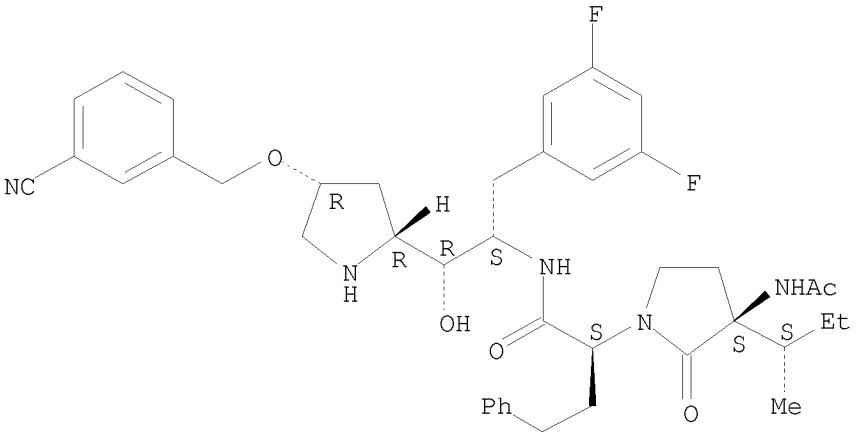
RN 877079-39-5 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(3,5-dimethoxyphenyl)methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



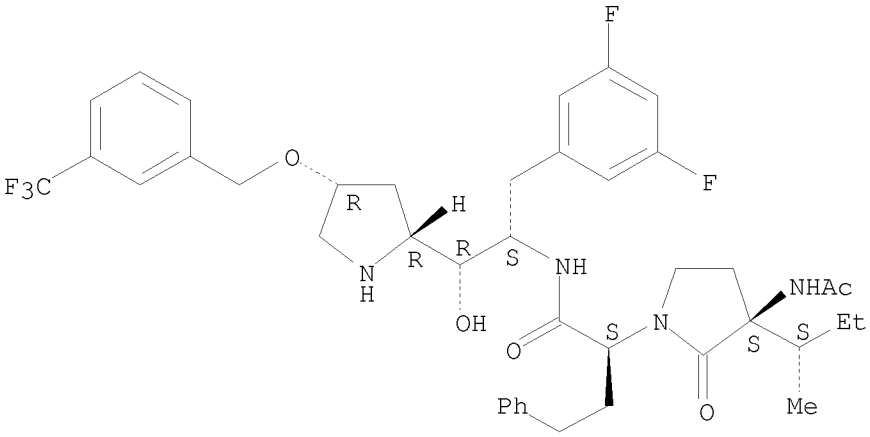
RN 877079-41-9 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-2-[(2R,4R)-4-[(3-cyanophenyl)methoxy]-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 877079-43-1 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[[3-(trifluoromethyl)phenyl]methoxy]-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



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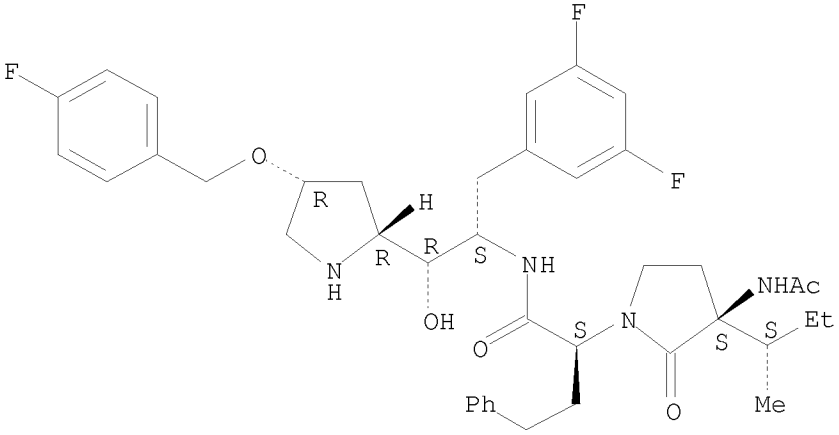
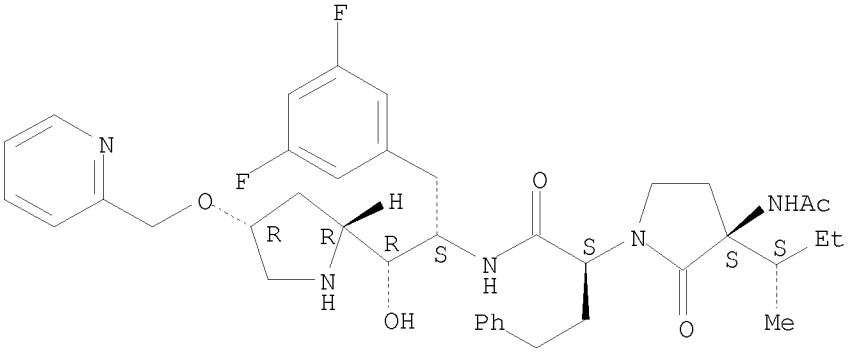
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(4-fluorophenyl)methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



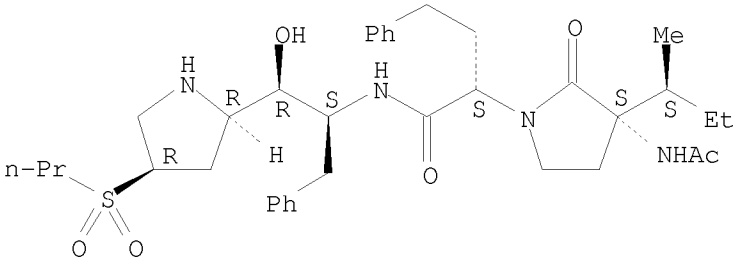
RN 877079-47-5 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-pyridinylmethoxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 877079-49-7 CAPLUS
CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-[(2R,4R)-4-(propylsulfonyl)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:117814 CAPLUS
DOCUMENT NUMBER: 144:212781
TITLE: Preparation of cholesteryl ester transfer protein (CETP) inhibitors
INVENTOR(S): Ali, Amjad; Napolitano, Joann M.; Deng, Qiaolin; Lu, Zhijian; Sinclair, Peter J.; Taylor, Gayle E.; Thompson, Christopher F.; Quraishi, Nazia; Smith, Cameron J.; Hunt, Julianne A.; Dowst, Adrian A.; Chen, Yi-Heng; Li, Hong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 288 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014413	A1	20060209	WO 2005-US23775	20050701 <--

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LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
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OTHER SOURCE(S): MARPAT 144:212781
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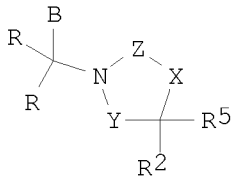

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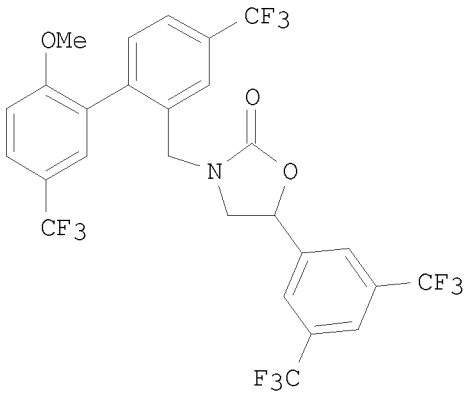


II

AB The invention is related to the preparation of compds. I [Y = CO, CRR1; X = O, NH, N-alkyl, CH2, CRR6; Z = CO, SO2, C(:NH) and derivs.; each R = independently H, halo, (un)substituted alkyl; B = A1, A2; A1 = (un)substituted biphenyl-2-yl, 2-(heterocyclyl)phenyl, etc.; A2 = (un)substituted Ph, naphthyl, 5- to 6-membered ring heterocyclyl, cycloalkyl, etc.; R1, R6 = independently H, alkyl, halo, [C(R)2]n-A2; R2 = H, alkyl, halo, A1 or [C(R)2]n-A2; with the proviso that one of B and R2 =

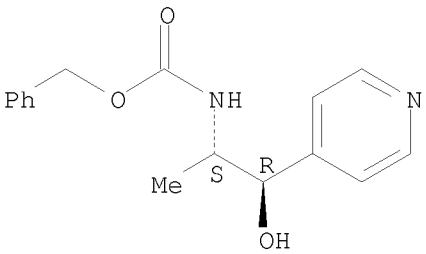
A1; and one of B, R1, R2, and R6 = A2, [C(R)2]n-A2; R5 = H, OH, halo, (un)substituted alkyl] and their pharmaceutically acceptable salts, as cholesteryl ester transfer protein (CETP) inhibitors, and their use for raising HDL-cholesterol, reducing LDL-cholesterol, and for treating or preventing atherosclerosis. Thus, II was prepared by alkylation of 5-[3,5-bis(trifluoromethyl)phenyl]-1,3-oxazolidin-2-one (preparation given) with 2-(bromomethyl)-1-iodo-4-(trifluoromethyl)benzene (preparation given), and coupling of the iodide with [2-methoxy-5-(trifluoromethyl)phenyl]boronic acid (preparation given). In a fluorescence assay, I had an IC50 value ≤ 50 μM for the inhibition of CETP.

IT 875549-16-9P, Benzyl [(1S,2R)-2-hydroxy-1-methyl-2-(pyridin-4-yl)ethyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [(2-biphenyl)/methyl]-oxazolidinones, -imidazolidinones, and -thiadiazolidinones as cholesteryl ester transfer protein inhibitors)

RN 875549-16-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-2-hydroxy-1-methyl-2-(4-pyridinyl)ethyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1220126 CAPLUS
DOCUMENT NUMBER: 143:477844
TITLE: Preparation of acylated 2-amino-1-(pyrrolidin-2-yl)ethanols and derivatives as BACE inhibitors for treating Alzheimer's
INVENTOR(S): Dally, Robert Dean; Shepherd, Timothy Alan; Bender, David Michael; Rojo Garcia, Maria Isabel
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108358	A2	20051117	WO 2005-US12191	20050408 <--
WO 2005108358	A3	20060526		

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SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
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US 20070213331 A1 20070913 US 2006-599129 20060920 <--
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WO 2005-US12191 W 20050408
OTHER SOURCE(S): CASREACT 143:477844; MARPAT 143:477844
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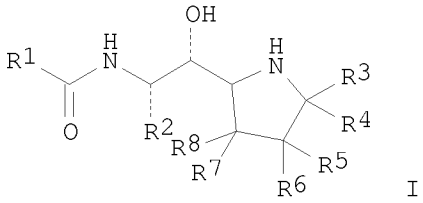

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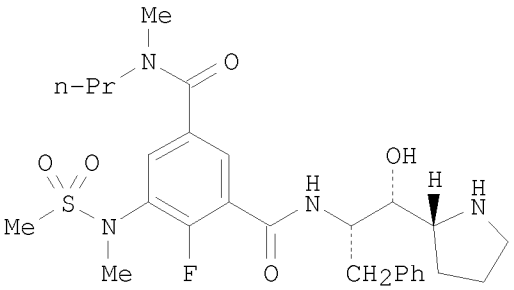


II

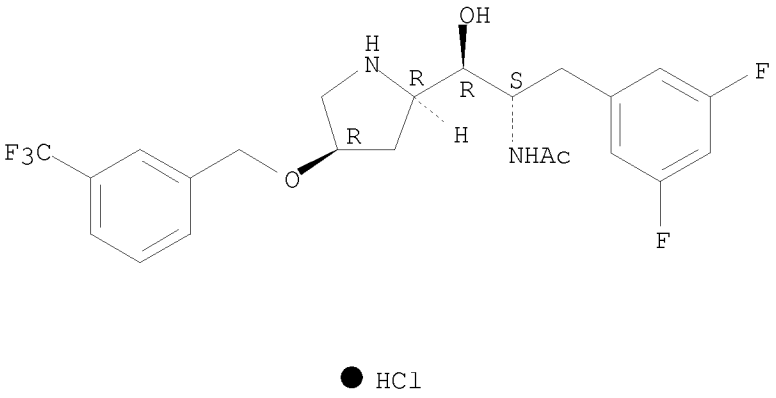
AB Title compds. I [R1 = biphenyl substituted with halo, (un)substituted cycloalkyl/alk(en/yn)yl, cycloalkyl; R2 = alkyl, (un)substituted benzyl; R3 = H, alkyl; R4 = H, alkyl, Ph; R3CR4 = cycloalkyl ring; R5 = H, F, CF3, (un)substituted Ph; R6 = F, OH, OTs, , etc.; R5R6 = :CHC(:O)-alkoxy; R7 = H, F; R6 and R7 taken together for a bond; R8 = H, F; and their pharmaceutically acceptable salts; with provisos] were prepared as β-site APP-cleaving enzyme (BACE) inhibitors. Thus, amidation of 6-Fluoro-5-[(methylsulfonyl)(methyl)amino]-N-methyl-N-propylisophthalamic acid (preparation given) with (R)-2-((1S,2S)-2-Amino-1-hydroxy-3-phenylpropyl)pyrrolidine-1-carboxylic acid tert-Bu ester and Boc-deprotection gave II•HCl. I exhibited an IC50 for BACE1 and BACE2 of at least 15 μM in a BACE1 and BACE2 mcaFRET assay. Thus, I are useful for treating Alzheimer's disease and preventing progressive of mild cognitive impairment to Alzheimer's disease.
IT 869530-21-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[(3-trifluoromethylbenzyl)oxy]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869530-21-2 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[[3-(trifluoromethyl)phenyl]methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 869530-30-3P, 2-(S)-[2-[[[2-[((1S)-1-Methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-1-(S)-hydroxy-3-phenylpropyl]-3-(S)-fluoropyrrolidine-1-carboxylic acid hydrochloride 869530-31-4P, 2-(S)-[2-[[[2-(S)-sec-Butylamino-6-[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-1-(S)-hydroxy-3-phenylpropyl]-3,3-difluoropyrrolidine-1-carboxylic acid hydrochloride 869530-36-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((R)-pyrrolidin-2-yl)ethyl]acetamide hydrochloride 869530-37-0P, Toluene-4-sulfonic acid (3R,5R)-5-((1R,2S)-2-acetylamino-1-hydroxy-3-phenylpropyl)pyrrolidin-3-yl ester hydrochloride 869530-38-1P 869530-39-2P 869530-41-6P 869530-42-7P, N-[1-(S)-Benzyl-2-(R)-(4-(S)-fluoropyrrolidin-2-yl)-2-(R)-hydroxyethyl]-2-[((1S)-1-methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]isonicotinamide bis(hydrochloride) 869530-43-8P, N-[1-(S)-Benzyl-2-(R)-(4-(R)-fluoropyrrolidin-2-yl)-2-(R)-hydroxyethyl]-2-(S)-sec-butylamino-6-(methanesulfonylmethylamino)isonicotinamide bis(hydrochloride) 869530-44-9P, N-[(1S,2R)-1-Benzyl-2-((2R)-4,4-difluoropyrrolidin-2-yl)-2-hydroxyethyl]-2-[((1S)-1-methylpropyl)amino]-6-[(methanesulfonyl)(methyl)amino]isonicotinamide bis(hydrochloride) 869530-48-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-2-((R)-pyrrolidin-2-yl)ethyl]-2-[((1S)-1-methylpropyl)amino]-6-(methylsulfonyl)isonicotinamide hydrochloride 869530-49-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-pyrrolidin-2-ylethyl]-2-[((1S)-1-methylpropyl)amino]-6-(methanesulfonylmethylamino)isonicotinamide hydrochloride 869530-50-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-pyrrolidin-2-ylethyl]-2-[(methylsulfonyl)(methyl)amino]-6-[(methyl)(propyl)amino]isonicotinamide hydrochloride 869530-51-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-(2-pyrrolidinyl)ethyl]-N',N'-dipropylisophthalamide hydrochloride 869530-52-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-(2-pyrrolidinyl)ethyl]-2-(2'-fluorophenyl)isonicotinamide bis(hydrochloride) 869530-53-0P, 2'-Fluorobiphenyl-3-ylcarboxylic acid N-[1-(S)-benzyl-2-(R)-hydroxy-2-(R)-pyrrolidin-2-ylethyl]amide hydrochloride 869530-54-1P 869530-55-2P 869530-56-3P, N-[(1S,2R)-1-Benzyl-2-(R)-(5,5-dimethylpyrrolidin-2-

yl)-2-hydroxyethyl]-2-[((1S)-1-methylpropyl)amino]-6-
[(methylsulfonyl)(methyl)amino]isonicotinamide hydrochloride
869530-57-4P, N'-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-pyrrolidin-2-
ylethyl]-4-fluoro-5-[(methylsulfonyl)(methyl)amino]-N-methyl-N-
propylisophthalamide hydrochloride 869530-60-9P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-pyrrolidin-2-ylethyl]acetamide
hydrochloride 869530-61-0P,
N-[(1S,2R)-1-Benzyl-2-(R)-(5,5-dimethylpyrrolidin-2-yl)-2-
hydroxyethyl]acetamide hydrochloride 869530-62-1P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-
methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-64-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
((2R,5S)-5-phenylpyrrolidin-2-yl)ethyl]acetamide hydrochloride
869530-65-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
((2R,4R)-4-hydroxypyrrolidin-2-yl)ethyl]acetamide hydrochloride
869530-66-5P 869530-69-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(benzyloxy)pyrrolidin-2-yl]-
2-hydroxyethyl]acetamide hydrochloride 869530-70-1P
869530-71-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4S)-4-
(hexyl)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
869530-72-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-
(hexyl)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
869530-73-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4R)-4-[2-oxo-2-(piperidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide
hydrochloride 869530-75-6P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-
(piperidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-76-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4R)-4-[2-(morpholin-4-yl)-2-oxoethyl]pyrrolidin-2-yl]ethyl]acetamide
hydrochloride 869530-77-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-[2-(morpholin-4-
yl)-2-oxoethyl]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-78-9P 869530-79-0P 869530-80-3P
869530-81-4P 869530-82-5P,
N-[(1S,2R)-2-[(2R,4R)-4-[2-(Azepan-1-yl)-2-oxoethyl]pyrrolidin-2-yl]-1-
(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride
869530-83-6P, N-[(1S,2R)-2-[(2R,4S)-4-[2-(Azepan-1-yl)-2-
oxoethyl]pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide
hydrochloride 869530-84-7P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[2-oxo-2-
(pyrrolidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-85-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4S)-4-[2-oxo-2-(pyrrolidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide
hydrochloride 869530-86-9P,
[(R)-5-[(1R,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-
hydroxypropyl]pyrrolidin-(3Z)-ylidene]acetic acid methyl ester
hydrochloride 869530-88-1P,
[(R)-5-[(1R,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-
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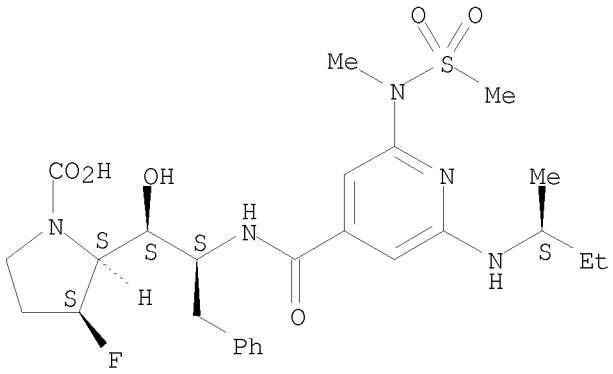
hydrochloride 869530-89-2P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(2-
methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-91-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4R)-4-(4-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-92-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4R)-4-(3-butoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-93-8P, N-[(1S,2R)-2-[(2R,4S)-4-(3-Butoxyphenoxy)pyrrolidin-
2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride
869530-94-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4S)-4-(3-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
869530-95-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(2R,4S)-4-(2-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride

869530-96-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-trifluoromethoxybenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-98-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methylbenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-99-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methoxybenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-00-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(4-methylbenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-01-1P, N-[(1S,2R)-2-[(2R,4R)-4-(4-tert-Butylbenzyloxy)pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-02-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(4-methylpentyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-03-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(3,3-dimethylbutoxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-04-4P, N-[(1S,2R)-2-[(2R,4R)-4-(2-Cyclohexylethoxy)pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-05-5P, N-[(1S,2R)-2-((2R,4R)-4-Cyclohexylmethoxypyrrolidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-06-6P 869531-07-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(3,3-dimethylpentyloxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-08-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(4,4-dimethylpentyloxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-09-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(3,3-dimethyl-2-oxobutoxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-10-2P, N-[(1S,2R)-2-((2R,4R)-4-Cyclopropylmethoxypyrrolidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-11-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-13-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,4R)-4-propoxypyrrolidin-2-yl)ethyl]acetamide hydrochloride 869531-14-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(2-hydroxy-3,3-dimethylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-16-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[((2R)-2-hydroxy-3,3-dimethylbutyl)oxy]pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-17-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(2-(S)-hydroxy-3,3-dimethylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-18-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(2,3-dihydroxy-3-methylbutoxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-20-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-hydroxy-3-methylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-22-6P, tert-Butylcarbamic acid (3R,5R)-5-[(1R,2S)-2-acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidin-3-yl ester hydrochloride 869531-24-8P, Adamantan-1-ylcarbamic acid (3R,5R)-5-[(1R,2S)-2-acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidin-3-yl ester hydrochloride 869531-25-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methylbutoxy)-4-trifluoromethylpyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-26-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-(3-methylbutoxy)-4-trifluoromethylpyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-27-1P, N-[(1S,2R)-2-[(2R,4R)-4-(2-Cyclohexylethoxy)-4-trifluoromethylpyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-33-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,4R)-4-hydroxy-4-

propylpyrrolidin-2-yl)ethyl]acetamide hydrochloride 869531-35-1P , N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,4S)-4-phenylpyrrolidin-2-yl)ethyl]acetamide trifluoroacetate 869531-36-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-(3-isopropoxyphenyl)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869530-30-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-fluoro-2-[(1S,2S)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]-3-phenylpropyl]-, hydrochloride (1:1), (2S,3S)- (CA INDEX NAME)

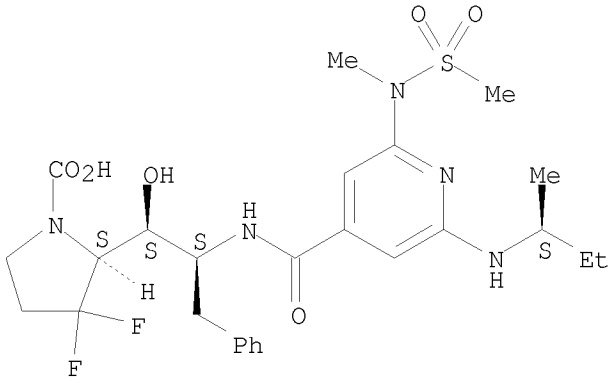
Absolute stereochemistry.



● HCl

RN 869530-31-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3,3-difluoro-2-[(1S,2S)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]-3-phenylpropyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

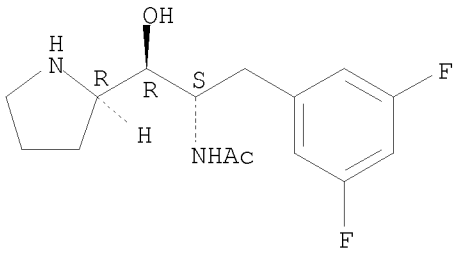
Absolute stereochemistry.



● HCl

RN 869530-36-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

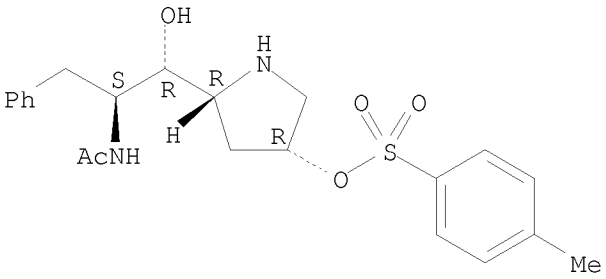
Absolute stereochemistry.



● HCl

RN 869530-37-0 CAPLUS
CN Acetamide, N-[(1S,2R)-2-hydroxy-2-[(2R,4R)-4-[[(4-methylphenyl)sulfonyl]oxy]-2-pyrrolidinyl]-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

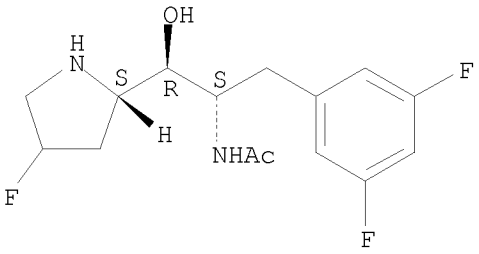
Absolute stereochemistry.



● HCl

RN 869530-38-1 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2S)-4-fluoro-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

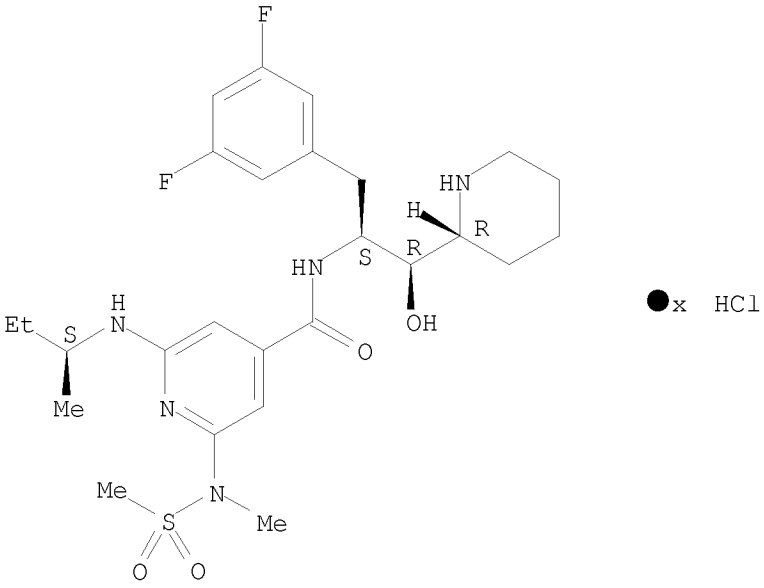
Absolute stereochemistry.



● HCl

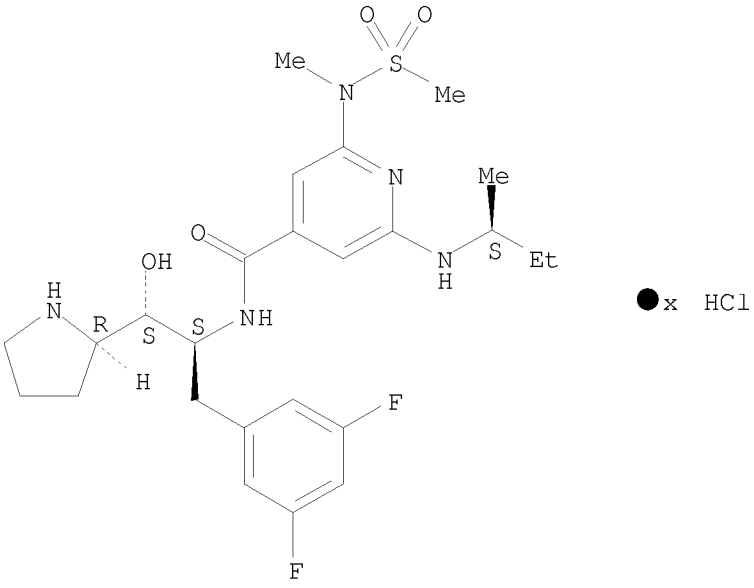
RN 869530-39-2 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



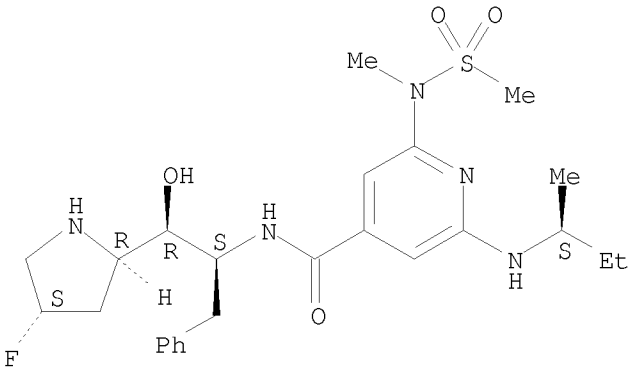
RN 869530-41-6 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.



RN 869530-42-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-[(2R,4S)-4-fluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

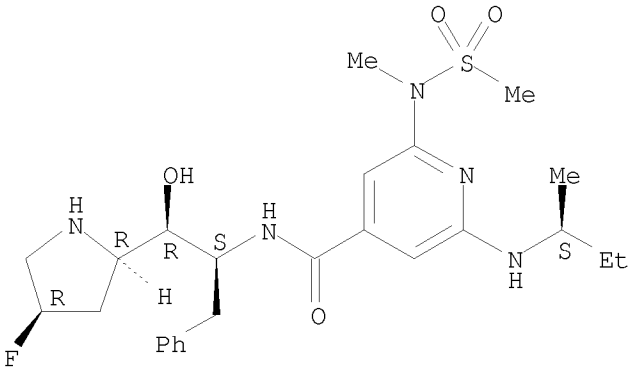
Absolute stereochemistry.



● 2 HCl

RN 869530-43-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-[(2R,4R)-4-fluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

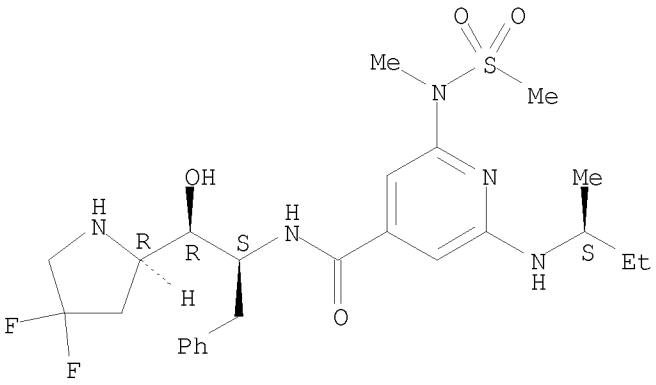
Absolute stereochemistry.



● 2 HCl

RN 869530-44-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-[(2R)-4,4-difluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

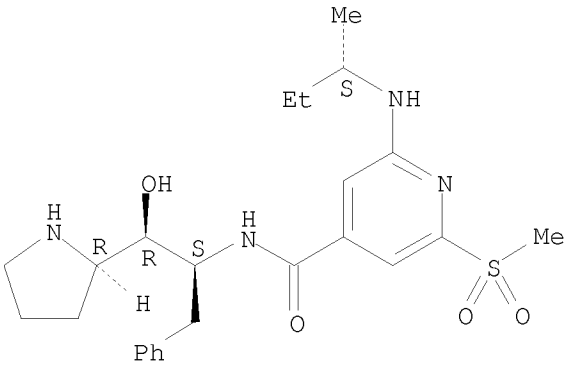
Absolute stereochemistry.



●2 HCl

RN 869530-48-3 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-2-[[(1S)-1-methylpropyl]amino]-6-(methylsulfonyl)-, hydrochloride (1:?) (CA INDEX NAME)

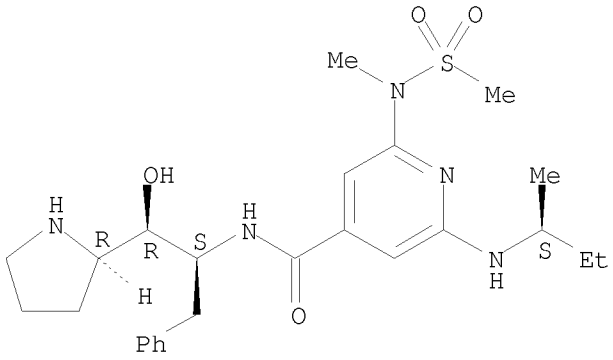
Absolute stereochemistry.



●x HCl

RN 869530-49-4 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

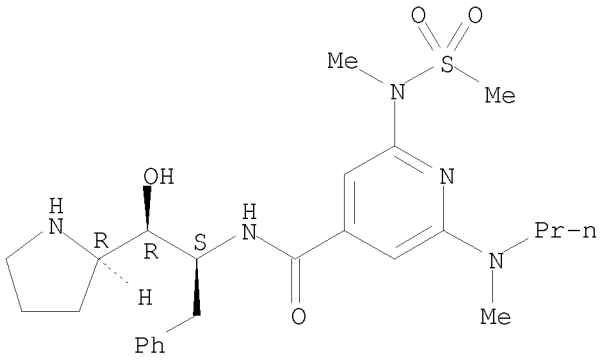
Absolute stereochemistry.



● x HCl

RN 869530-50-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-(methylpropylamino)-, hydrochloride (1:?) (CA INDEX NAME)

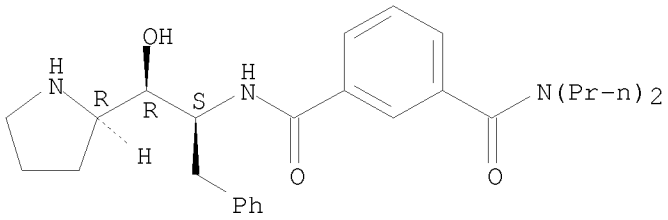
Absolute stereochemistry.



● x HCl

RN 869530-51-8 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-N1,N1-dipropyl-, hydrochloride (1:1) (CA INDEX NAME)

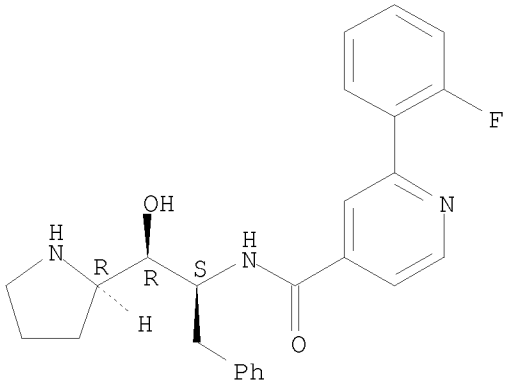
Absolute stereochemistry.



● HCl

RN 869530-52-9 CAPLUS
CN 4-Pyridinecarboxamide, 2-(2-fluorophenyl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:2) (CA INDEX NAME)

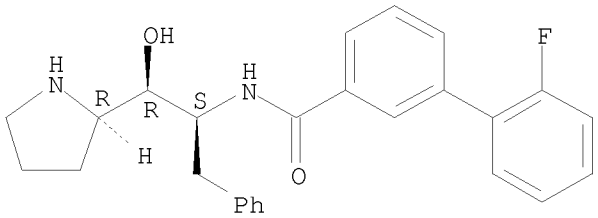
Absolute stereochemistry.



●2 HCl

RN 869530-53-0 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 2'-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

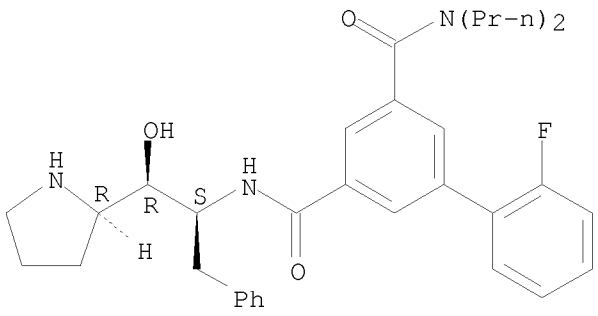
Absolute stereochemistry.



● HCl

RN 869530-54-1 CAPLUS
CN [1,1'-Biphenyl]-3,5-dicarboxamide, 2'-fluoro-N5-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-N3,N3-dipropyl-, hydrochloride (1:1) (CA INDEX NAME)

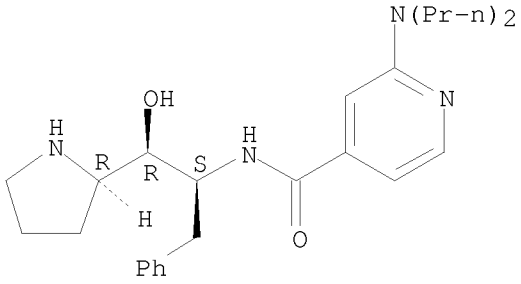
Absolute stereochemistry.



● HCl

RN 869530-55-2 CAPLUS
CN 4-Pyridinecarboxamide, 2-(dipropylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:?) (CA INDEX NAME)

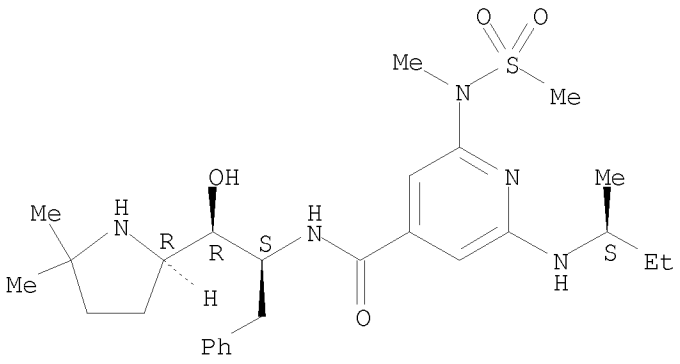
Absolute stereochemistry.



●x HCl

RN 869530-56-3 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-[(2R)-5,5-dimethyl-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

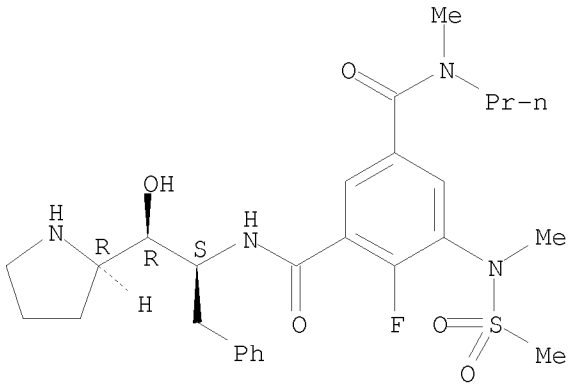
Absolute stereochemistry.



● x HCl

RN 869530-57-4 CAPLUS
CN 1,3-Benzenedicarboxamide, 4-fluoro-N3-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-N1-methyl-5-[methyl(methylsulfonyl)amino]-N1-propyl-, hydrochloride (1:1) (CA INDEX NAME)

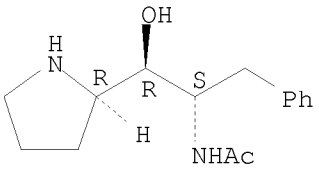
Absolute stereochemistry.



● HCl

RN 869530-60-9 CAPLUS
CN Acetamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

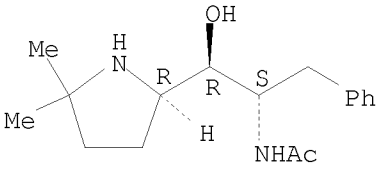
Absolute stereochemistry.



● HCl

RN 869530-61-0 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R)-5,5-dimethyl-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

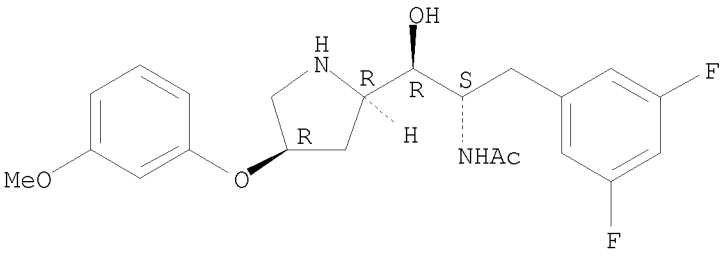
Absolute stereochemistry.



● HCl

RN 869530-62-1 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

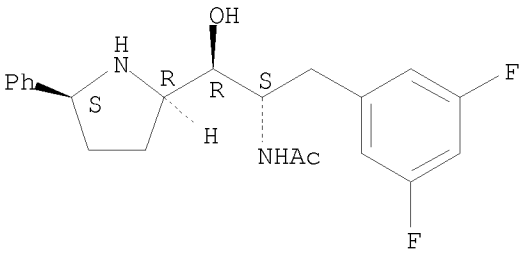
Absolute stereochemistry.



● HCl

RN 869530-64-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5S)-5-phenyl-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

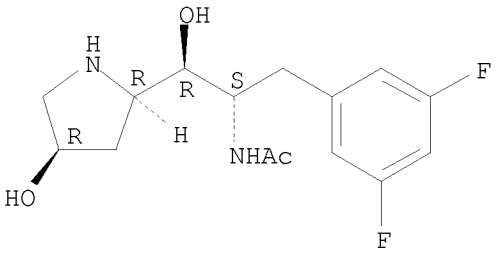
Absolute stereochemistry.



● HCl

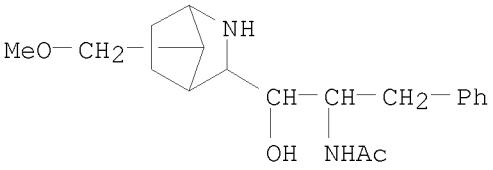
RN 869530-65-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

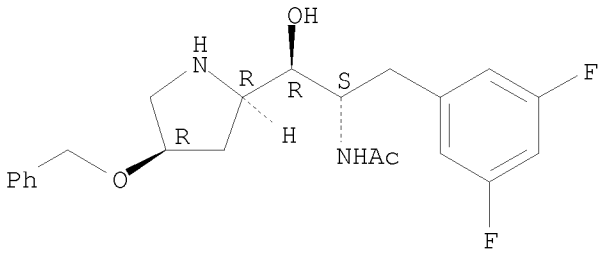
RN 869530-66-5 CAPLUS
CN Acetamide, N-[2-hydroxy-2-[7-(methoxymethyl)-2-azabicyclo[2.2.1]hept-3-yl]-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 869530-69-8 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

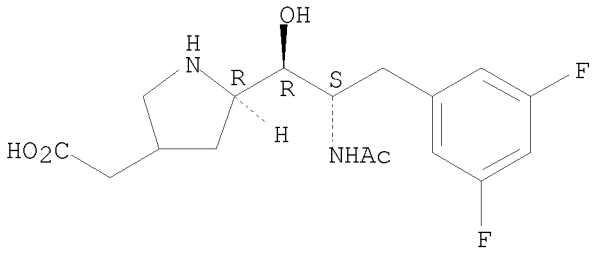
Absolute stereochemistry.



● HCl

RN 869530-70-1 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

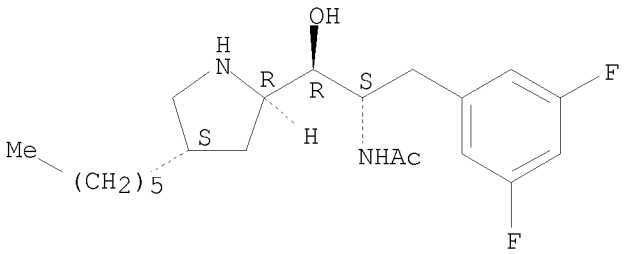
Absolute stereochemistry.



● HCl

RN 869530-71-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-hexyl-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

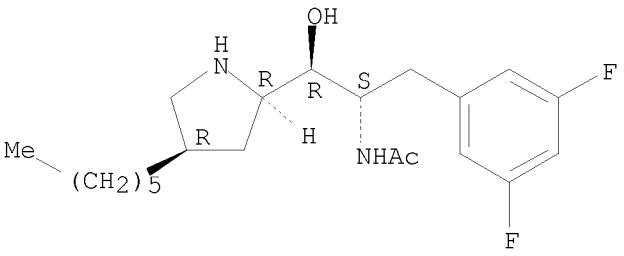
Absolute stereochemistry.



● HCl

RN 869530-72-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-hexyl-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

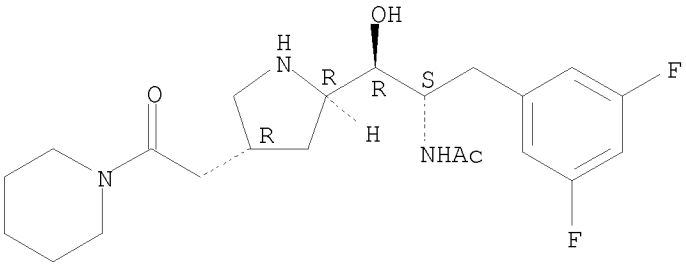
Absolute stereochemistry.



● HCl

RN 869530-73-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[2-oxo-2-(1-piperidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

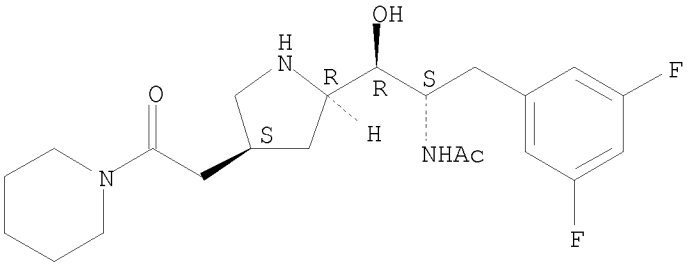
Absolute stereochemistry.



● HCl

RN 869530-75-6 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-(1-piperidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

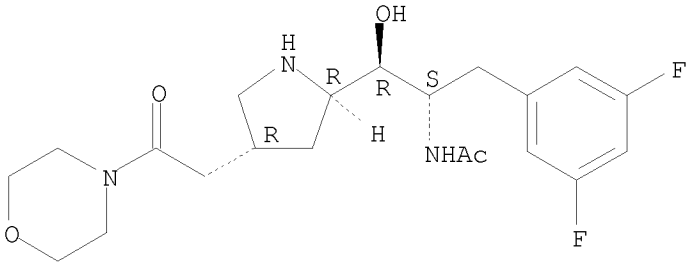
Absolute stereochemistry.



● HCl

RN 869530-76-7 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[2-(4-morpholinyl)-2-oxoethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

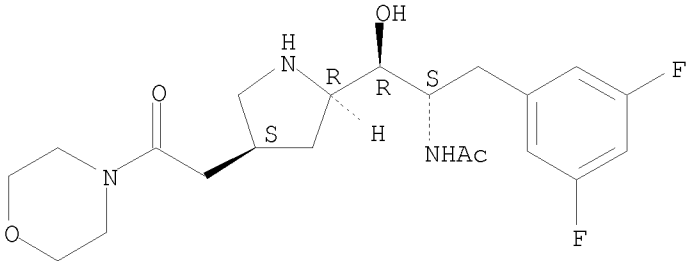
Absolute stereochemistry.



● HCl

RN 869530-77-8 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[2-(4-morpholinyl)-2-oxoethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

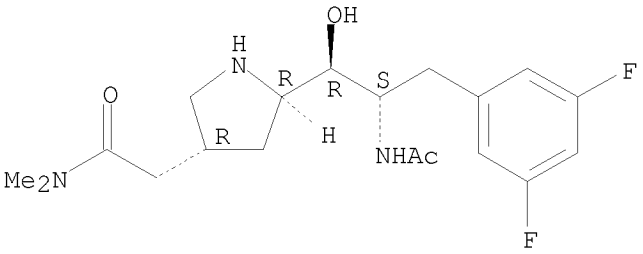
Absolute stereochemistry.



● HCl

RN 869530-78-9 CAPLUS
CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-dimethyl-, hydrochloride (1:1), (3R,5R)- (CA INDEX NAME)

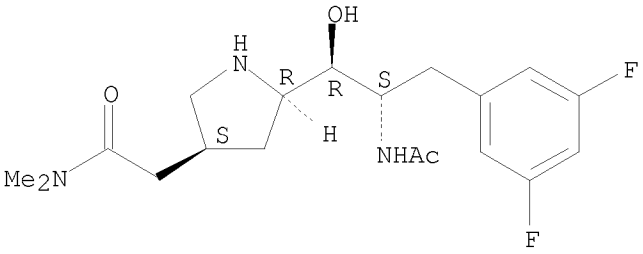
Absolute stereochemistry.



● HCl

RN 869530-79-0 CAPLUS
CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-dimethyl-, hydrochloride (1:1), (3S,5R)- (CA INDEX NAME)

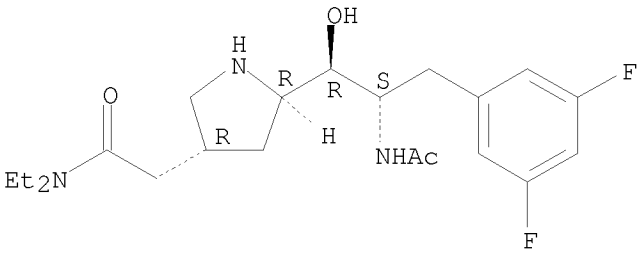
Absolute stereochemistry.



● HCl

RN 869530-80-3 CAPLUS
CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-diethyl-, hydrochloride (1:1), (3R,5R)- (CA INDEX NAME)

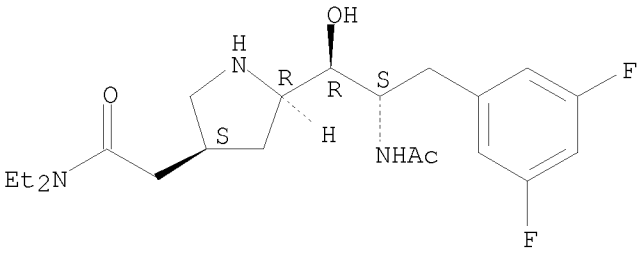
Absolute stereochemistry.



● HCl

RN 869530-81-4 CAPLUS
CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-diethyl-, hydrochloride (1:1), (3S,5R)- (CA INDEX NAME)

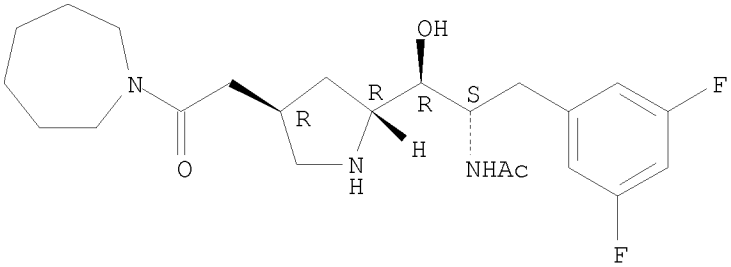
Absolute stereochemistry.



● HCl

RN 869530-82-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethyl]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

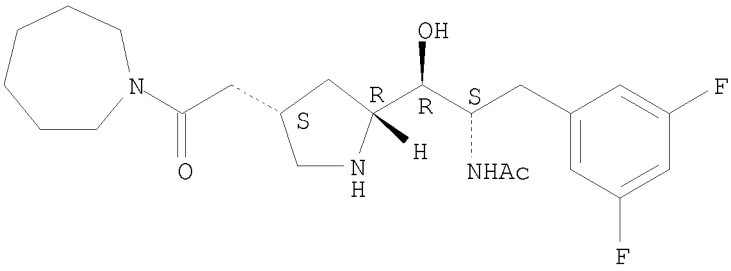


● HCl

RN 869530-83-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethyl]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

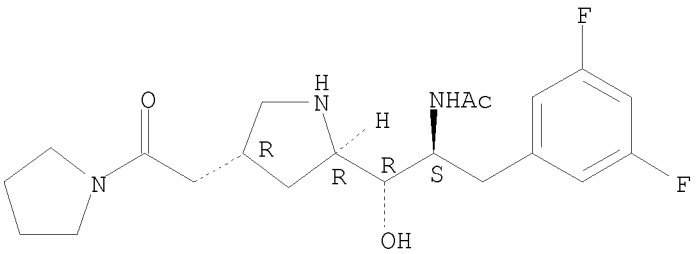
Absolute stereochemistry.



● HCl

RN 869530-84-7 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

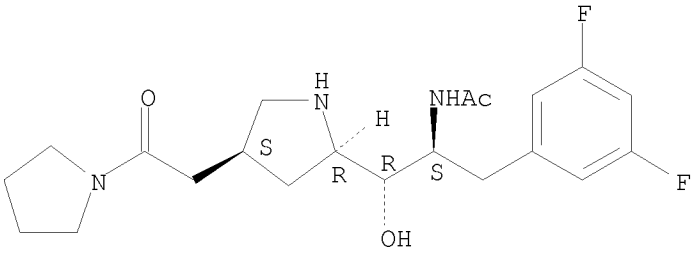
Absolute stereochemistry.



● HCl

RN 869530-85-8 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

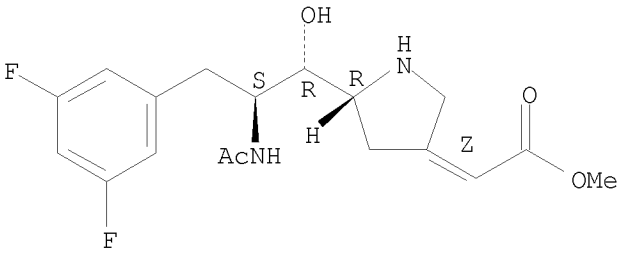
Absolute stereochemistry.



● HCl

RN 869530-86-9 CAPLUS
CN Acetic acid, 2-[(5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinylidene]-, methyl ester, hydrochloride (1:1), (2Z)- (CA INDEX NAME)

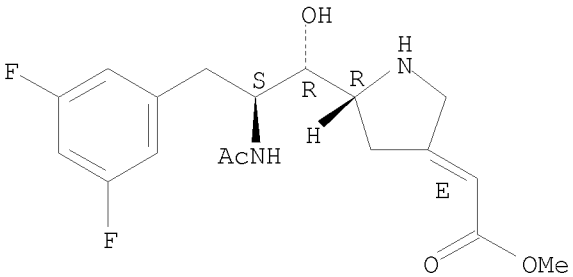
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 869530-88-1 CAPLUS
CN Acetic acid, 2-[(5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinylidene]-, methyl ester, hydrochloride (1:1), (2E)- (CA INDEX NAME)

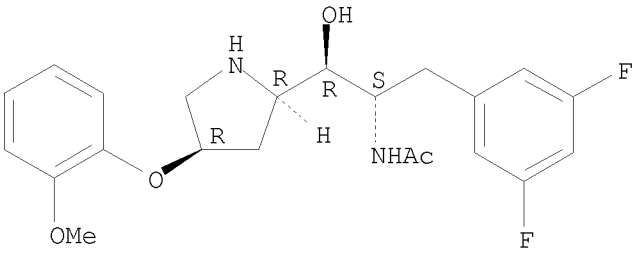
Absolute stereochemistry.
Double bond geometry as shown.



● HCl

RN 869530-89-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

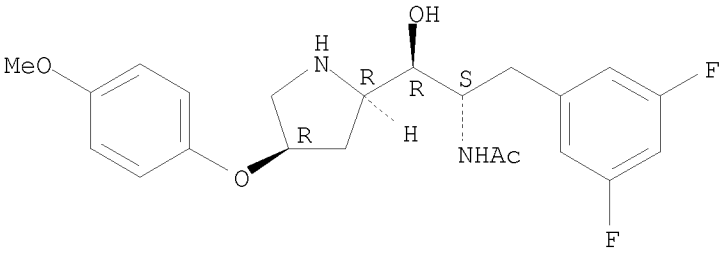
Absolute stereochemistry.



● HCl

RN 869530-91-6 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(4-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

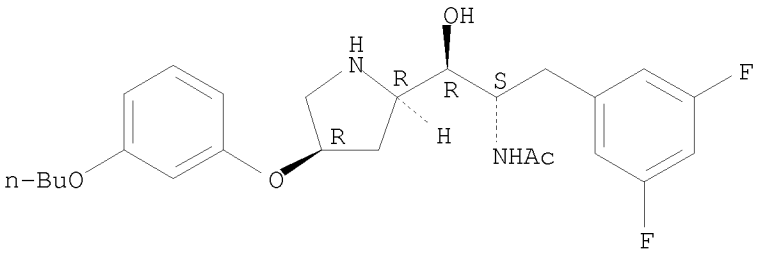
Absolute stereochemistry.



● HCl

RN 869530-92-7 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(3-butoxyphenoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

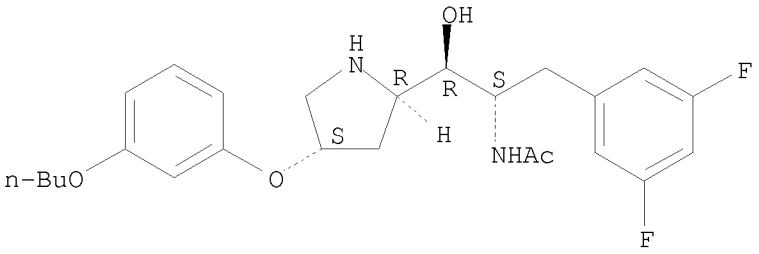
Absolute stereochemistry.



● HCl

RN 869530-93-8 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4S)-4-(3-butoxyphenoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

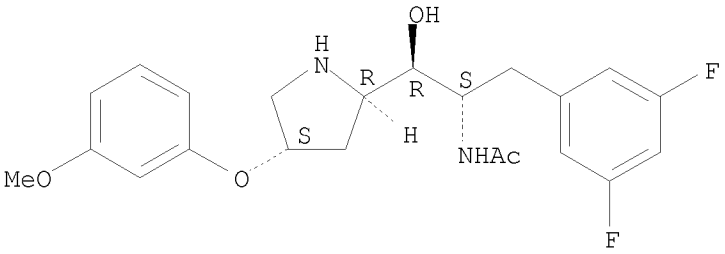
Absolute stereochemistry.



● HCl

RN 869530-94-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(3-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

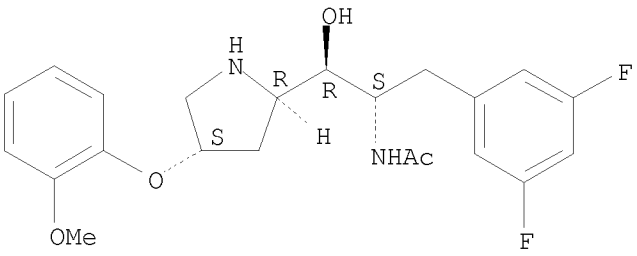


● HCl

RN 869530-95-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-

4-(2-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

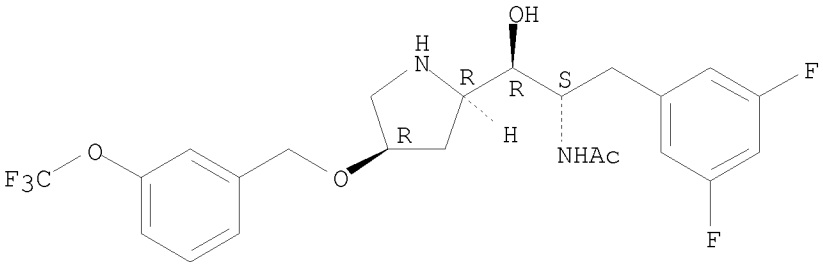
Absolute stereochemistry.



● HCl

RN 869530-96-1 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[[3-(trifluoromethoxy)phenyl]methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

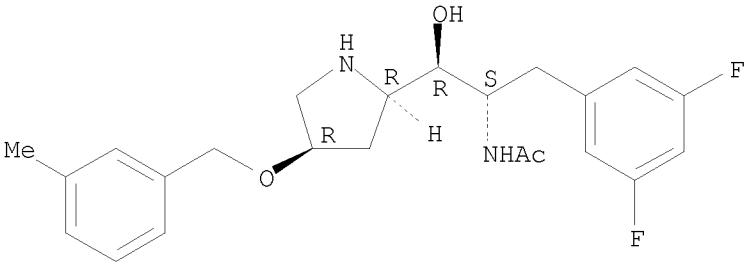
Absolute stereochemistry.



● HCl

RN 869530-98-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(3-methylphenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

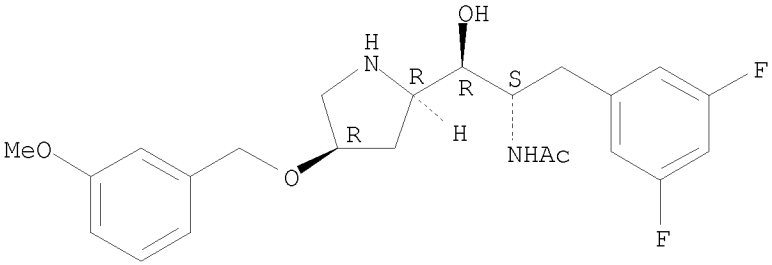
Absolute stereochemistry.



● HCl

RN 869530-99-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(3-methoxyphenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

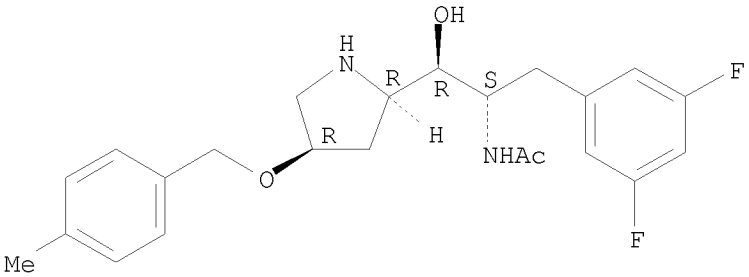
Absolute stereochemistry.



● HCl

RN 869531-00-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(4-methylphenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

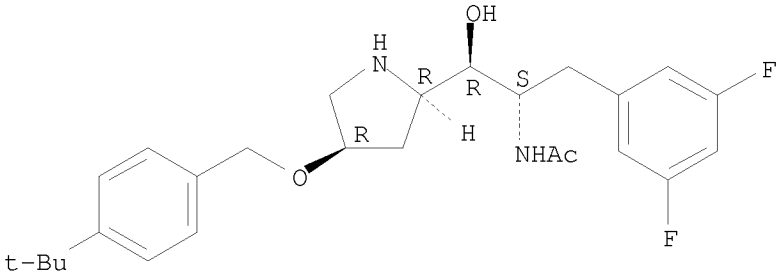
Absolute stereochemistry.



● HCl

RN 869531-01-1 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[[4-(1,1-dimethylethyl)phenyl]methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

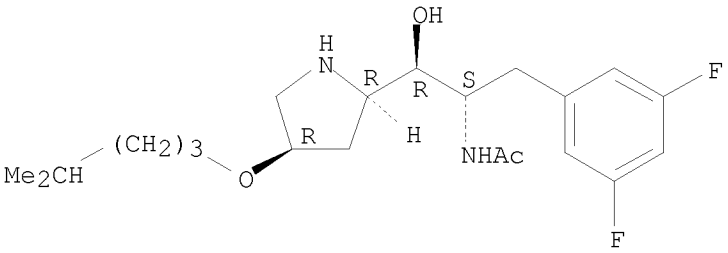
Absolute stereochemistry.



● HCl

RN 869531-02-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(4-methylpentyl)oxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

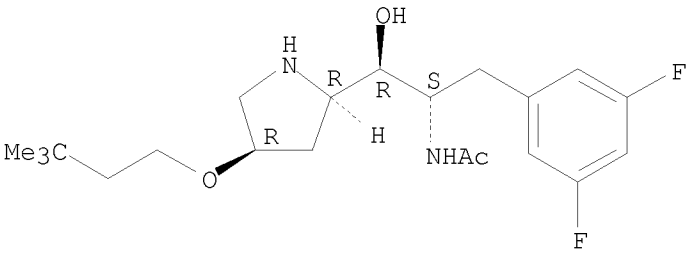
Absolute stereochemistry.



● HCl

RN 869531-03-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-(3,3-dimethylbutoxy)-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

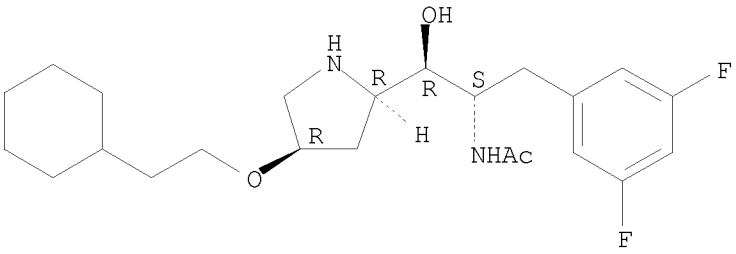
Absolute stereochemistry.



● HCl

RN 869531-04-4 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(2-cyclohexylethoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

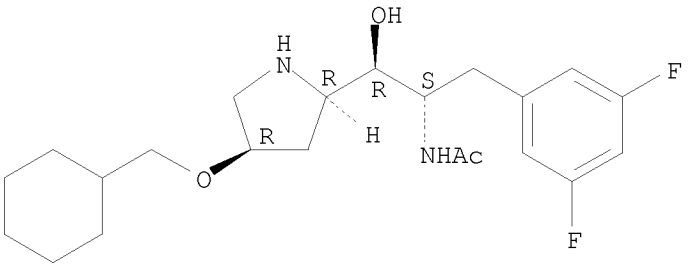
Absolute stereochemistry.



● HCl

RN 869531-05-5 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(cyclohexylmethoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

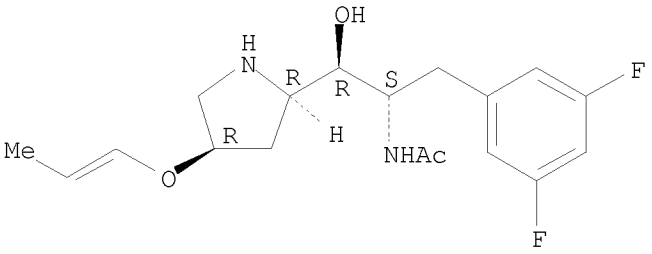


● HCl

RN 869531-06-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(1-propen-1-yloxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

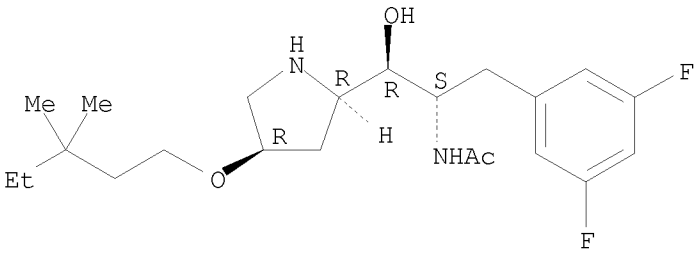
Absolute stereochemistry.
Double bond geometry unknown.



● HCl

RN 869531-07-7 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(3,3-dimethylpentyl)oxy]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

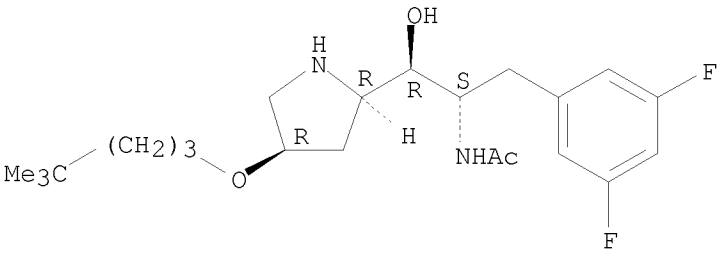
Absolute stereochemistry.



● HCl

RN 869531-08-8 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(4,4-dimethylpentyl)oxy]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

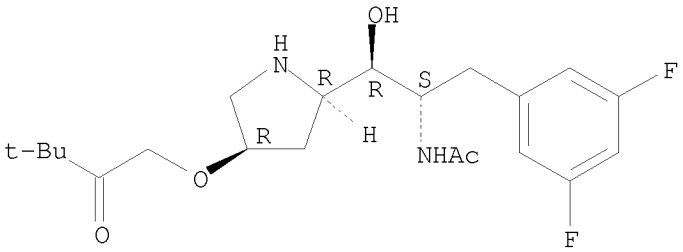
Absolute stereochemistry.



● HCl

RN 869531-09-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-(3,3-dimethyl-2-oxobutoxy)-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

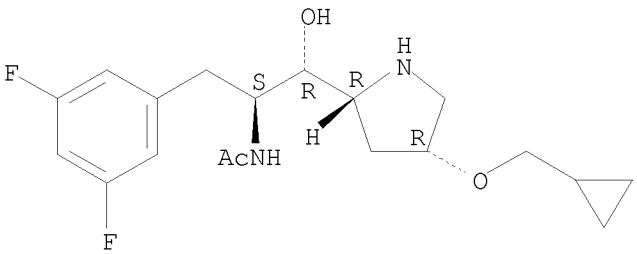
Absolute stereochemistry.



● HCl

RN 869531-10-2 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(cyclopropylmethoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

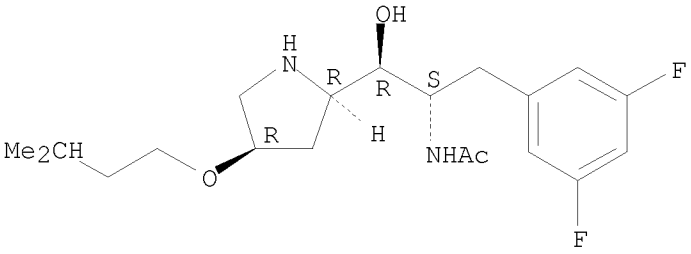


● HCl

RN 869531-11-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-

4-(3-methylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

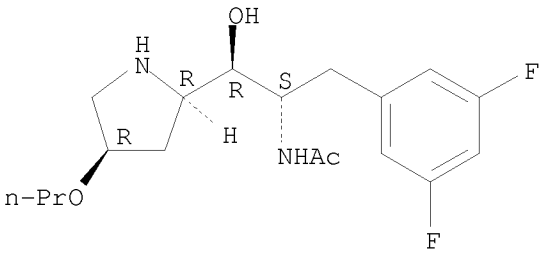
Absolute stereochemistry.



● HCl

RN 869531-13-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-propoxy-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

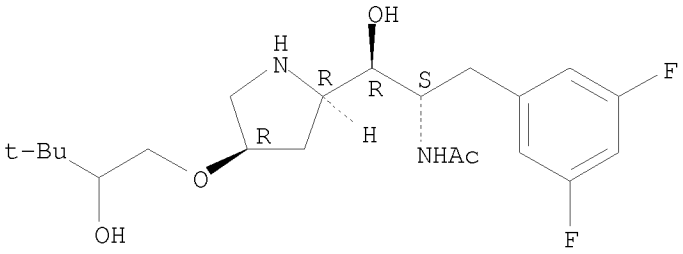
Absolute stereochemistry.



● HCl

RN 869531-14-6 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-hydroxy-3,3-dimethylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

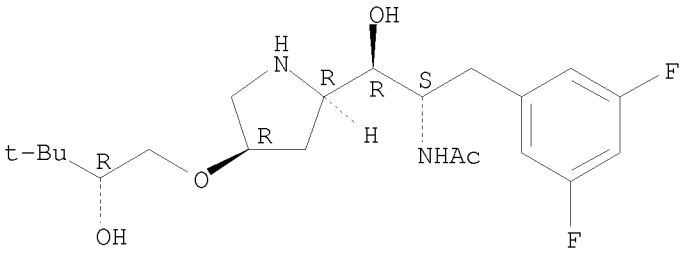
Absolute stereochemistry.



● HCl

RN 869531-16-8 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(2R)-2-hydroxy-3,3-dimethylbutoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

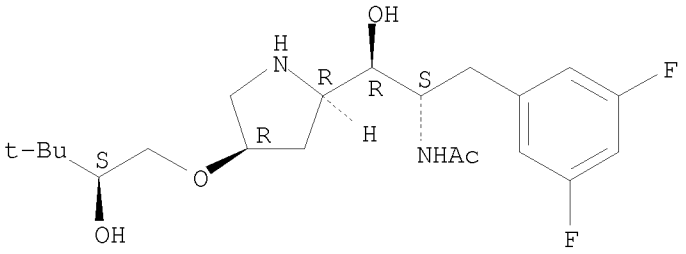
Absolute stereochemistry.



● HCl

RN 869531-17-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(2S)-2-hydroxy-3,3-dimethylbutoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

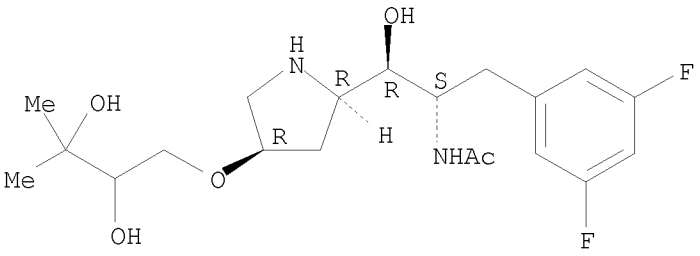
Absolute stereochemistry.



● HCl

RN 869531-18-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-(2,3-dihydroxy-3-methylbutoxy)-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

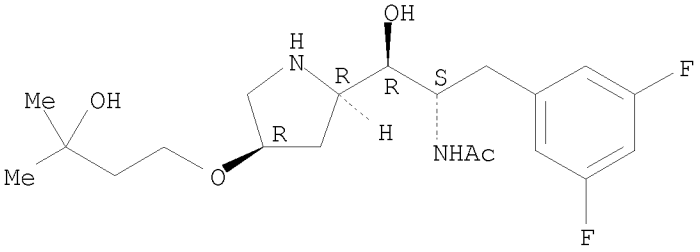
Absolute stereochemistry.



● HCl

RN 869531-20-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-hydroxy-3-methylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

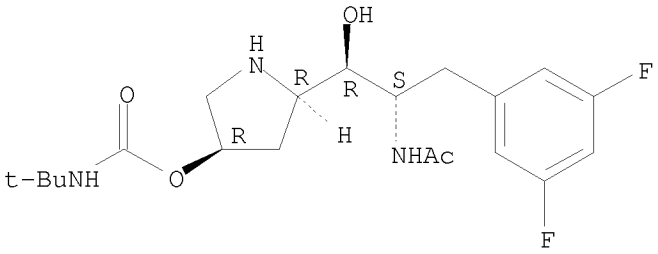
Absolute stereochemistry.



● HCl

RN 869531-22-6 CAPLUS
CN Carbamic acid, (1,1-dimethylethyl)-, (3R,5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

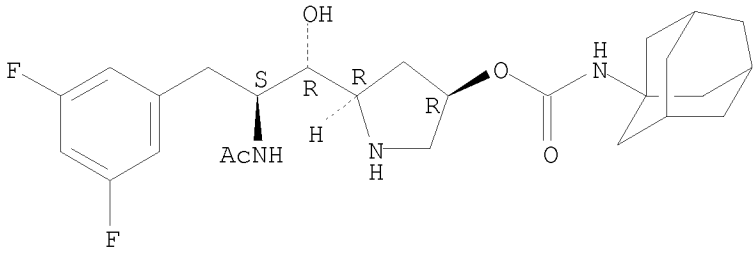


● HCl

RN 869531-24-8 CAPLUS
CN Carbamic acid, tricyclo[3.3.1.13,7]dec-1-yl-,

(3R,5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)

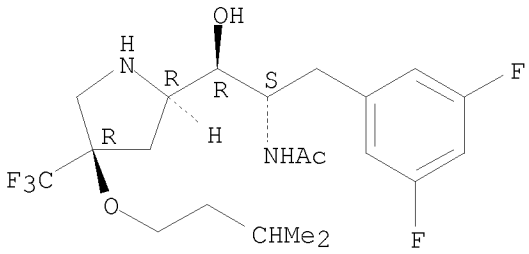
Absolute stereochemistry.



● HCl

RN 869531-25-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-methylbutoxy)-4-(trifluoromethyl)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

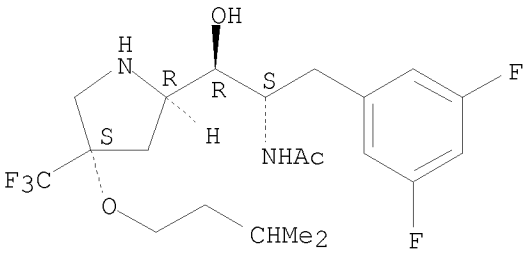
Absolute stereochemistry.



● HCl

RN 869531-26-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(3-methylbutoxy)-4-(trifluoromethyl)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

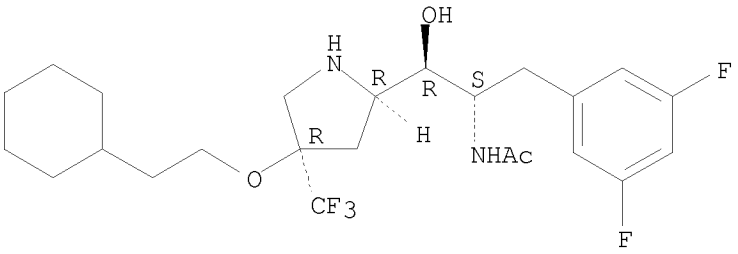
Absolute stereochemistry.



● HCl

RN 869531-27-1 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(2-cyclohexylethoxy)-4-(trifluoromethyl)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

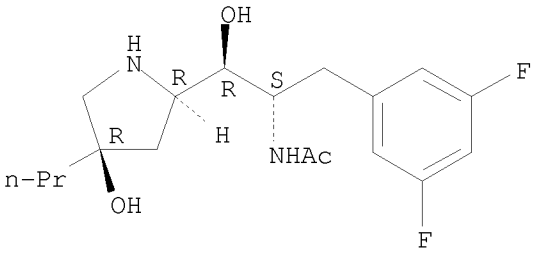
Absolute stereochemistry.



● HCl

RN 869531-33-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-4-propyl-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

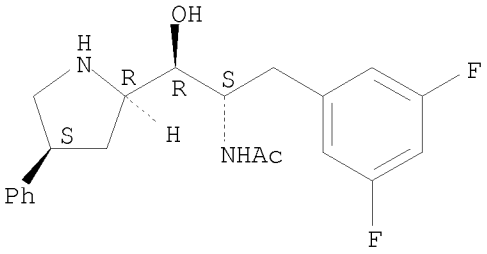
RN 869531-35-1 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-

4-phenyl-2-pyrrolidinyl]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

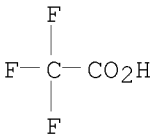
CRN 869531-34-0
CMF C21 H24 F2 N2 O2

Absolute stereochemistry.



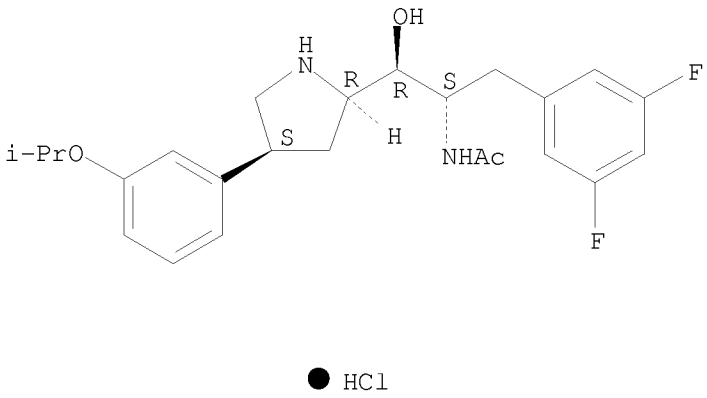
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 869531-36-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[3-(1-methylethoxy)phenyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

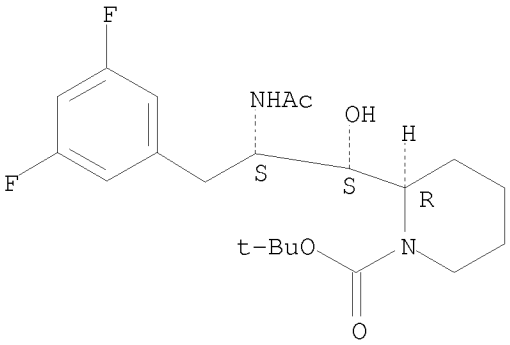
IT 869527-61-7P, 2-(2R)-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidine-1-carboxylic acid tert-butyl ester
869527-63-9P, 2-(R)-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidine-1-carboxylic acid tert-butyl ester

869530-32-5P 869530-34-7P 869530-40-5P,
2-(R)-[2-(S)-[[[2-[((1S)-1-Methylpropyl)amino]-6-
[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-3-(3,5-
difluorophenyl)-1-(S)-hydroxypropyl]piperidine-1-carboxylic acid
tert-butyl ester 869530-63-2P,
(2R,4R)-2-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-
(3-methoxyphenoxy)pyrrolidine-1-carboxylic acid tert-butyl ester
869530-67-6P, 3-(2-Acetylamino-1-hydroxy-3-phenylpropyl)-7-
methoxymethyl-2-azabicyclo[2.2.1]heptane-2-carboxylic acid tert-butyl
ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of amides as BACE inhibitors for treating
Alzheimer's)

RN 869527-61-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-
difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA
INDEX NAME)

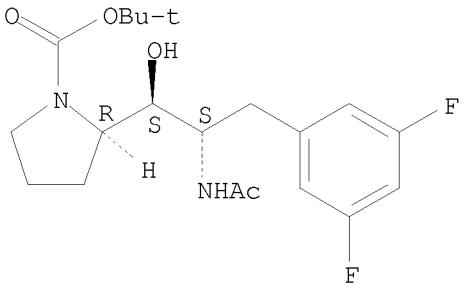
Absolute stereochemistry.



RN 869527-63-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-
difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA
INDEX NAME)

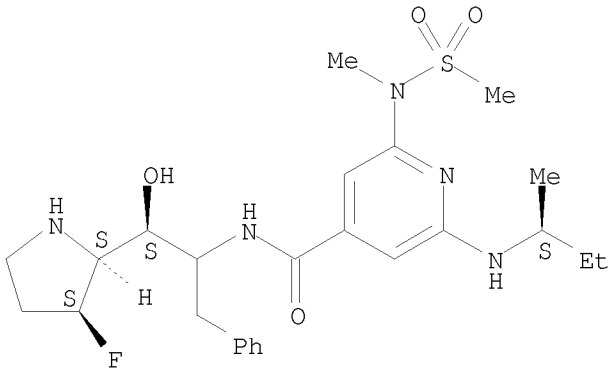
Absolute stereochemistry.



RN 869530-32-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[(2S)-2-[(2S,3S)-3-fluoro-2-pyrrolidinyl]-2-
hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-
methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

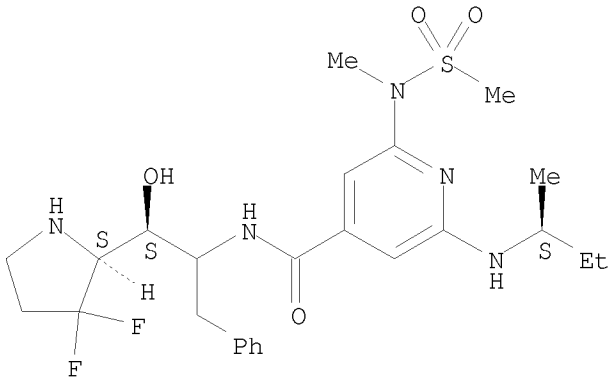
Absolute stereochemistry.



● x HCl

RN 869530-34-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[(2S)-2-[(2S)-3,3-difluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

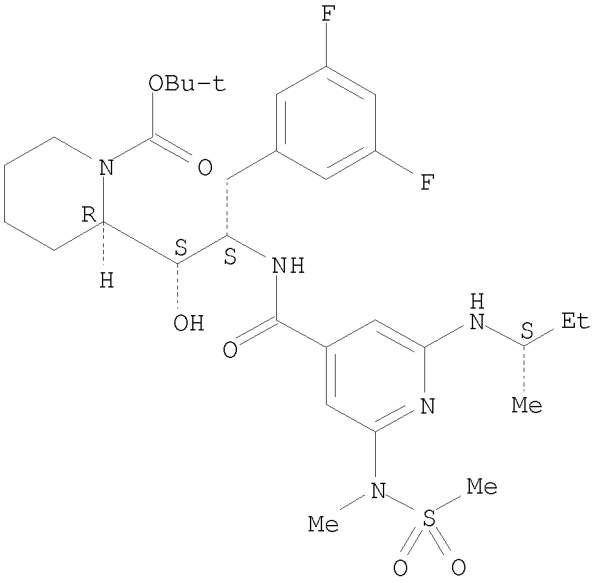
Absolute stereochemistry.



● x HCl

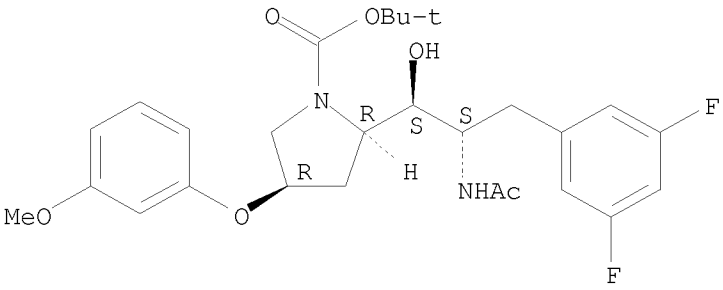
RN 869530-40-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-3-(3,5-difluorophenyl)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]propyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

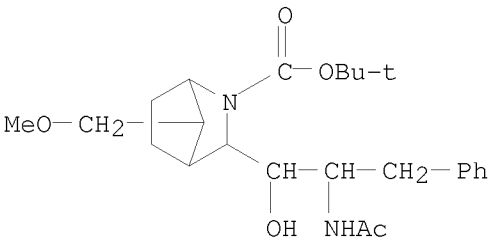


RN 869530-63-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-(3-methoxyphenoxy)-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 869530-67-6 CAPLUS
CN 2-Azabicyclo[2.2.1]heptane-2-carboxylic acid, 3-[2-(acetylamino)-1-hydroxy-3-phenylpropyl]-7-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

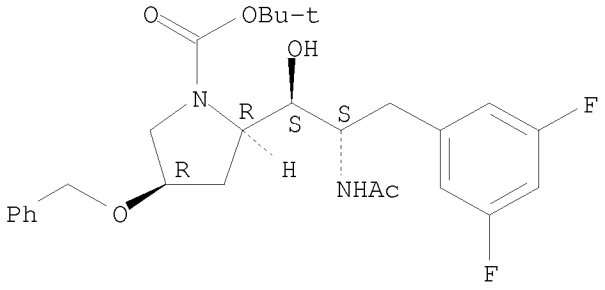


IT 869527-86-6, (2R,4R)-2-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-benzyloxypyrrolidine-1-carboxylic acid tert-butyl ester 869530-18-7, (2R,4R)-2-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-

[(4-methoxybenzyl)oxy]pyrrolidine-1-carboxylic acid tert-butyl ester 869530-33-6, 2-(S)-[2-[[[2-[((1S)-1-Methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-1-(S)-hydroxy-3-phenylpropyl]-3-(S)-fluoropyrrolidine-1-carboxylic acid tert-butyl ester 869530-35-8, 2-(S)-[2-[[[2-[((1S)-1-Methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-1-(S)-hydroxy-3-phenylpropyl]-3,3-difluoropyrrolidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amides as BACE inhibitors for treating Alzheimer's)

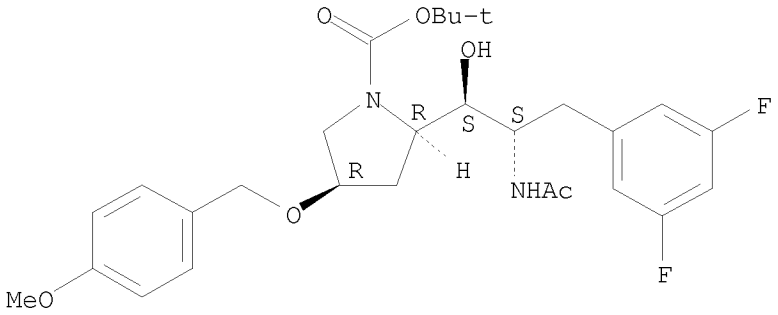
RN 869527-86-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



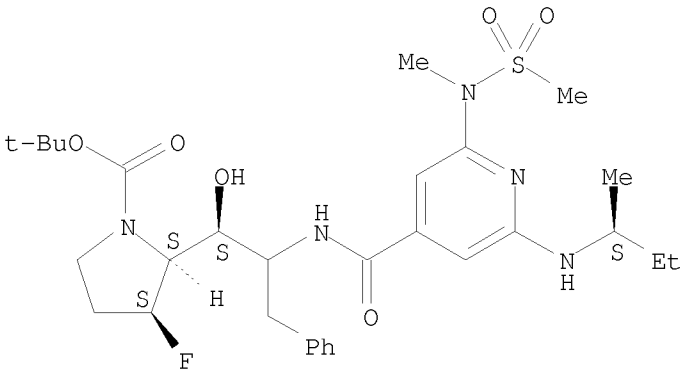
RN 869530-18-7 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-[(4-methoxyphenyl)methoxy]-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



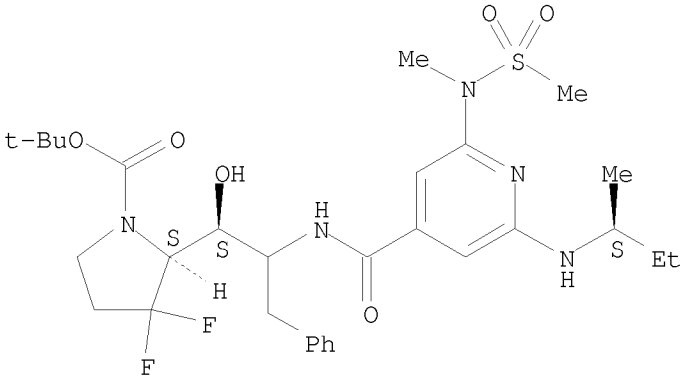
RN 869530-33-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-fluoro-2-[(1S)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 869530-35-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3,3-difluoro-2-[(1S)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1220116 CAPLUS
DOCUMENT NUMBER: 143:477983
TITLE: Preparation of amides as BACE inhibitors for treating Alzheimer's
INVENTOR(S): Bueno Melendo, Ana Belen; Chen, Shu-Hui; Erickson, Jon Andre; Gonzalez-Garcia, Maria Rosario; Guo, Deqi; Marcos Llorente, Alicia; McCarthy, James Ray; Shepherd, Timothy Alan; Sheehan, Scott Martin; Yip, Yvonne Yee Mai
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005108391 A1 20051117 WO 2005-US12189 20050408 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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US 20070225372 A1 20070927 US 2006-599125 20060920 <--
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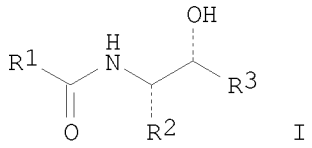
OTHER SOURCE(S): CASREACT 143:477983; MARPAT 143:477983
GI



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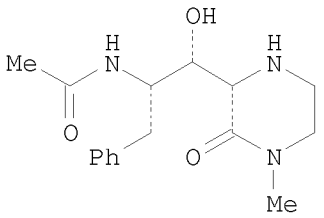


II

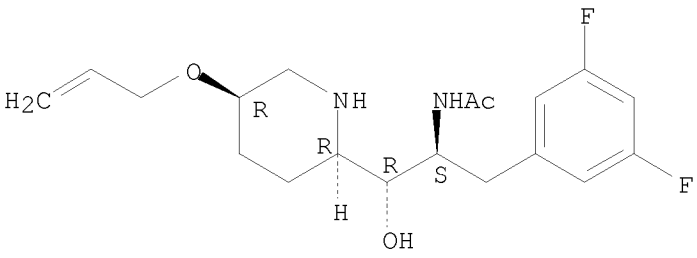
AB Title compds. I [R1 = (un)substituted cycloalkyl/alkyl, biphenyl, cycloalkyl, etc.; R2 = alkyl, (un)substituted benzyl; R3 = (un)substituted piperidin-2-yl, tetrahydropyridin-2-yl, piperazin-2-yl, homopiperidin-2-yl, etc.] were prepared as β-site APP-cleaving enzyme (BACE) inhibitors. Thus, acetylation of 3-(S)-(2-(S)-amino-1-(S)-hydroxy-3-phenylpropyl)-1-methylpiperazin-2-one (preparation given) with AcOH gave amide II•HCl. I exhibited an IC50 for BACE1 and BACE2 of at least 15 μM in a BACE1 and BACE2 mcaFRET assay. Thus, I are useful for treating Alzheimer's disease and preventing progressive of mild cognitive impairment to Alzheimer's disease.

IT 869659-54-1P 869659-58-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869659-54-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(2-propen-1-yloxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

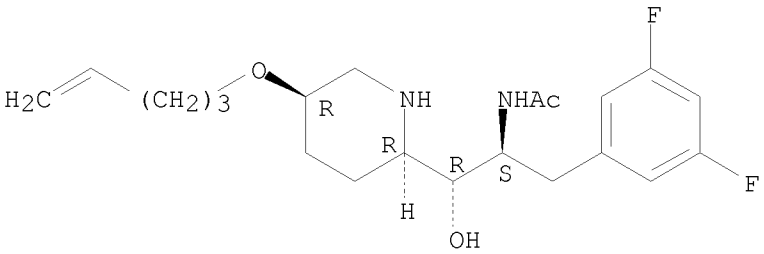
Absolute stereochemistry.



● HCl

RN 869659-58-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(4-penten-1-yloxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

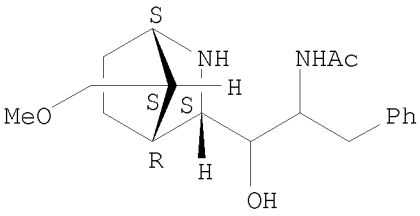
IT 869657-93-2P 869658-88-8P 869658-89-9P
869658-95-7P 869658-96-8P 869658-99-1P,
N-[1-(S)-Benzyl-2-(R)-hydroxy-2-((R)-1,2,3,4-tetrahydroisoquinolin-3-yl)ethyl]-2-[((1S)-1-methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]isonicotinamide hydrochloride
869659-00-7P, 2'-Fluorobiphenyl-3-carboxylic acid
N-[1-(S)-benzyl-2-(R)-hydroxy-2-((R)-1,2,3,4-tetrahydroisoquinolin-3-yl)ethyl]amide hydrochloride 869659-01-8P,
N-[1-Benzyl-2-(6-ethylpiperidin-2-yl)-2-hydroxyethyl]-2-[(1-methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]isonicotinamide hydrochloride 869659-02-9P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-(R)-(piperidin-2-yl)ethyl]acetamide 869659-03-0P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-(piperidin-2-yl)ethyl]acetamide hydrochloride 869659-05-2P,
N-[2-(2-Azabicyclo[2.2.1]hept-3-yl)-1-benzyl-2-hydroxyethyl]acetamide trifluoroacetate 869659-06-3P,
N-[(1S,2R)-2-[(5R,2R)-5-(Benzyloxy)piperidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869659-10-9P
869659-12-1P 869659-14-3P,
N-[2-(2-Azabicyclo[2.2.1]hept-3-yl)-1-benzyl-2-hydroxyethyl]-2-[(1-methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]isonicotinamide

trifluoroacetate 869659-28-9P 869659-34-7P
869659-40-5P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(7-
methoxymethyl-2-azabicyclo[2.2.1]hept-3-yl)ethyl]acetamide hydrochloride
869659-41-6P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-[7-(3-
methylbutyl)-2-azabicyclo[2.2.1]hept-3-yl]ethyl]acetamide hydrochloride
869659-43-8P 869659-44-9P 869659-53-0P
869659-55-2P 869659-56-3P 869659-57-4P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(3-chlorobenzo[b]thien-2-
yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
869659-59-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(5-
chlorobenzo[b]thien-2-yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide
hydrochloride 869659-60-9P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(5-chlorothien-2-
yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
869659-61-0P 869659-62-1P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-
cyclohexylethyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-63-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-(cyclopentylmethyloxy)piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-64-3P 869659-65-4P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-
methylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-66-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(5-
methylthiazol-2-yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide
hydrochloride 869659-67-6P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-
methylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-68-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-[(2-chlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-69-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(3-
chlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-70-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-[(4-chlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-71-2P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-
trifluoromethylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-72-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-[(3-trifluoromethylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-73-4P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-
trifluoromethylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-74-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-[(4-tert-butylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-75-6P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2,6-
difluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-76-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-[(2,6-dichlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-77-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-
fluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-78-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-
[(5R,2R)-5-[(3-fluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide
hydrochloride 869659-79-0P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-
fluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride
869659-80-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-
(cyclohexylmethoxy)piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
869659-81-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-
(cyclobutylmethoxy)piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
869659-82-5P 869659-83-6P 869660-34-4P,

N-[(1S)-1-Benzyl-2-hydroxy-2-(6-methylpiperidin-2-yl)ethyl]-2-[(1-methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]isonicotinamide hydrochloride 869660-35-5P,
N-[(1S)-1-Benzyl-2-(6-ethylpiperidin-2-yl)-2-hydroxyethyl]acetamide hydrochloride 869660-36-6P,
N-[(1S)-1-Benzyl-2-hydroxy-2-(6-methylpiperidin-2-yl)ethyl]acetamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

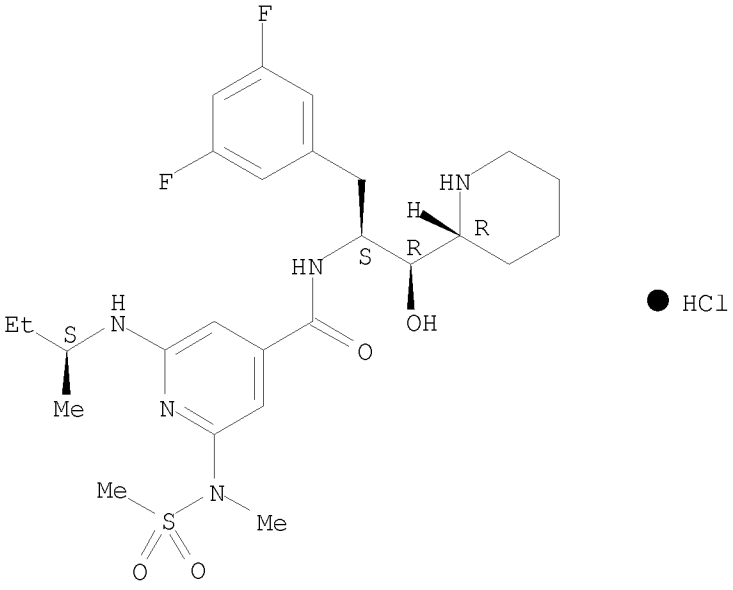
RN 869657-93-2 CAPLUS
CN Acetamide, N-[2-hydroxy-2-[(1R,3R,4S,7R)-7-(methoxymethyl)-2-azabicyclo[2.2.1]hept-3-yl]-1-(phenylmethyl)ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



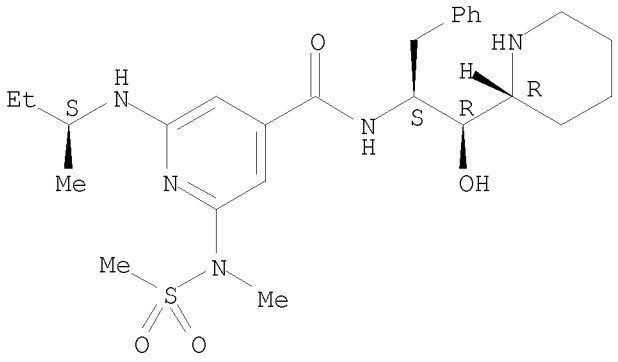
RN 869658-88-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



RN 869658-89-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-piperidinylethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

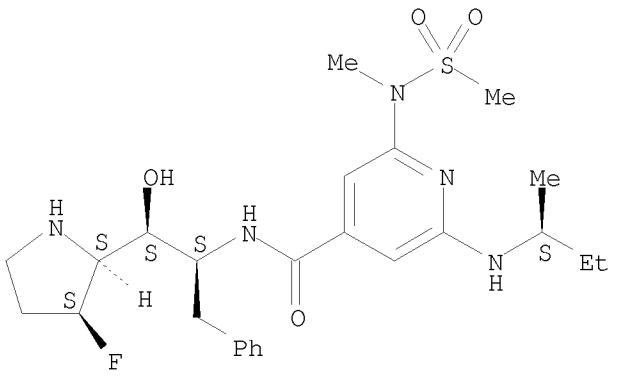
Absolute stereochemistry.



● x HCl

RN 869658-95-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2S)-2-[(2S,3S)-3-fluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

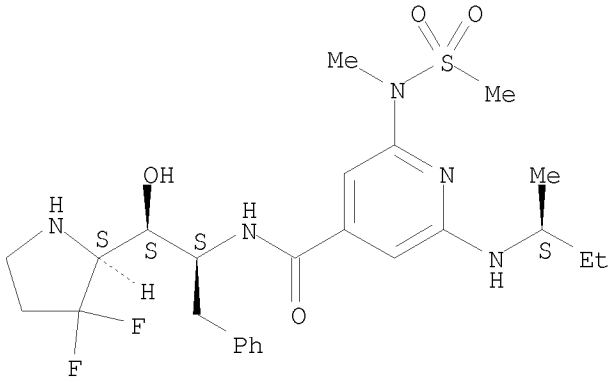
Absolute stereochemistry.



● HCl

RN 869658-96-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2S)-2-[(2S)-3,3-difluoro-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)

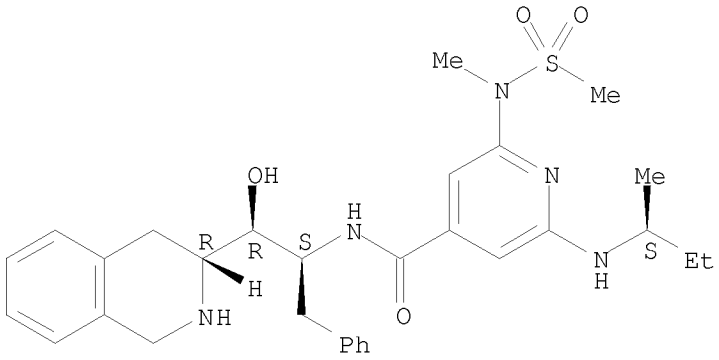
Absolute stereochemistry.



● HCl

RN 869658-99-1 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

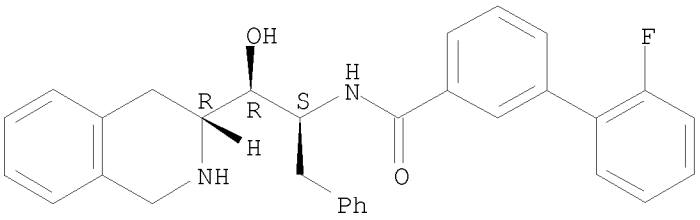
Absolute stereochemistry.



●x HCl

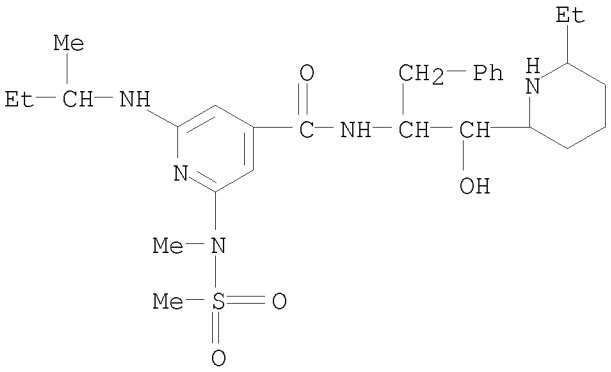
RN 869659-00-7 CAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 2'-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

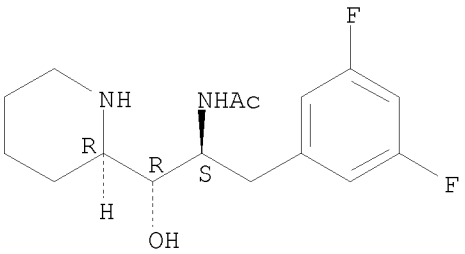
RN 869659-01-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-(6-ethyl-2-piperidinyl)-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[(1-methylpropyl)amino]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

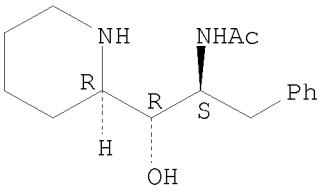
RN 869659-02-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 869659-03-0 CAPLUS
CN Acetamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-piperidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

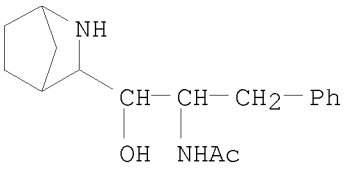


● HCl

RN 869659-05-2 CAPLUS
CN Acetamide, N-[2-(2-azabicyclo[2.2.1]hept-3-yl)-2-hydroxy-1-(phenylmethyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

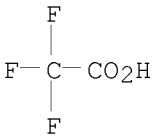
CM 1

CRN 869659-04-1
CMF C17 H24 N2 O2



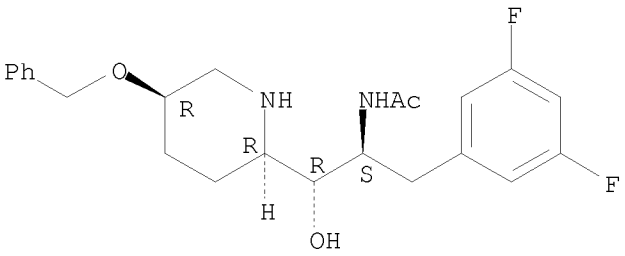
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 869659-06-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(phenylmethoxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



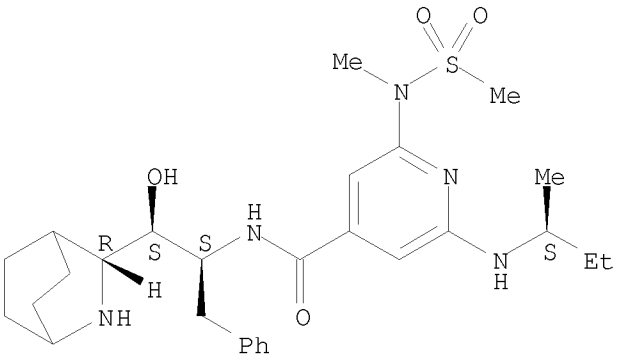
● HCl

RN 869659-10-9 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2S)-2-(3R)-2-azabicyclo[2.2.2]oct-3-yl-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

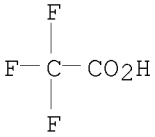
CRN 869659-09-6
CMF C28 H41 N5 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

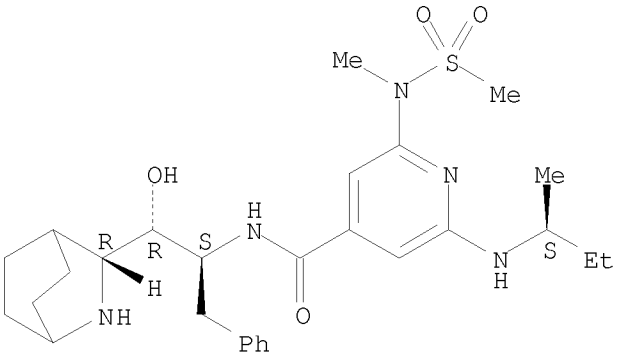


RN 869659-12-1 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-(3R)-2-azabicyclo[2.2.2]oct-3-yl-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

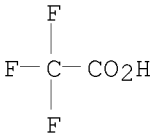
CRN 869659-11-0
CMF C28 H41 N5 O4 S

Absolute stereochemistry.



CM 2

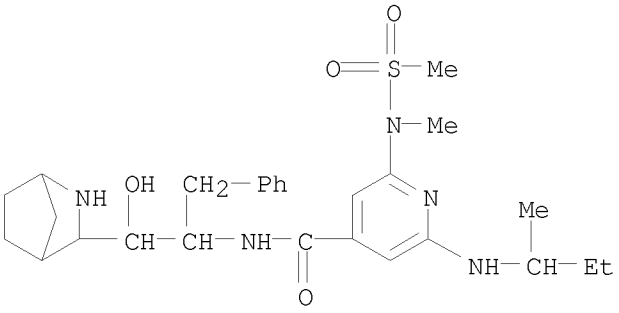
CRN 76-05-1
CMF C2 H F3 O2



RN 869659-14-3 CAPLUS
CN 4-Pyridinecarboxamide, N-[2-(2-azabicyclo[2.2.1]hept-3-yl)-2-hydroxy-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[(1-methylpropyl)amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

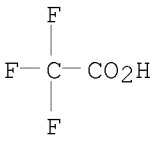
CM 1

CRN 869659-13-2
CMF C27 H39 N5 O4 S



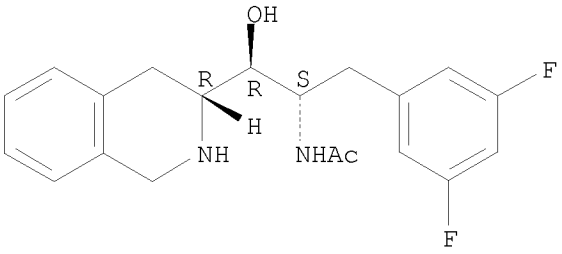
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 869659-28-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

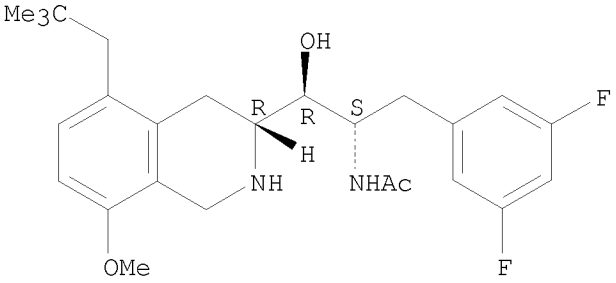
Absolute stereochemistry.



● HCl

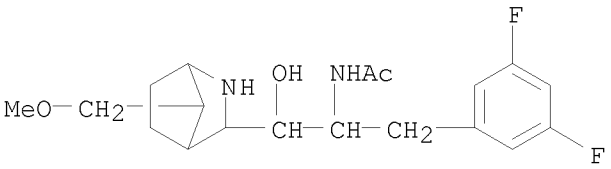
RN 869659-34-7 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(3R)-5-(2,2-dimethylpropyl)-1,2,3,4-tetrahydro-8-methoxy-3-isoquinolinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



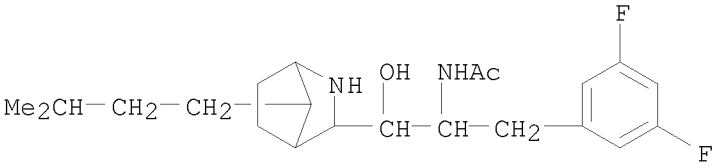
● HCl

RN 869659-40-5 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[7-(methoxymethyl)-2-azabicyclo[2.2.1]hept-3-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 869659-41-6 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[7-(3-methylbutyl)-2-azabicyclo[2.2.1]hept-3-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

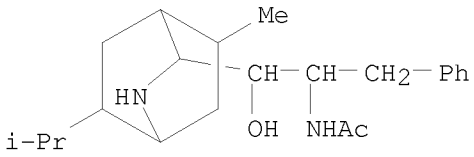


● HCl

RN 869659-43-8 CAPLUS
CN Acetamide, N-[2-hydroxy-2-[5-methyl-7-(1-methylethyl)-2-azabicyclo[2.2.2]oct-3-yl]-1-(phenylmethyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

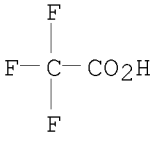
CM 1

CRN 869659-42-7
CMF C22 H34 N2 O2



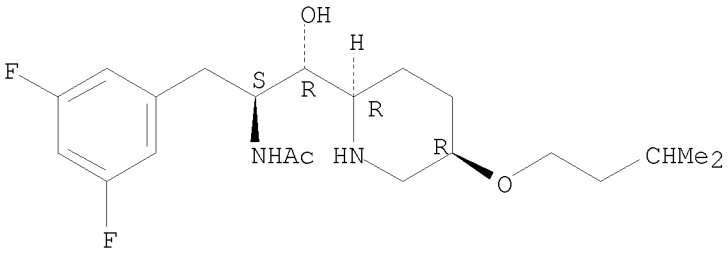
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 869659-44-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(3-methylbutoxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

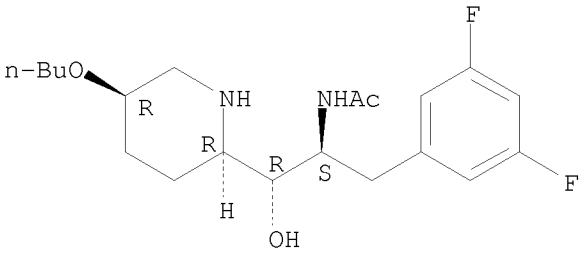
Absolute stereochemistry.



● HCl

RN 869659-53-0 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-butoxy-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

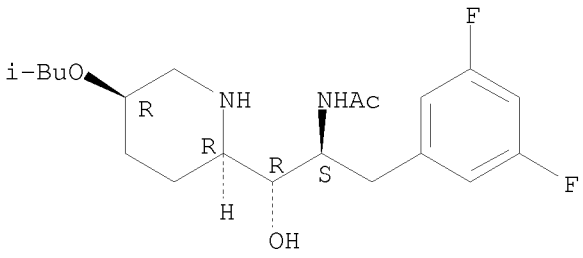
Absolute stereochemistry.



● HCl

RN 869659-55-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(2-methylpropoxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

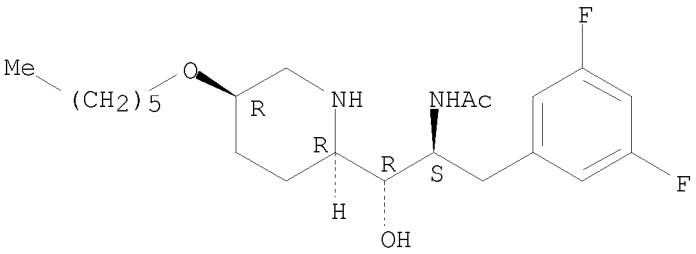
Absolute stereochemistry.



● HCl

RN 869659-56-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(hexyloxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

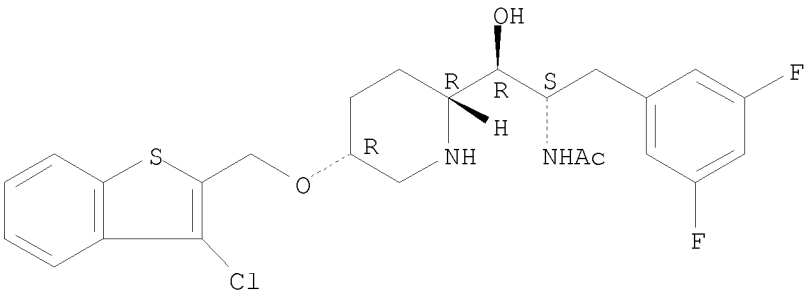
Absolute stereochemistry.



● HCl

RN 869659-57-4 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(3-chlorobenzo[b]thien-2-yl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

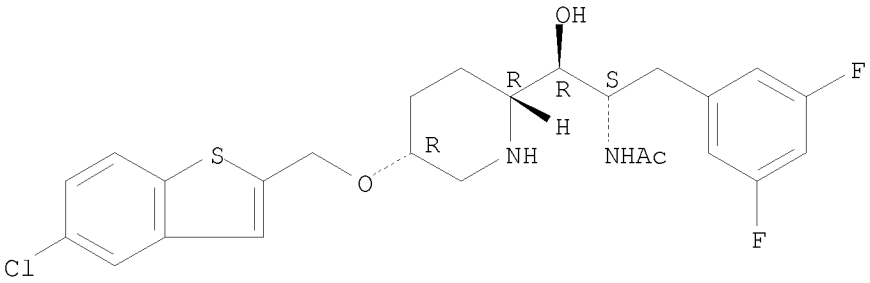


● HCl

RN 869659-59-6 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(5-chlorobenzo[b]thien-2-yl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

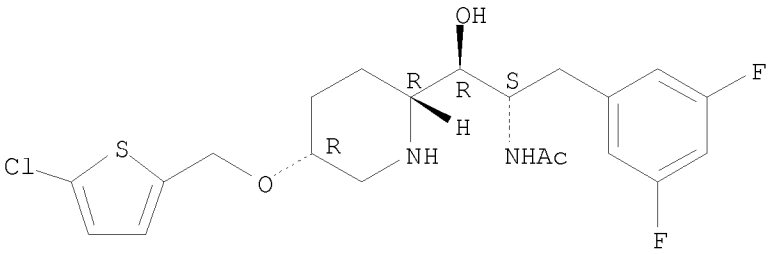
Absolute stereochemistry.



● HCl

RN 869659-60-9 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(5-chloro-2-thienyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

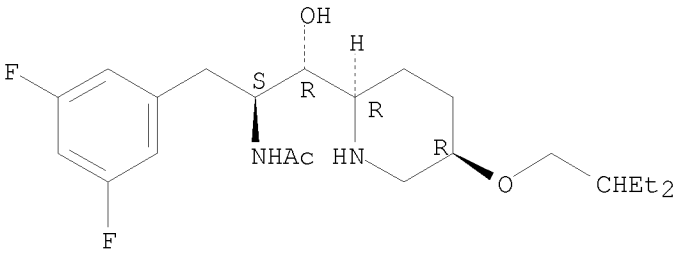
Absolute stereochemistry.



● HCl

RN 869659-61-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(2-ethylbutoxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

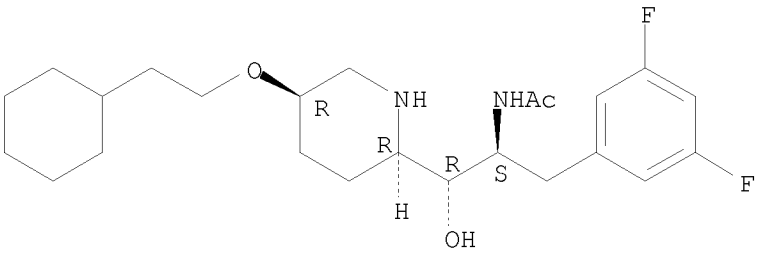
Absolute stereochemistry.



● HCl

RN 869659-62-1 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(2-cyclohexylethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

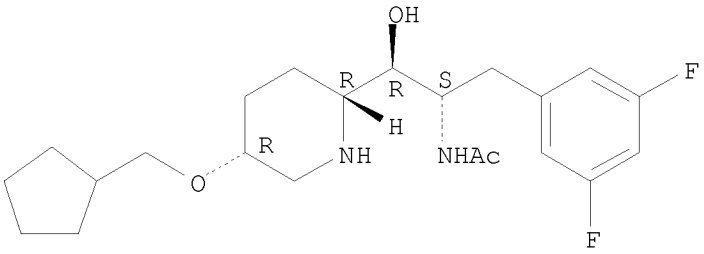
Absolute stereochemistry.



● HCl

RN 869659-63-2 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(cyclopentylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

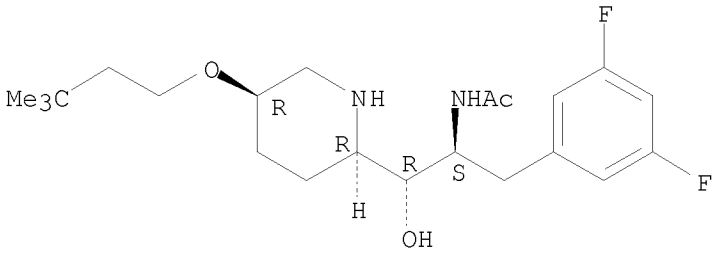


● HCl

RN 869659-64-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(3,3-

dimethylbutoxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

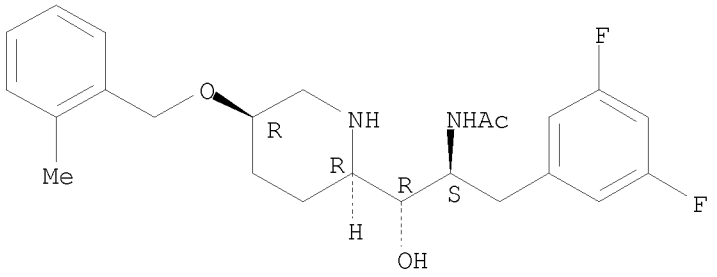
Absolute stereochemistry.



● HCl

RN 869659-65-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(2-methylphenyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

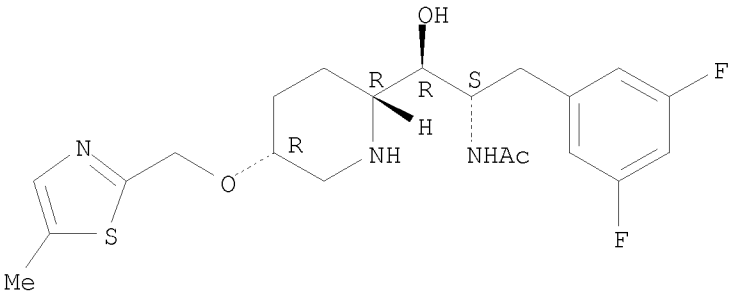
Absolute stereochemistry.



● HCl

RN 869659-66-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(5-methyl-2-thiazolyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

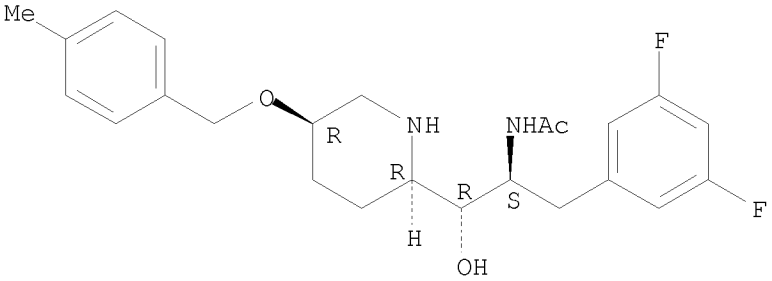
Absolute stereochemistry.



● HCl

RN 869659-67-6 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(4-methylphenyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

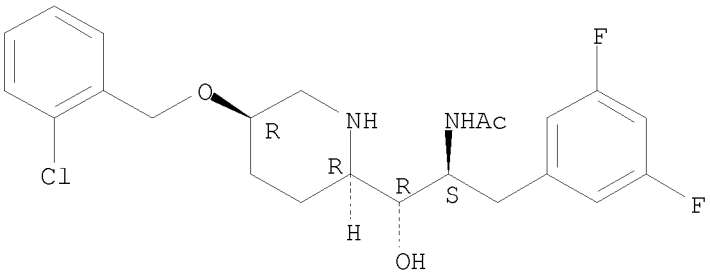
Absolute stereochemistry.



● HCl

RN 869659-68-7 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2-chlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

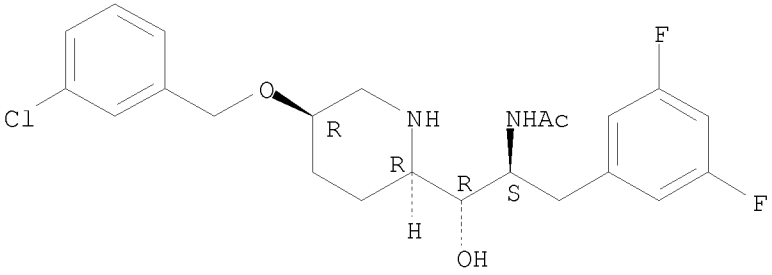
Absolute stereochemistry.



● HCl

RN 869659-69-8 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(3-chlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

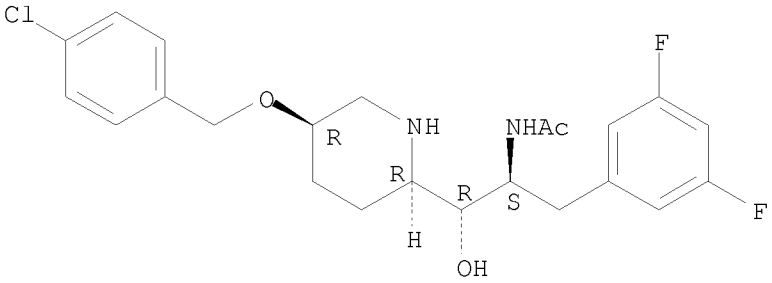
Absolute stereochemistry.



● HCl

RN 869659-70-1 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(4-chlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

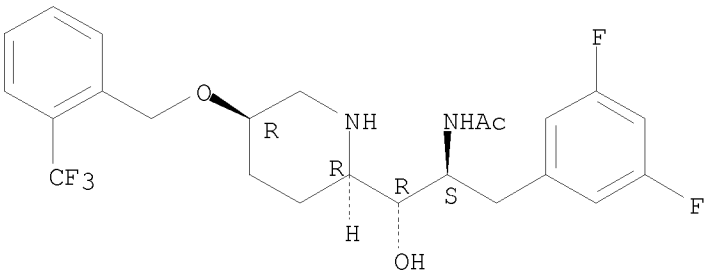
Absolute stereochemistry.



● HCl

RN 869659-71-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[[2-(trifluoromethyl)phenyl]methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

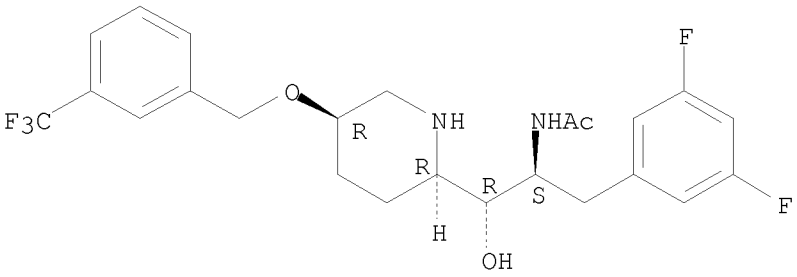
Absolute stereochemistry.



● HCl

RN 869659-72-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[[3-(trifluoromethyl)phenyl]methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

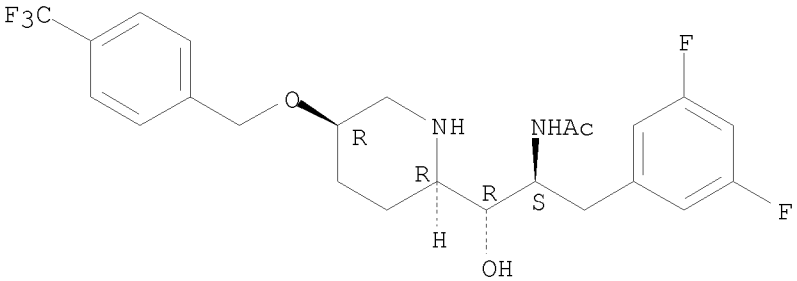
Absolute stereochemistry.



● HCl

RN 869659-73-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[[4-(trifluoromethyl)phenyl]methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

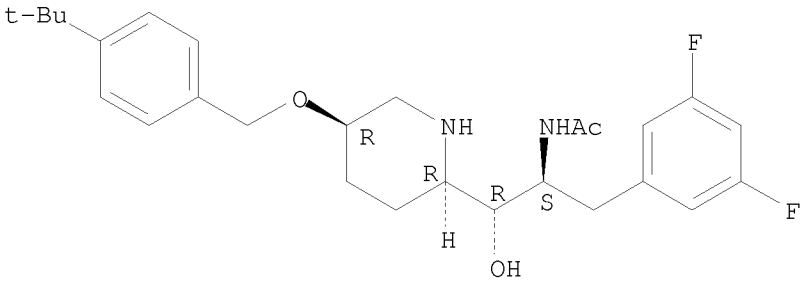
Absolute stereochemistry.



● HCl

RN 869659-74-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[[4-(1,1-dimethylethyl)phenyl]methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

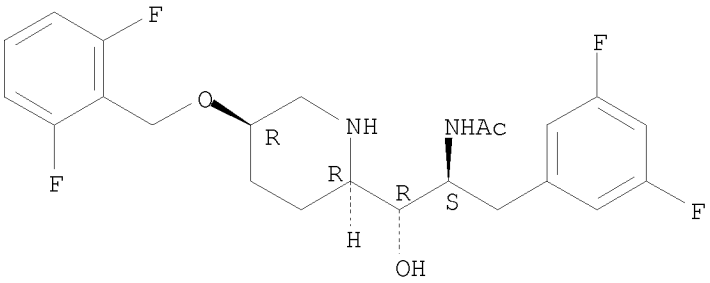
Absolute stereochemistry.



● HCl

RN 869659-75-6 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2,6-difluorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

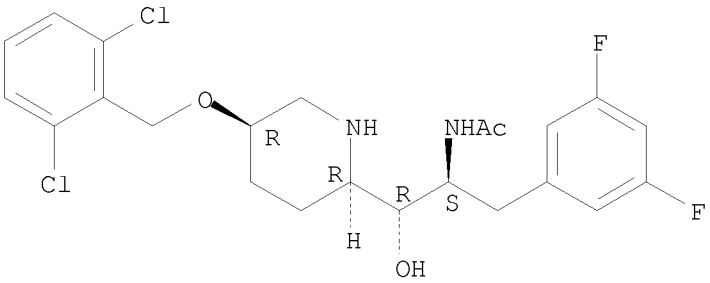
Absolute stereochemistry.



● HCl

RN 869659-76-7 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2,6-dichlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

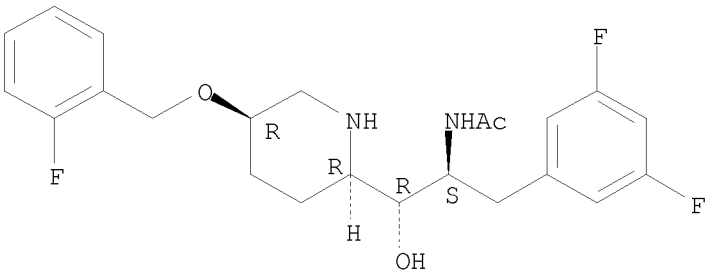
Absolute stereochemistry.



● HCl

RN 869659-77-8 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(2-fluorophenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

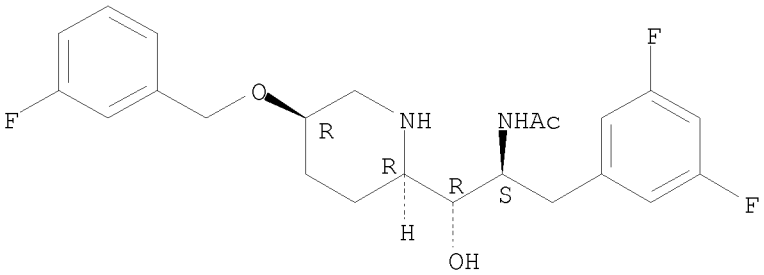
Absolute stereochemistry.



● HCl

RN 869659-78-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(3-fluorophenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

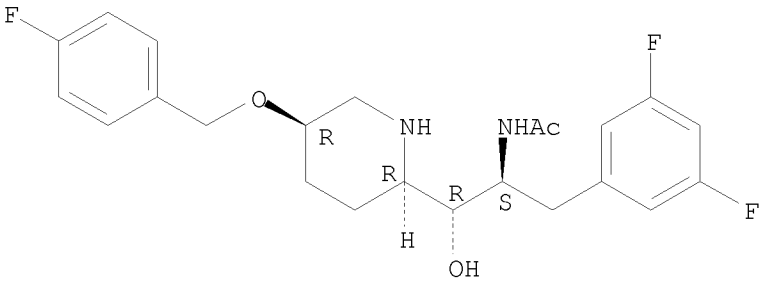
Absolute stereochemistry.



● HCl

RN 869659-79-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(4-fluorophenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

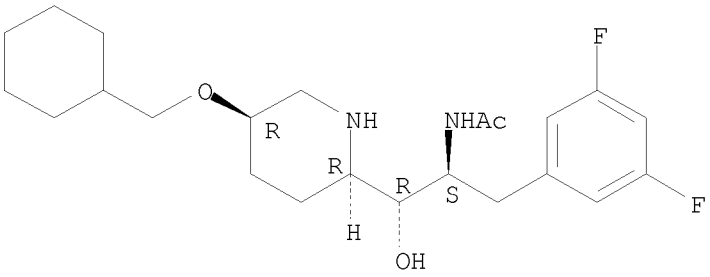
Absolute stereochemistry.



● HCl

RN 869659-80-3 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(cyclohexylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

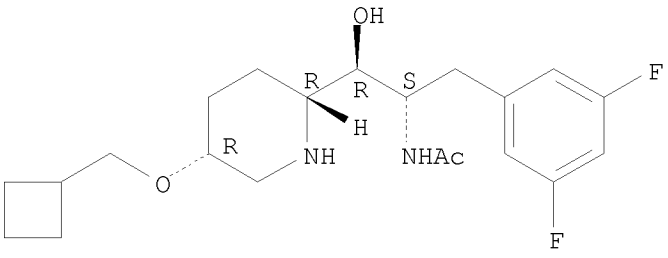
Absolute stereochemistry.



● HCl

RN 869659-81-4 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(cyclobutylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

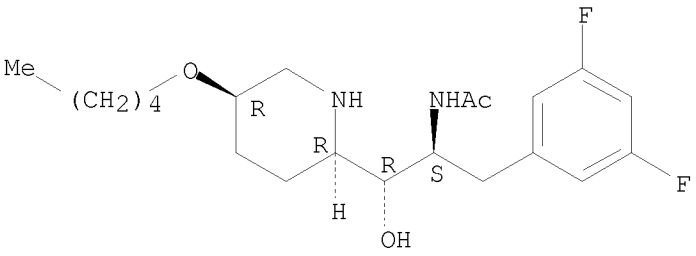
Absolute stereochemistry.



● HCl

RN 869659-82-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(pentyloxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

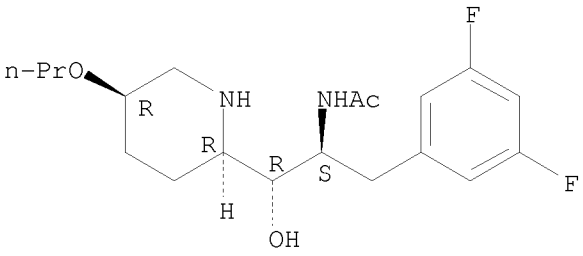
Absolute stereochemistry.



● HCl

RN 869659-83-6 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-propoxy-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

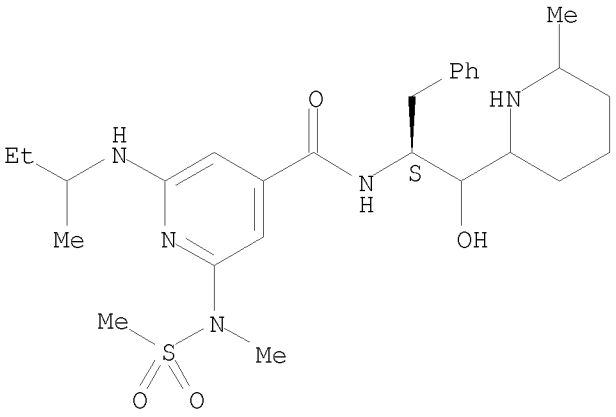
Absolute stereochemistry.

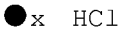


● HCl

RN 869660-34-4 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-hydroxy-2-(6-methyl-2-piperidinyl)-1-(phenylmethyl)ethyl]-2-[methyl(methylsulfonyl)amino]-6-[(1-methylpropyl)amino]-, hydrochloride (1:?) (CA INDEX NAME)

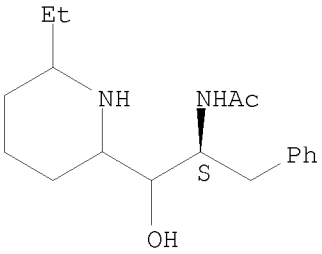
Absolute stereochemistry.





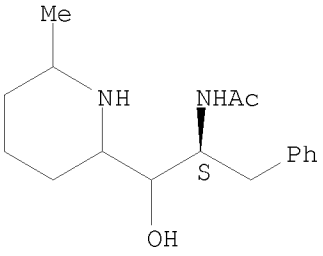
RN 869660-35-5 CAPLUS
CN Acetamide, N-[(1S)-2-(6-ethyl-2-piperidinyl)-2-hydroxy-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



RN 869660-36-6 CAPLUS
CN Acetamide, N-[(1S)-2-hydroxy-2-(6-methyl-2-piperidinyl)-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

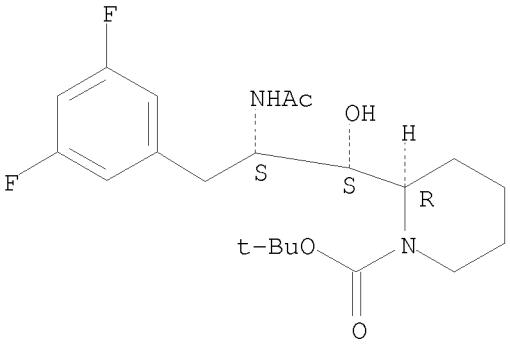


● HCl

IT 869527-61-7P, (2R)-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidine-1-carboxylic acid tert-butyl ester 869530-40-5P 869658-17-3P, (2R)-((1S,2S)-2-Acetylamino-1-hydroxy-3-phenylpropyl)piperidine-1-carboxylic acid tert-butyl ester 869658-82-2P, (2R,5R)-2-[(1R,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-benzyloxypiperidine-1-carboxylic acid tert-butyl ester 869658-97-9P, 2-(S)-[2-[[[2-[((1S)-1-Methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-1-(S)-hydroxy-3-phenylpropyl]-3-(S)-fluoropyrrolidine-1-carboxylic acid tert-butyl ester 869658-98-0P, 2-(S)-[2-[[[2-[((1S)-1-Methylpropyl)amino]-6-[(methylsulfonyl)(methyl)amino]pyridin-4-yl]carbonyl]amino]-1-(S)-hydroxy-3-phenylpropyl]-3,3-difluoropyrrolidine-1-carboxylic acid tert-butyl ester 869659-35-8P 869659-36-9P 869659-39-2P 869659-49-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of amides as BACE inhibitors for treating Alzheimer's)

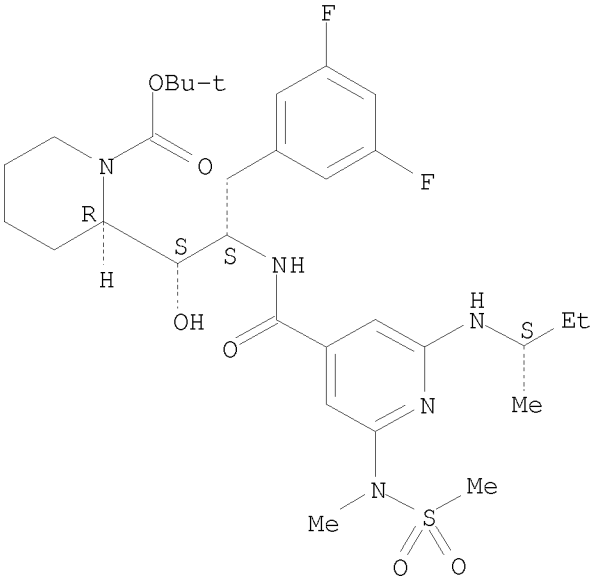
RN 869527-61-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



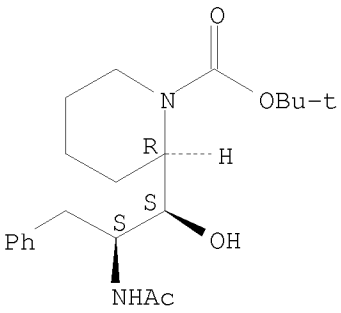
RN 869530-40-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-3-(3,5-difluorophenyl)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]propyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



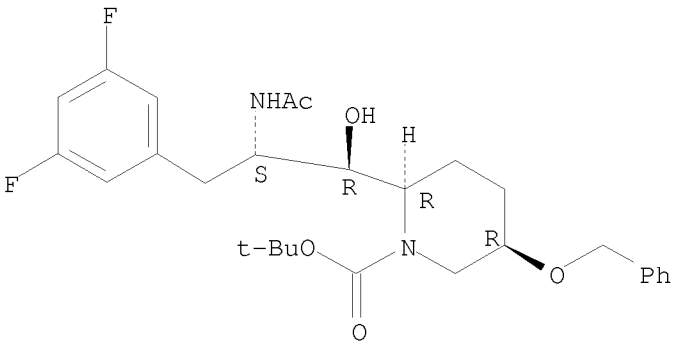
RN 869658-17-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 869658-82-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



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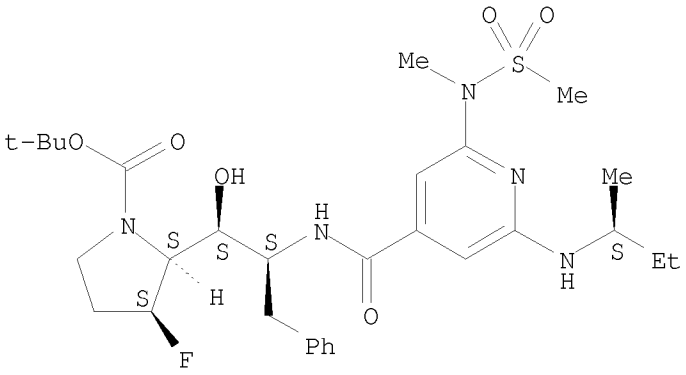
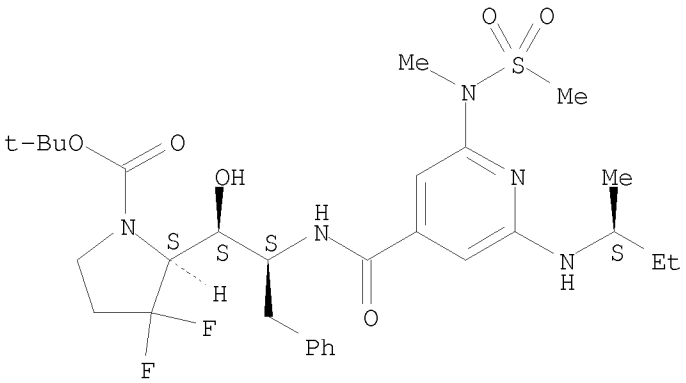
CN 1-Pyrrolidinecarboxylic acid, 3-fluoro-2-[(1S,2S)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 869658-98-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3,3-difluoro-2-[(1S,2S)-1-hydroxy-2-[[[2-[methyl(methylsulfonyl)amino]-6-[[(1S)-1-methylpropyl]amino]-4-pyridinyl]carbonyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

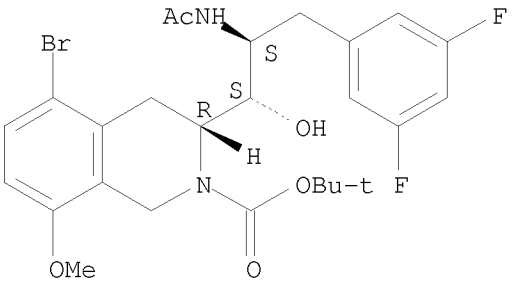
Absolute stereochemistry.



RN 869659-35-8 CAPLUS

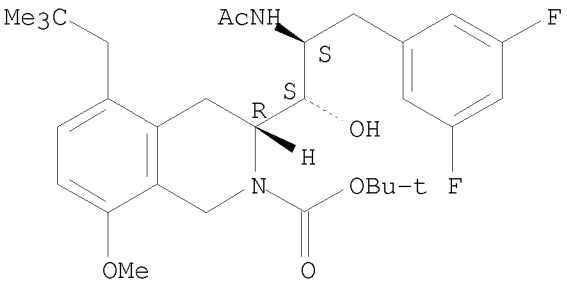
CN 2(1H)-Isoquinolinecarboxylic acid,
3-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-bromo-
3,4-dihydro-8-methoxy-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



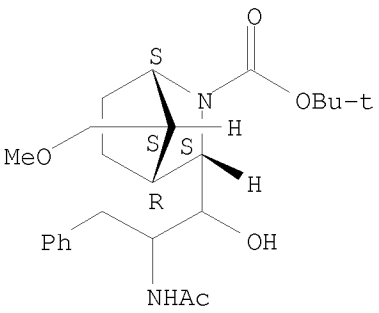
RN 869659-36-9 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid,
3-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-(2,2-
dimethylpropyl)-3,4-dihydro-8-methoxy-, 1,1-dimethylethyl ester, (3R)-
(CA INDEX NAME)

Absolute stereochemistry.



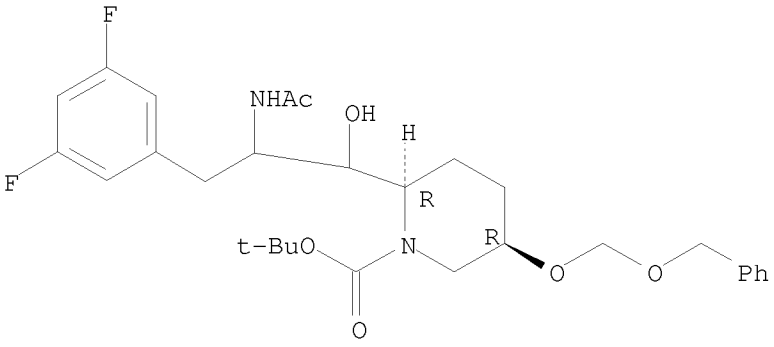
RN 869659-39-2 CAPLUS
CN 2-Azabicyclo[2.2.1]heptane-2-carboxylic acid,
3-[2-(acetylamino)-1-hydroxy-3-phenylpropyl]-7-(methoxymethyl)-,
1,1-dimethylethyl ester, (1R,3R,4S,7R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 869659-49-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-
hydroxypropyl]-5-[(phenylmethoxy)methoxy]-, 1,1-dimethylethyl ester,
(2R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1154157 CAPLUS
DOCUMENT NUMBER: 143:422465
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 1034 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050239054	A1	20051027	US 2003-740694	20031222 <--
WO 2003090690	A2	20031106	WO 2003-US12901	20030425 <--
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     AU 2004309379         A1    20050714    AU 2004-309379         20041222 <--
     CA 2550730            A1    20050714    CA 2004-2550730        20041222 <--
     WO 2005064008         A1    20050714    WO 2004-US42991        20041222 <--
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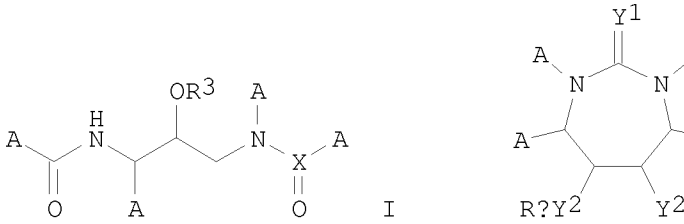
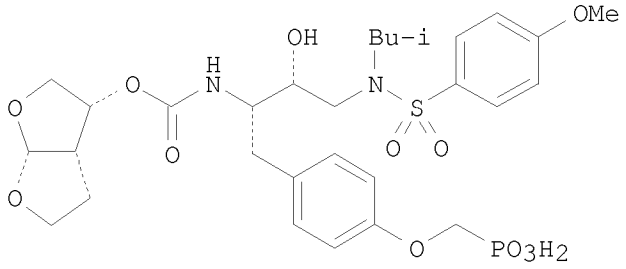


I

II



III

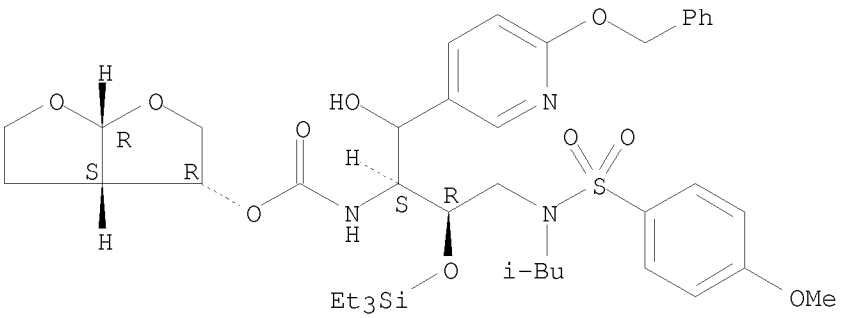
AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 1057217-98-7
RL: PRPH (Prophetic)
(Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds)

RN 1057217-98-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1073653 CAPLUS
DOCUMENT NUMBER: 143:378580
TITLE: MRI contrast agents for chemical or biochemical reaction with target substances
INVENTOR(S): Hasserodt, Jens
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique CNRS, Fr.; Ecole Normale Superieure de Lyon
SOURCE: Fr. Demande, 30 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2868320	A1	20051007	FR 2004-3389	20040331
FR 2868320	B1	20071102		
WO 2005094903	A2	20051013	WO 2005-FR784	20050331 <--
WO 2005094903	A3	20060126		
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US 20070218010	A1	20070920	US 2006-547088	20060929 <--

PRIORITY APPLN. INFO.: FR 2004-3389 A 20040331 <--
WO 2005-FR784 W 20050331
OTHER SOURCE(S): CASREACT 143:378580; MARPAT 143:378580
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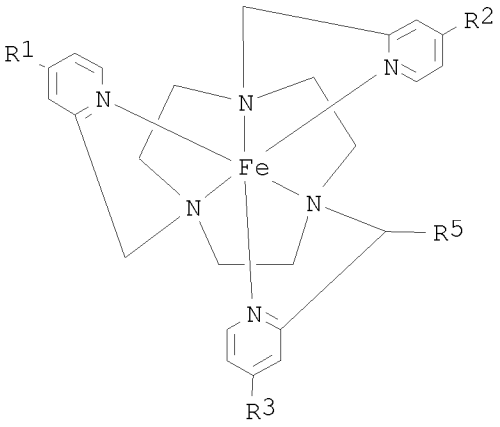


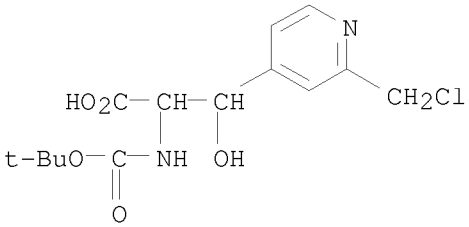
I

AB The invention relates to MRI contrast agents comprising transition metal complexes with a chelating ligand, especially, Mn, Co and Fe complexes, and the chelating ligand carries a substituent whose elimination or electronic modification by chemical or biochem. reaction with a target substance causes a change of spin state, in particular of low spin to high spin. Such complexes include iron(II) N,N',N''-tris(pyridylmethyl)triazacyclononane complexes I (R5 ≠ H, but is a group which can react with a target substance, e.g., -E-R6 where E is a cleavable spacer group and R6 is β-galactosyl, β-glucuronyl, L-leucyl, -CO(CH2)4Me, α,β-dihydroxy ketone, L-prolyl, etc., and R1-R3 = H or another group to adjust solubility, biol. dispersity, or effect magnetic moment), and complexes I (R5 = H and one of R1-R3 = -CH(OH)CH(CO2H)NH2, other R = NO2, etc.). The appropriate complex may be used as an MRI contrast agent to determination tissue distribution of β-galactosidase, β-glucuronidase, aminopeptidases, lipase, transaldolases, and L-threonine aldolase, etc. Intermediates in the preparation of the complexes are also claimed, e.g., I (R1-R3 = H, R5 = OBn).

IT 866108-94-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(iron(II) N,N',N''-tris(pyridylmethyl)triazacyclononane complexes as MRI contrast agents functionalized to interact with target substances)

RN 866108-94-3 CAPLUS

CN 4-Pyridinepropanoic acid, 2-(chloromethyl)-α-[[(1,1-dimethylethoxy)carbonyl]amino]-β-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:612479 CAPLUS
DOCUMENT NUMBER: 143:97530
TITLE: Preparation of phosphonate analogs of HIV protease

inhibitors and methods for identifying anti-HIV therapeutic compounds

INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Dastgah, Azar; Eisenberg, Eugene J.; Fardis, Maria; Hatada, Marcos; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; McDermott, Martin J.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun

PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA

SOURCE: PCT Int. Appl., 1723 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

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WO 2005064008	A1	20050714	WO 2004-US42991	20041222 <--
WO 2005064008	A9	20060928		
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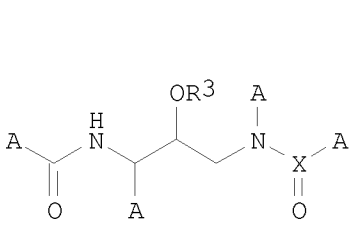
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050239054	A1	20051027	US 2003-740694	20031222 <--
AU 2004309379	A1	20050714	AU 2004-309379	20041222 <--
CA 2550730	A1	20050714	CA 2004-2550730	20041222 <--
EP 1711617	A1	20061018	EP 2004-817046	20041222 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007515184	T	20070614	JP 2006-547281	20041222 <--

PRIORITY APPLN. INFO.:

US 2003-740694	A	20031222 <--
US 2002-375622P	P	20020426 <--
US 2002-375665P	P	20020426 <--
US 2002-375779P	P	20020426 <--
US 2002-375834P	P	20020426 <--
US 2003-423496	A2	20030425 <--
US 2003-424130	A2	20030425 <--
US 2003-424186	A2	20030425 <--
US 2003-465721P	P	20030425 <--
US 2003-465810P	P	20030425 <--
US 2003-465824P	P	20030425 <--
WO 2003-US12901	A2	20030425 <--
WO 2003-US12926	A2	20030425 <--
WO 2003-US12943	A2	20030425 <--
WO 2004-US42991	W	20041222 <--

GI



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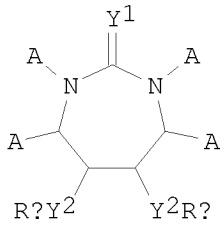

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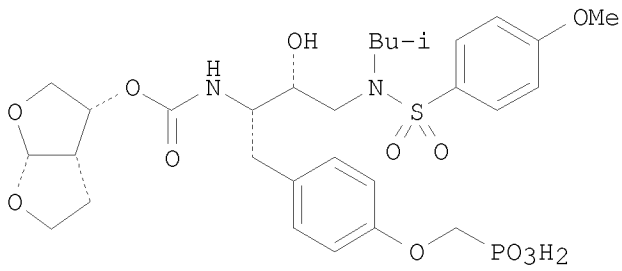


III

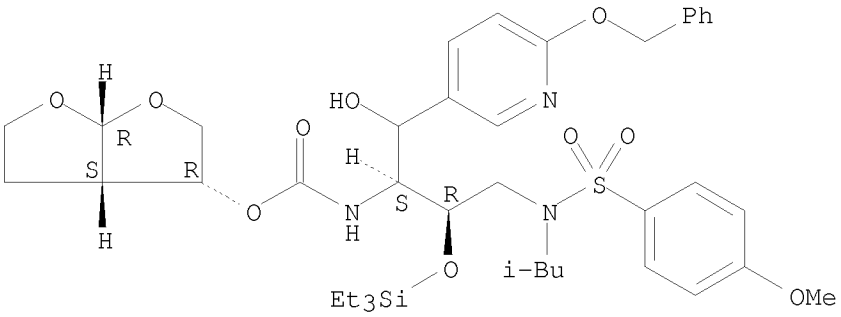
AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 1057961-07-5
RL: PRPH (Prophetic)
(Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds)

RN 1057961-07-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:395575 CAPLUS
DOCUMENT NUMBER: 142:435740
TITLE: p21WAF1-derived peptides preferentially inhibiting activity of cyclin E/CDK2 and cyclin A/CDK2 complexes for use in drug screening and therapy
INVENTOR(S): Zheleva, Daniella I.; Fischer, Peter M.; McInnes, Campbell; Andrews, Martin J. I.; Chan, Weng C.; Atkinson, Gail E.
PATENT ASSIGNEE(S): Cyclacel Limited, UK
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040802	A2	20050506	WO 2004-GB4431	20041020 <--
WO 2005040802	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050153894	A1	20050714	US 2004-771242	20040413 <--
US 20060293245	A1	20061228	US 2006-407880	20060420 <--

PRIORITY APPLN. INFO.:

GB 2003-24466	A	20031020 <--
US 2004-771242	A	20040413 <--
GB 1999-28323	A	19991130 <--
US 2000-726470	A2	20001129 <--
US 2003-441952	A2	20030519 <--
WO 2004-GB4431	A1	20041020 <--

OTHER SOURCE(S): MARPAT 142:435740
AB The present invention relates to p21WAF1-derived peptides capable of inhibiting CDK/cyclin complexes, particularly cyclins A or E/CDK2, by modifying the interaction with their substrates. The peptides are derived from a C-terminal region of p21 and display selectivity for cyclin/CDK2

inhibition over cyclin/CDK4 inhibition. Variants of such peptides particularly involving certain alanine replacements are shown to be particularly potent.

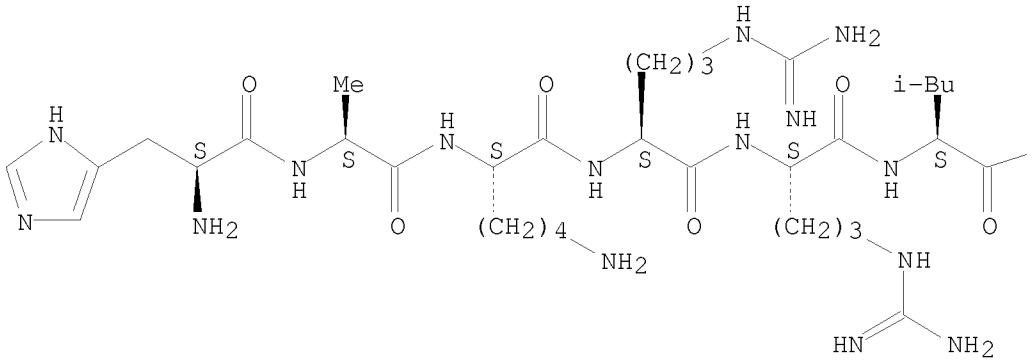
IT 851032-23-0 851032-24-1 851032-25-2
851032-26-3
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(p21WAF1-derived peptides preferentially inhibiting activity of cyclin E/CDK2 and cyclin A/CDK2 complexes for use in drug screening and therapy)

RN 851032-23-0 CAPLUS

CN L-Serine, L-histidyl-L-alanyl-L-lysyl-L-arginyl-L-arginyl-L-leucyl-L-isoleucyl-3-(3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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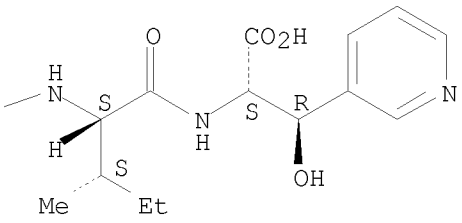
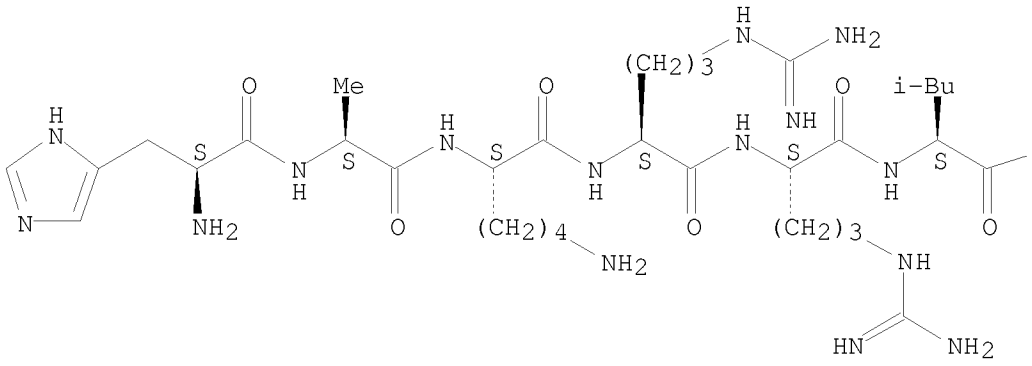


RN 851032-24-1 CAPLUS

CN D-Serine, L-histidyl-L-alanyl-L-lysyl-L-arginyl-L-arginyl-L-leucyl-L-isoleucyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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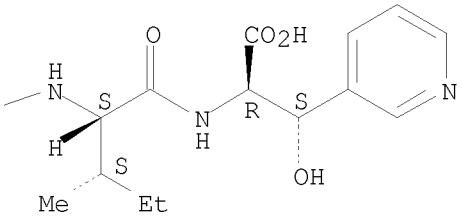
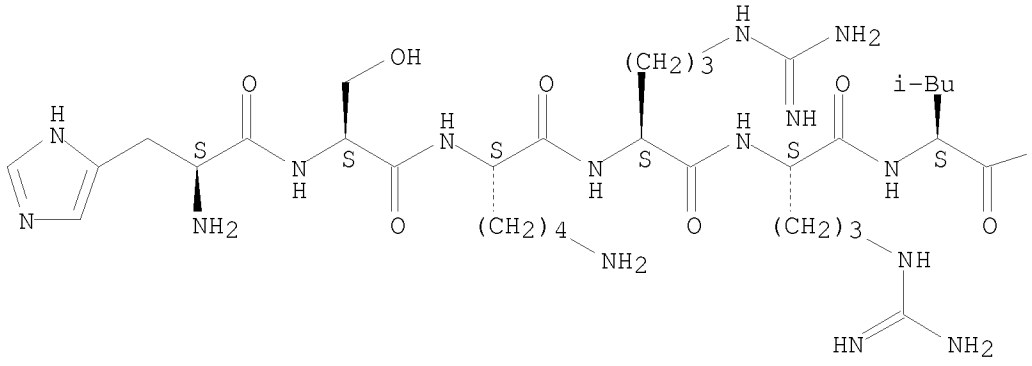


RN 851032-25-2 CAPLUS
CN L-Serine, L-histidyl-L-seryl-L-lysyl-L-arginyl-L-arginyl-L-leucyl-L-isoleucyl-3-(3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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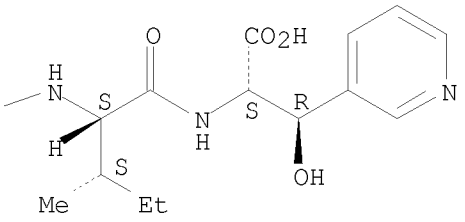
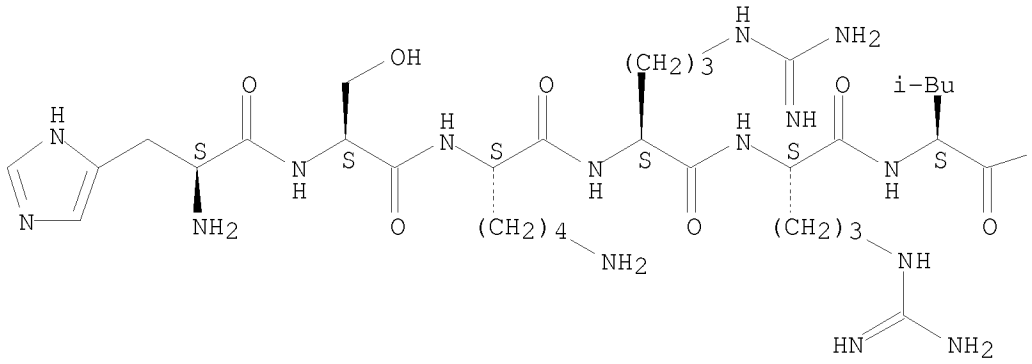


RN 851032-26-3 CAPLUS
CN D-Serine, L-histidyl-L-seryl-L-lysyl-L-arginyl-L-arginyl-L-leucyl-L-isoleucyl-3-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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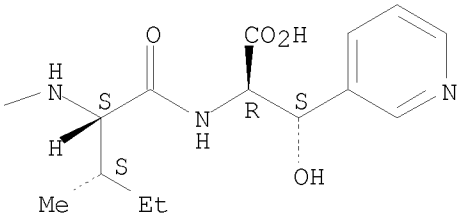
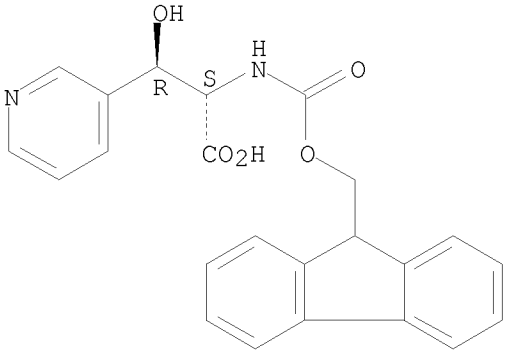


IT 851032-15-0P 851032-16-1P 851032-18-3P
851032-19-4P 851032-20-7P 851032-21-8P
851032-22-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(p21WAF1-derived peptides preferentially inhibiting activity of cyclin E/CDK2 and cyclin A/CDK2 complexes for use in drug screening and therapy)
RN 851032-15-0 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-β-hydroxy-, (αR,βS)-rel- (9CI)

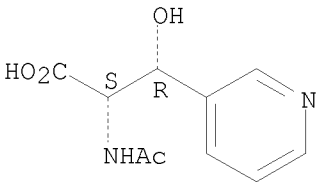
(CA INDEX NAME)

Relative stereochemistry.



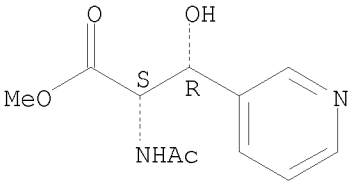
RN 851032-16-1 CAPLUS
CN 3-Pyridinepropanoic acid, α-(acetylamino)-β-hydroxy-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



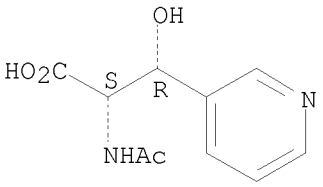
RN 851032-18-3 CAPLUS
CN 3-Pyridinepropanoic acid, α-(acetylamino)-β-hydroxy-, methyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



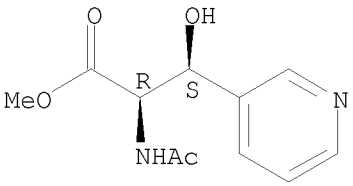
RN 851032-19-4 CAPLUS
CN 3-Pyridinepropanoic acid, α-(acetylamino)-β-hydroxy-, (αS,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



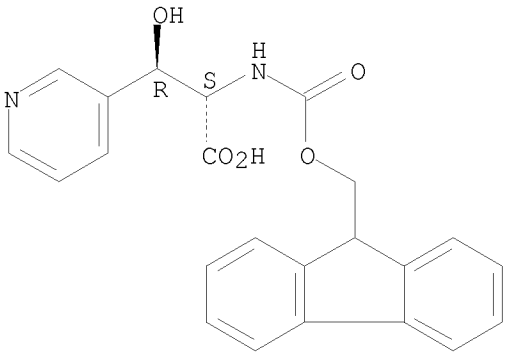
RN 851032-20-7 CAPLUS
CN 3-Pyridinepropanoic acid, α-(acetylamino)-β-hydroxy-, methyl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



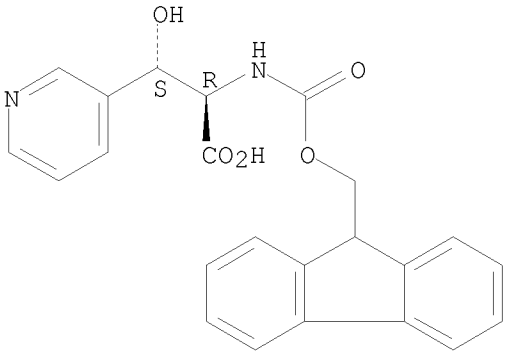
RN 851032-21-8 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-β-hydroxy-, (αS,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851032-22-9 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-β-hydroxy-, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:300235 CAPLUS
DOCUMENT NUMBER: 142:349078
TITLE: Method using cholesteryl ester transfer protein (CETP) inhibitors for inhibiting remnant lipoprotein production
INVENTOR(S): Okamoto, Hiroshi; Furukawa, Noboru; Sasase, Tomohiko
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 578 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030185	A2	20050407	WO 2004-JP14428	20040924 <--
WO 2005030185	A3	20050811		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2004275637	B2	20080717		
CA 2554982	A1	20050407	CA 2004-2554982	20040924 <--
EP 1670446	A2	20060621	EP 2004-773516	20040924 <--
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BR 2004014822	A	20061114	BR 2004-14822	20040924 <--
CN 1886124	A	20061227	CN 2004-80034573	20040924 <--
JP 2007506646	T	20070322	JP 2006-515401	20040924 <--
RU 2330682	C2	20080810	RU 2006-114044	20040924 <--
SG 146695	A1	20081030	SG 2008-7364	20040924 <--
CN 101342162	A	20090114	CN 2008-10107841	20040924 <--
KR 2006080214	A	20060707	KR 2006-705734	20060323 <--

MX 2006003357	A	20060608	MX 2006-3357		20060324	<--
US 20070054839	A1	20070308	US 2006-389542		20060324	<--
NO 2006001818	A	20060626	NO 2006-1818		20060425	<--
IN 2006CN01420	A	20070706	IN 2006-CN1420		20060426	<--
KR 2007087197	A	20070827	KR 2007-716830		20070720	<--
AU 2008201550	A1	20080501	AU 2008-201550		20080404	<--
PRIORITY APPLN. INFO.:			JP 2003-373453	A	20030926	<--
			US 2004-590811P	P	20040723	<--
			AU 2004-275637	A3	20040924	<--
			CN 2004-80034573	A3	20040924	<--
			WO 2004-JP14428	W	20040924	<--
			KR 2006-705734	A3	20060323	

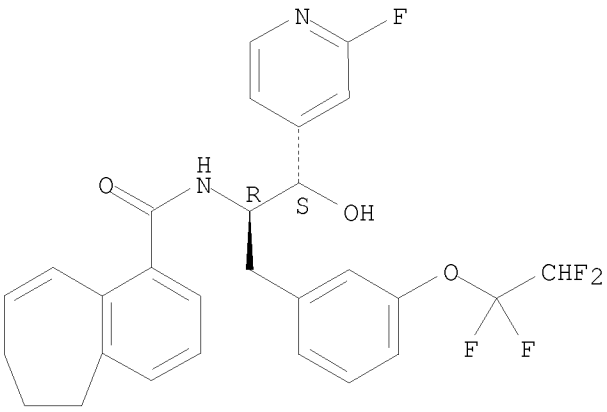
OTHER SOURCE(S): MARPAT 142:349078

AB The invention discloses a method for inhibiting remnant lipoprotein production and a remnant lipoprotein production inhibitor, which includes administering a compound having a CETP inhibitory activity to a subject. The remnant lipoprotein production inhibitor of the invention contains a compound having a CETP inhibitory activity as an active ingredient.

IT 444917-44-6 444917-46-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cholesteryl ester transfer protein inhibitors for inhibiting remnant lipoprotein production)

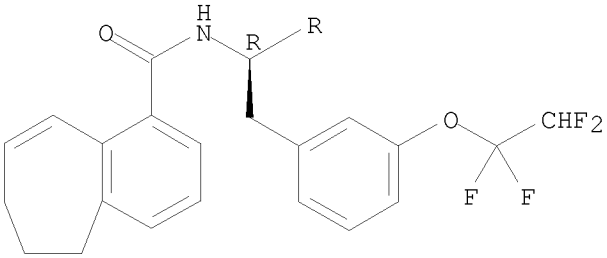
RN 444917-44-6 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2S)-2-(2-fluoro-4-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

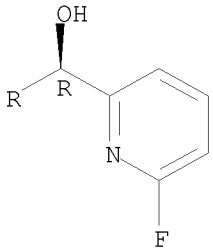
Relative stereochemistry.



RN 444917-46-8 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2R)-2-(6-fluoro-2-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.





REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:158632 CAPLUS
DOCUMENT NUMBER: 142:261556
TITLE: Preparation of aminohydroxyalkyl cyclic amine BACE-1 inhibitors having a benzamide substituent
INVENTOR(S): Cumming, Jared N.; Iserloh, Ulrich; Stamford, Andrew; Strickland, Corey; Voigt, Johannes H.; Wu, Yusheng; Huang, Ying; Xia, Yan; Chackalamannil, Samuel; Guo, Tao; Hobbs, Douglas W.; Le, Thuy X. H.; Lowrie, Jeffrey F.; Saionz, Kurt W.; Babu, Suresh D.
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug Discovery, Inc
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016876	A2	20050224	WO 2004-US25018	20040804 <--
WO 2005016876	A3	20050922		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004265298	A1	20050224	AU 2004-265298	20040804 <--

CA 2534950	A1	20050224	CA 2004-2534950		20040804 <--
US 20050119227	A1	20050602	US 2004-910987		20040804 <--
EP 1660443	A2	20060531	EP 2004-779938		20040804 <--
EP 1660443	B1	20090304			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1863797	A	20061115	CN 2004-80028883		20040804 <--
JP 2007501788	T	20070201	JP 2006-522668		20040804 <--
KR 2006058104	A	20060529	KR 2006-702611		20060207 <--
MX 2006001559	A	20060515	MX 2006-1559		20060208 <--
PRIORITY APPLN. INFO.:			US 2003-493987P	P	20030808 <--
			WO 2004-US25018	W	20040804 <--

OTHER SOURCE(S): CASREACT 142:261556; MARPAT 142:261556
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

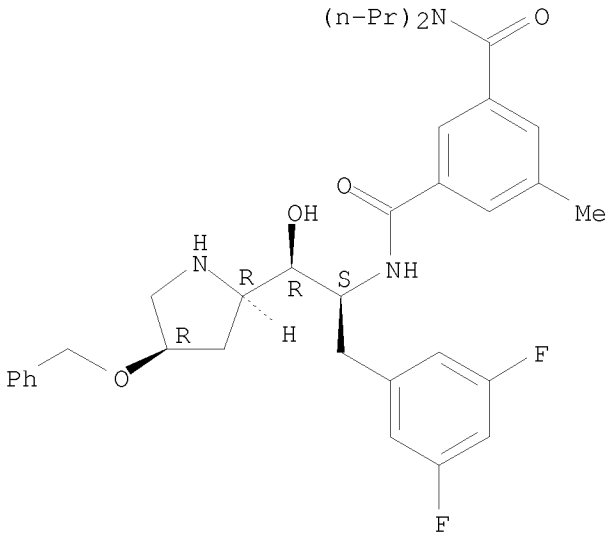
AB Title compds. [I; R1 = Q1, Q2, etc.; Q3 = (CR10R11)l; Q4 = (CR12R13)n; R = CONR27R28, PO(OR29)2; R2 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R3, R4 = H, (substituted) alkyl; R5 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, heterocycloalkylalkyl; R14 = 1-4 of H, (substituted) alkyl, alkenyl, alkynyl, halo, cyano, haloalkyl, cycloalkyl, aryl, heteroaryl, etc.; R27, R28 = alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, alkoxyalkyl, etc.; NR27R28 = (substituted) 3-7 membered ring; R29 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, alkoxyalkyl, etc.; l, n = 0-3; m = 0, 1; R6-R11 = H, (substituted) alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, alkenyl, alkynyl, halo, NO2, cyano, etc.; R12, R13 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, heterocycloalkyl, alkenyl, alkynyl, etc.; with provisos], were prepared Thus, title compound (II) (preparation outlined) inhibited a soluble human BACE-1
with IC50 = 1.4 nM.

IT 845972-13-6P 845972-14-7P 845972-16-9P
845972-18-1P 845972-20-5P 845972-22-7P
845972-24-9P 845972-26-1P 845972-27-2P
845972-28-3P 845972-29-4P 845972-31-8P
845972-33-0P 845972-40-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of aminohydroxyalkyl cyclic amine BACE-1 inhibitors having a benzamide substituent)

RN 845972-13-6 CAPLUS

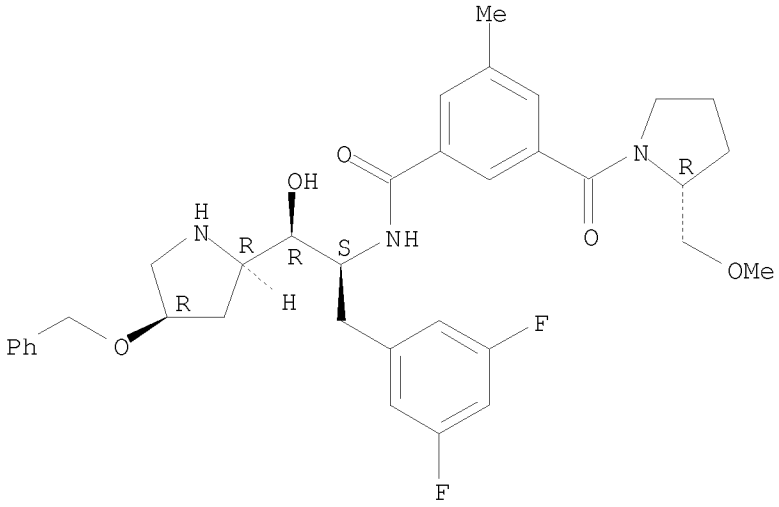
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



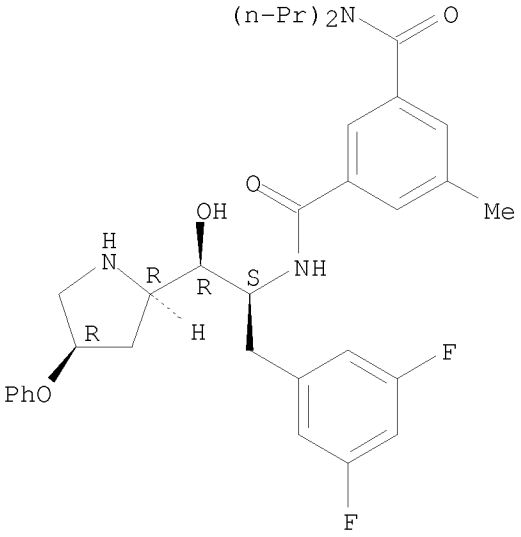
RN 845972-14-7 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



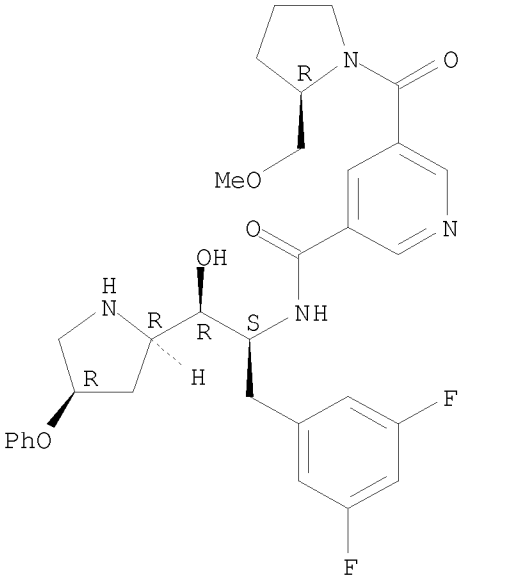
RN 845972-16-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



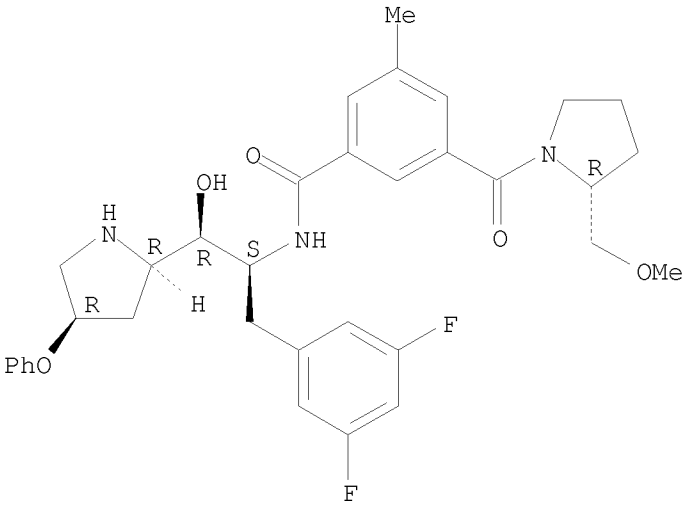
RN 845972-18-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



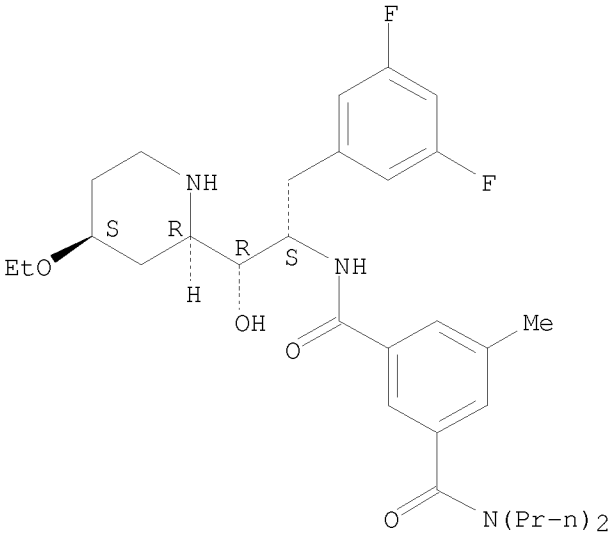
RN 845972-20-5 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



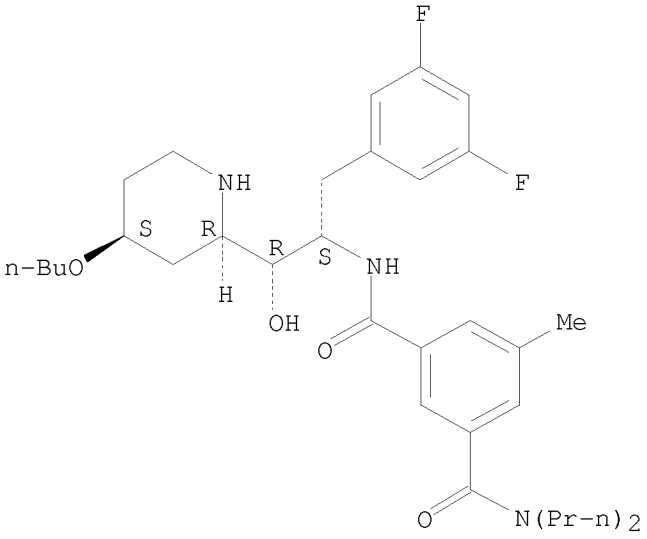
RN 845972-22-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-ethoxy-2-piperidinyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



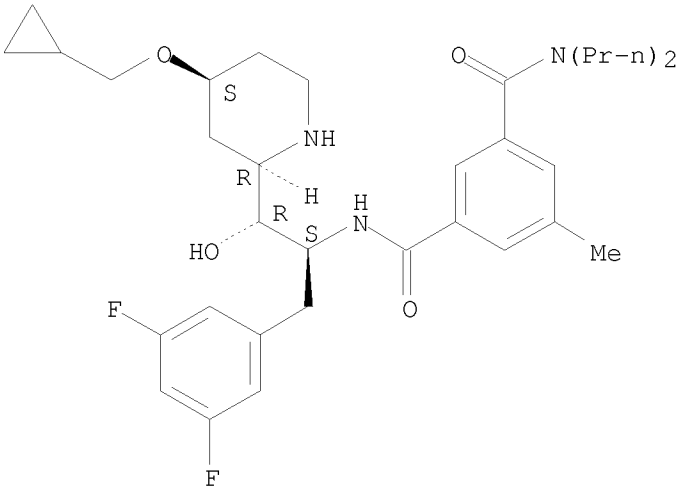
RN 845972-24-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[(2R,4S)-4-butoxy-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



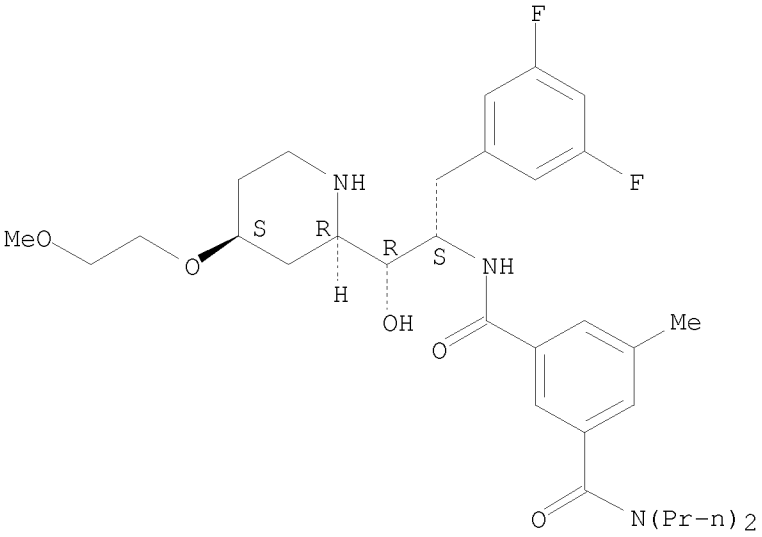
RN 845972-26-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[(2R,4S)-4-(cyclopropylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



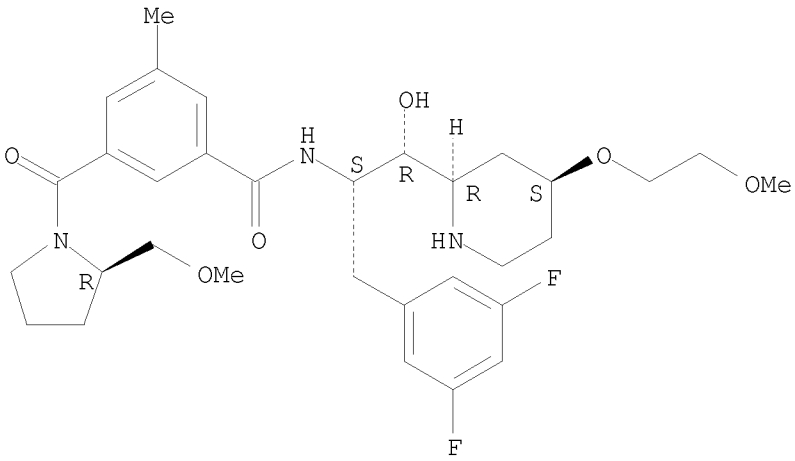
RN 845972-27-2 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-methoxyethoxy)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



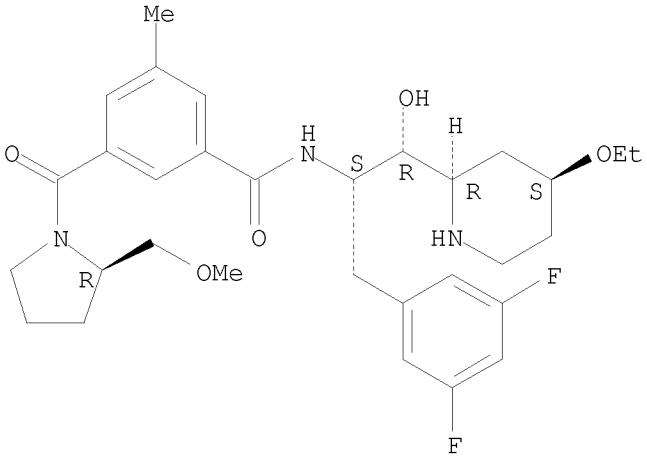
RN 845972-28-3 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-methoxyethoxy)-2-piperidinyl]ethyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



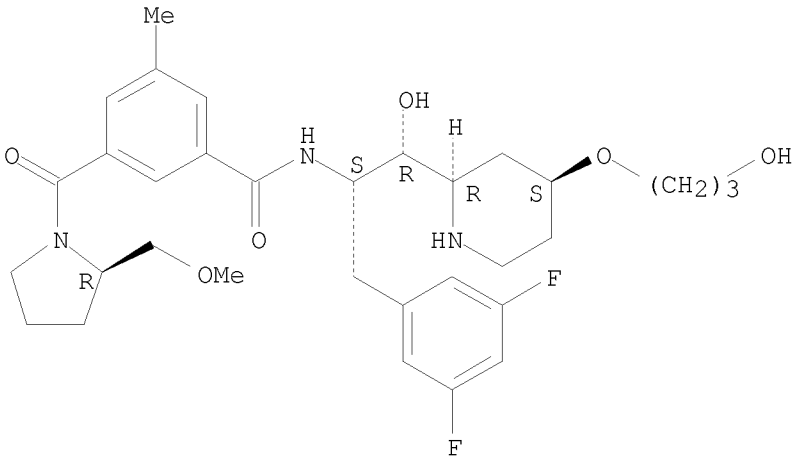
RN 845972-29-4 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-ethoxy-2-piperidinyl]-2-hydroxyethyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



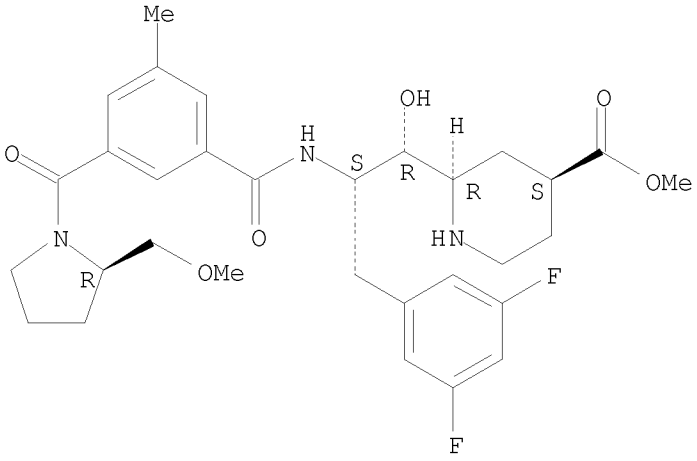
RN 845972-31-8 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(3-hydroxypropoxy)-2-piperidinyl]ethyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



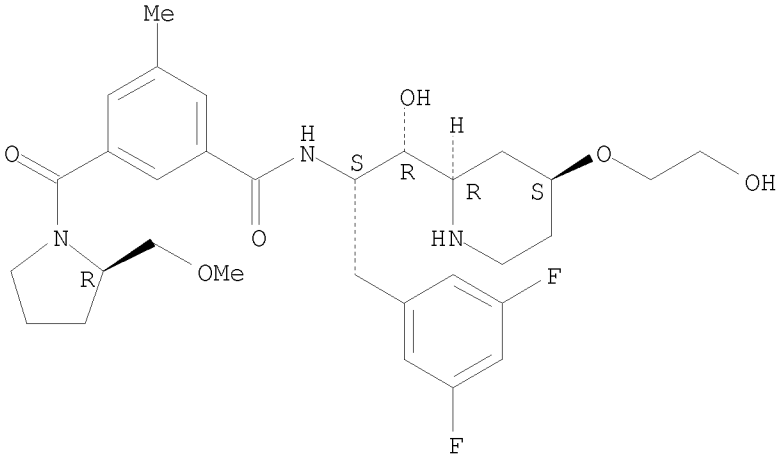
RN 845972-33-0 CAPLUS
CN 4-Piperidinecarboxylic acid, 2-[(1R,2S)-3-(3,5-difluorophenyl)-1-hydroxy-2-[[3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methylbenzoyl]amino]propyl]-, methyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 845972-40-9 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-hydroxyethoxy)-2-piperidinyl]ethyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



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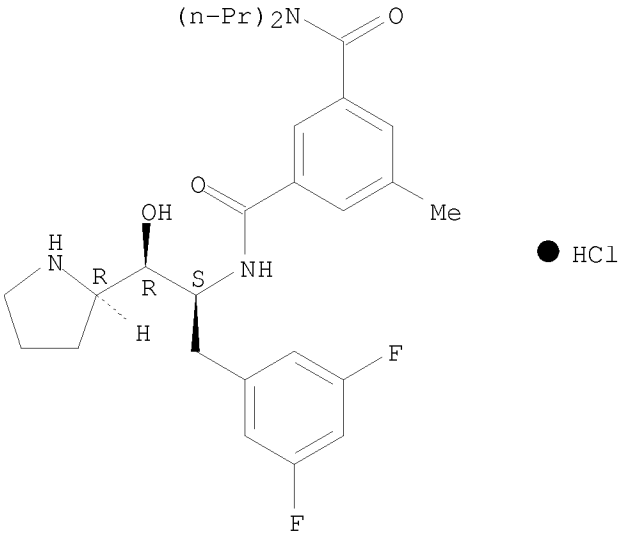
845975-67-9P 845975-72-6P 846541-73-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminohydroxyalkyl cyclic amine BACE-1 inhibitors having a benzamide substituent)

RN 845973-80-0 CAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-5-methyl-N1,N1-dipropyl-, hydrochloride (1:1) (CA INDEX NAME)

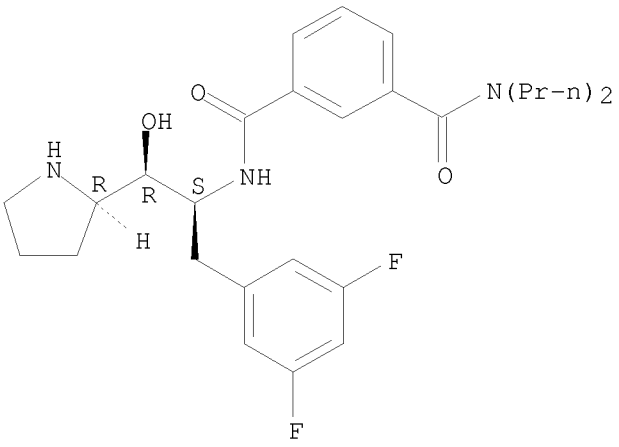
Absolute stereochemistry.



RN 845973-82-2 CAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-N1,N1-dipropyl- (CA INDEX NAME)

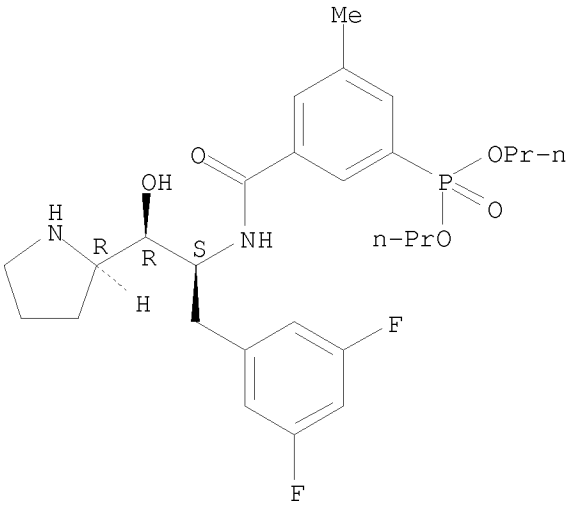
Absolute stereochemistry.



RN 845973-84-4 CAPLUS

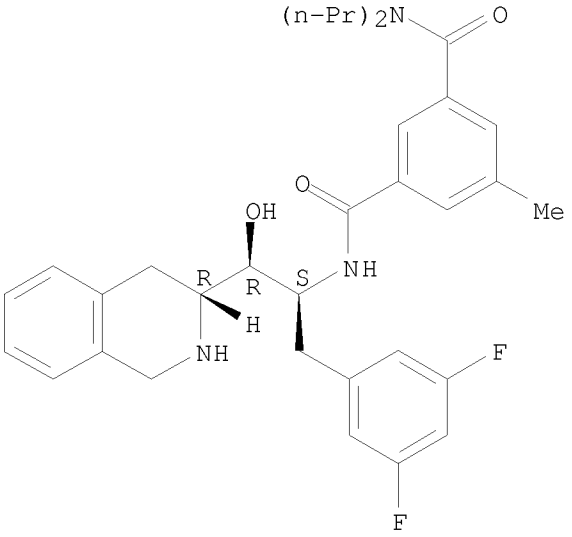
CN Phosphonic acid, [3-[[[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]amino]carbonyl]-5-methylphenyl]-, dipropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



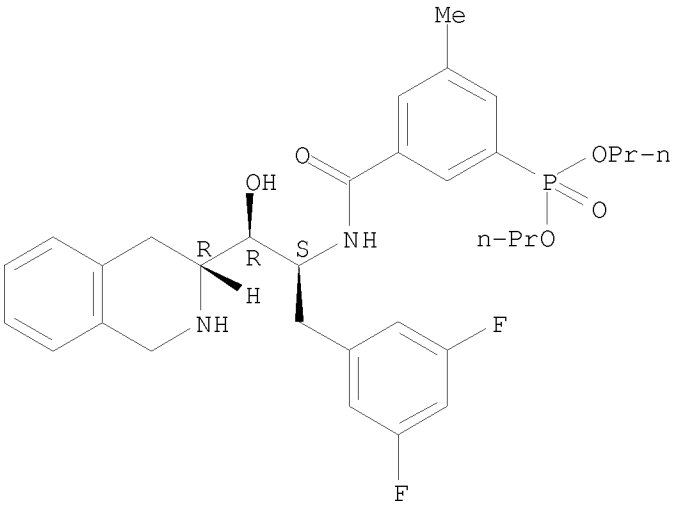
RN 845973-86-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



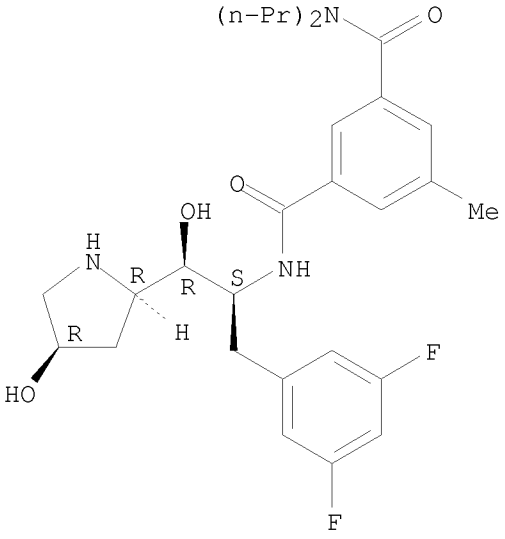
RN 845973-88-8 CAPLUS
CN Phosphonic acid, [3-[[[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]amino]carbonyl]-5-methylphenyl]-, dipropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



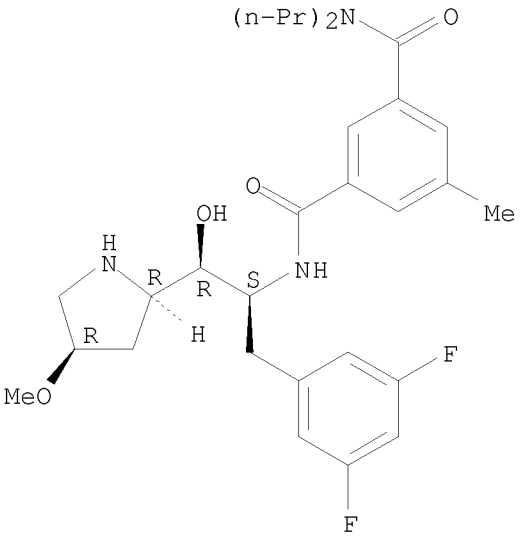
RN 845973-90-2 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



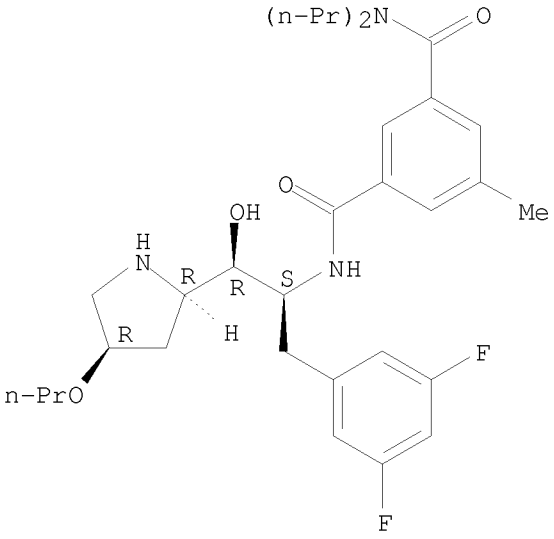
RN 845973-92-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-methoxy-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



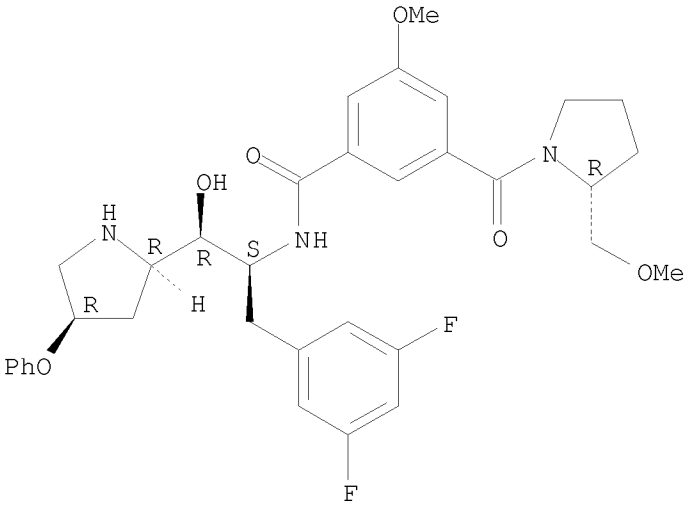
RN 845973-94-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-propoxy-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



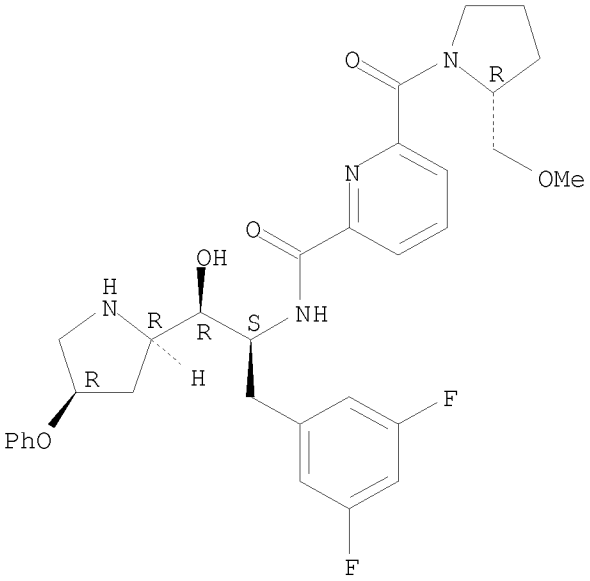
RN 845973-96-8 CAPLUS
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-methoxy-5-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



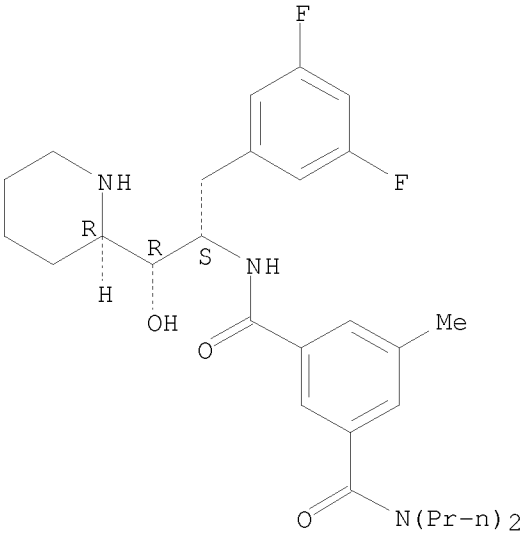
RN 845973-98-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-6-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



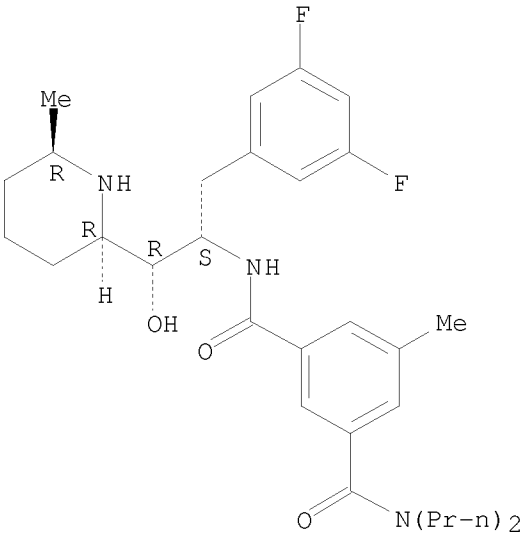
RN 845974-02-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 845974-04-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,6R)-6-methyl-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.

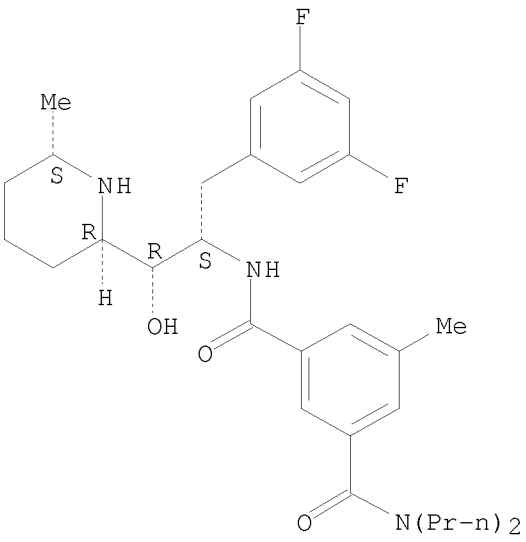


RN 845974-07-4 CAPLUS
CN Formic acid, compd. with N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,6S)-6-methyl-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl-1,3-benzenedicarboxamide (1:1) (CA INDEX NAME)

CM 1

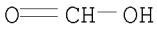
CRN 845974-06-3
CMF C30 H41 F2 N3 O3

Absolute stereochemistry.



CM 2

CRN 64-18-6
CMF C H2 O2

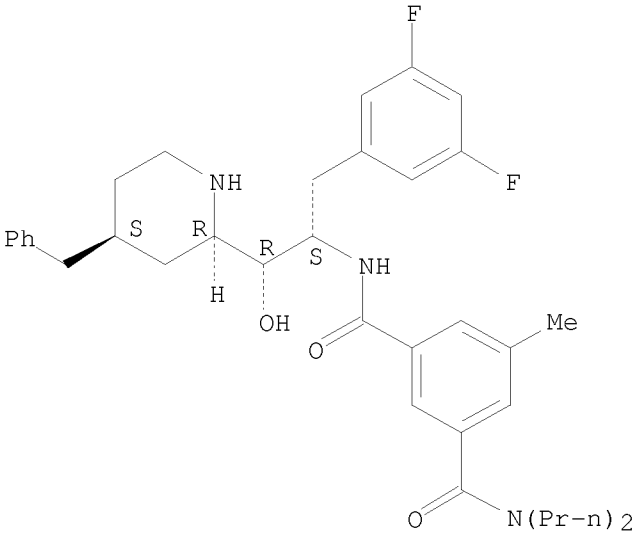


RN 845974-10-9 CAPLUS
CN Formic acid, compd. with N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(phenylmethyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl-1,3-benzenedicarboxamide (1:1) (CA INDEX NAME)

CM 1

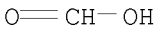
CRN 845974-09-6
CMF C36 H45 F2 N3 O3

Absolute stereochemistry.



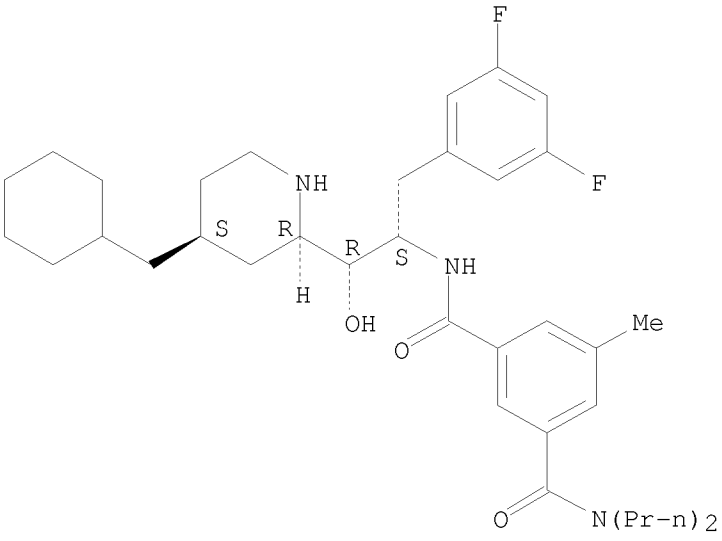
CM 2

CRN 64-18-6
CMF C H2 O2



RN 845974-12-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[(2R,4S)-4-(cyclohexylmethyl)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

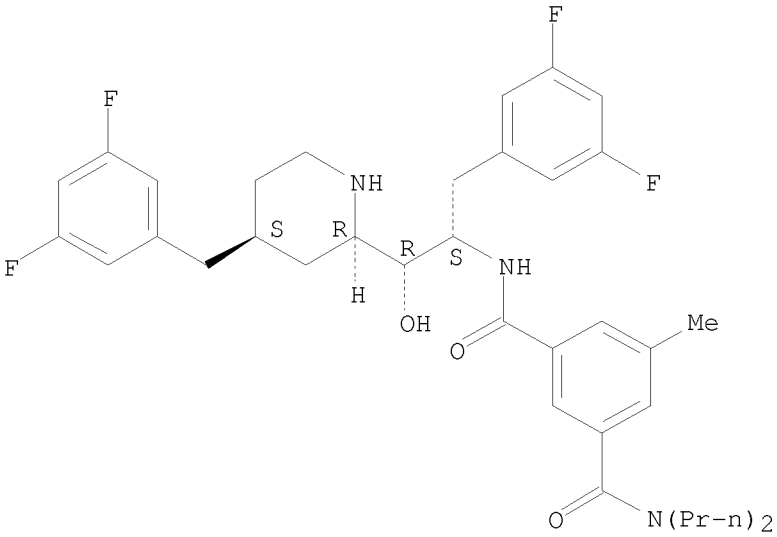
Absolute stereochemistry.



RN 845974-14-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-

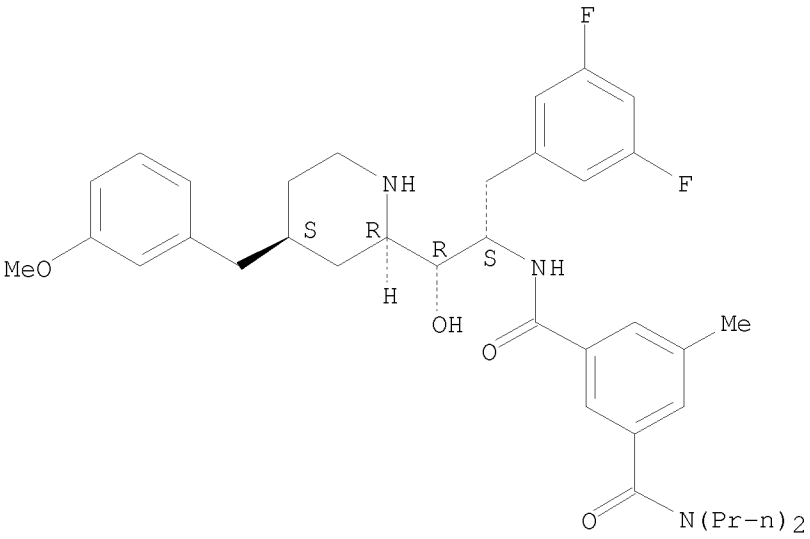
[(2R,4S)-4-[(3,5-difluorophenyl)methyl]-2-piperidinyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



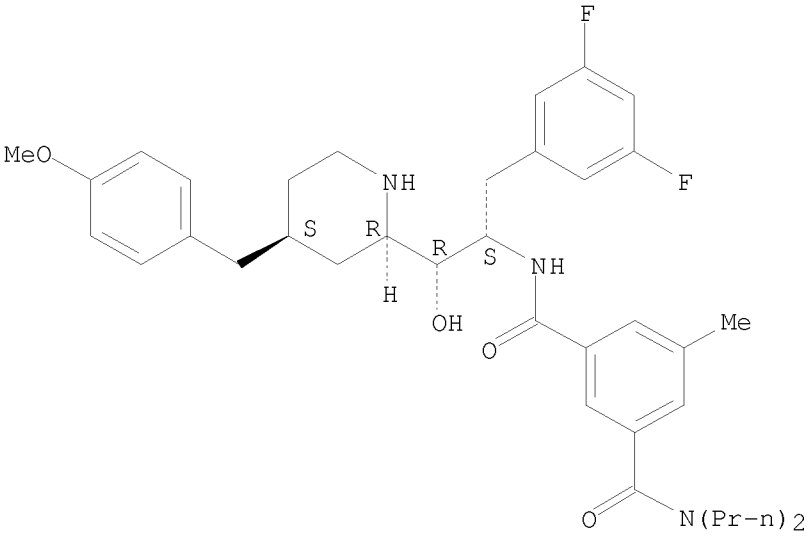
RN 845974-16-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[(3-methoxyphenyl)methyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



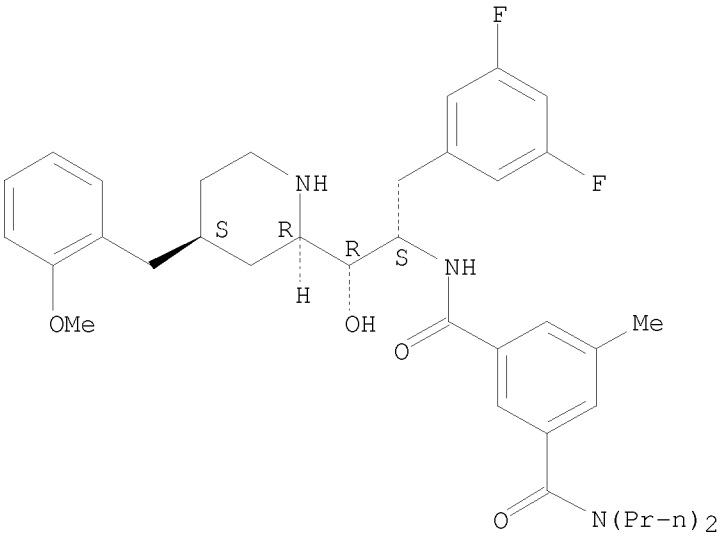
RN 845974-18-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[(4-methoxyphenyl)methyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



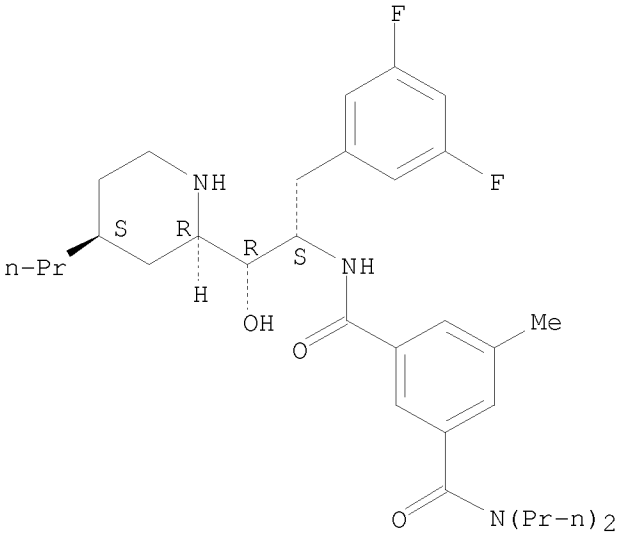
RN 845974-20-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[(2-methoxyphenyl)methyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



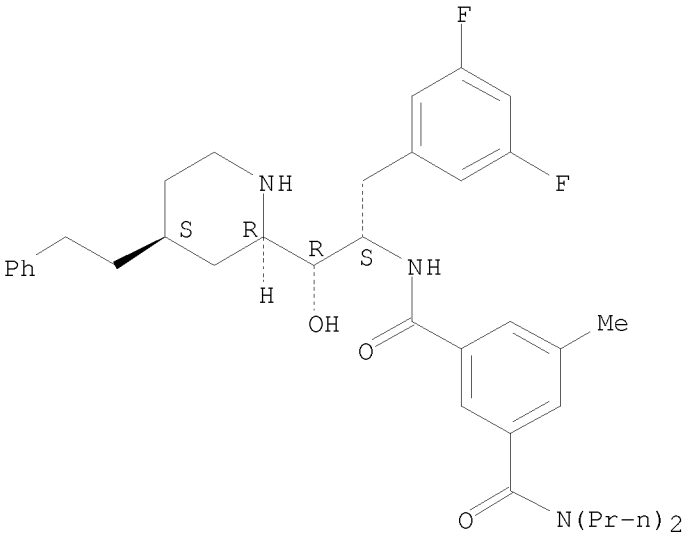
RN 845974-22-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-propyl-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



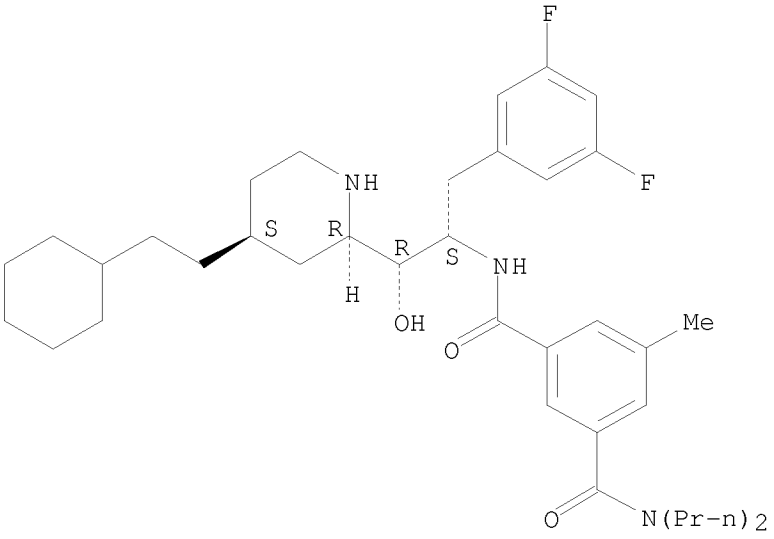
RN 845974-24-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-phenylethyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



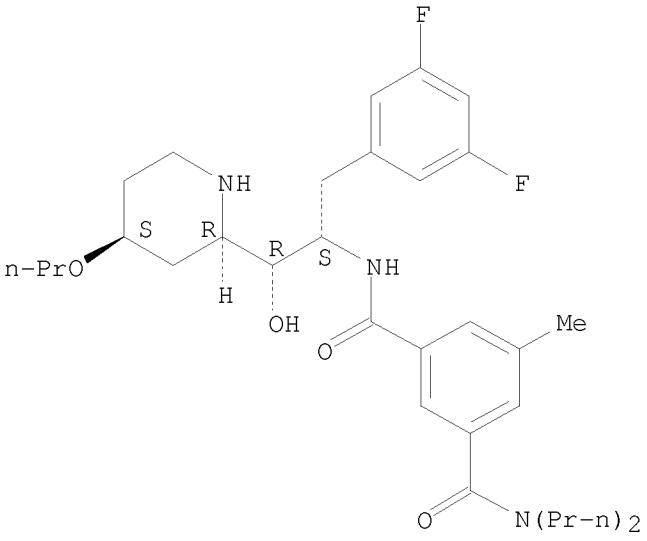
RN 845974-26-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[(2R,4S)-4-(2-cyclohexylethyl)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



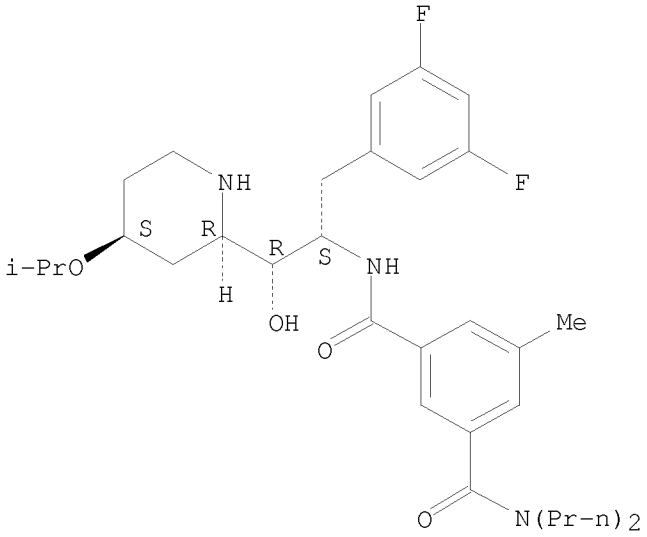
RN 845974-28-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-propoxy-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



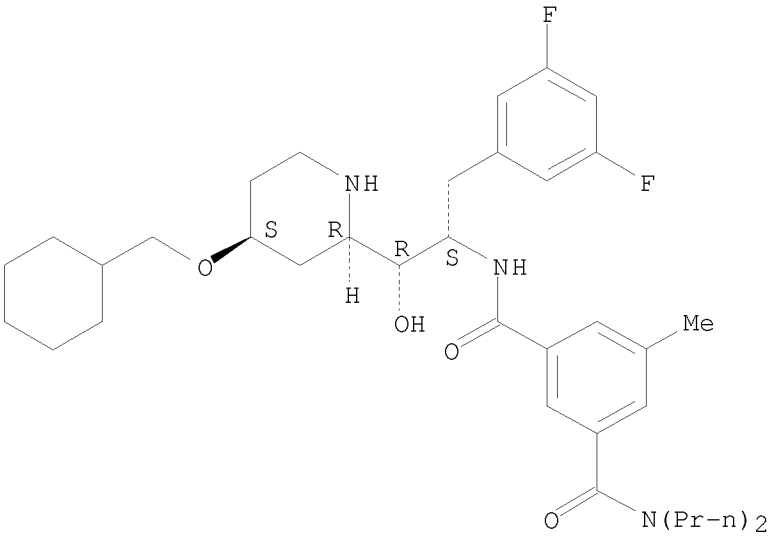
RN 845974-30-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(1-methylethoxy)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



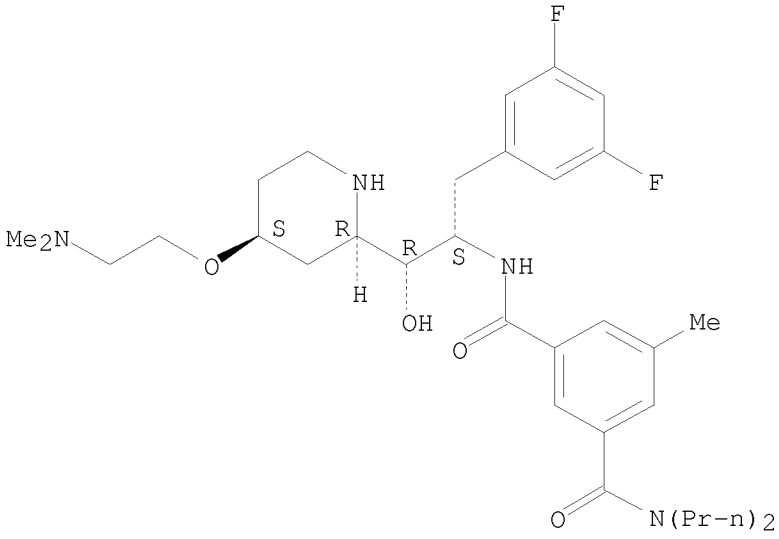
RN 845974-32-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[(2R,4S)-4-(cyclohexylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



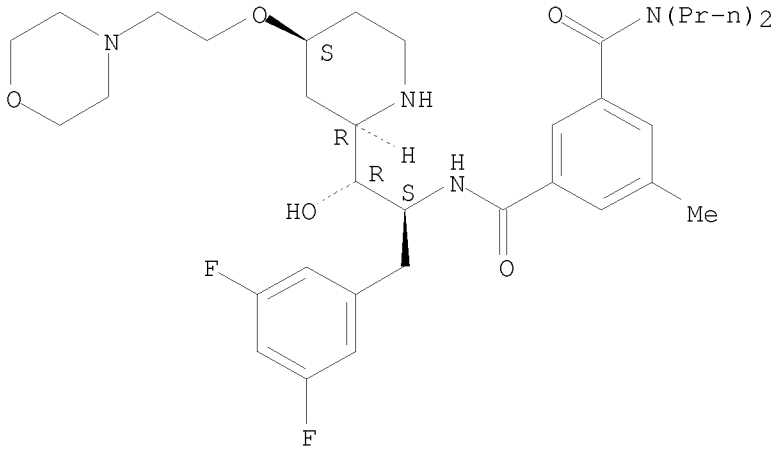
RN 845974-34-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-[2-(dimethylamino)ethoxy]-2-piperidinyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



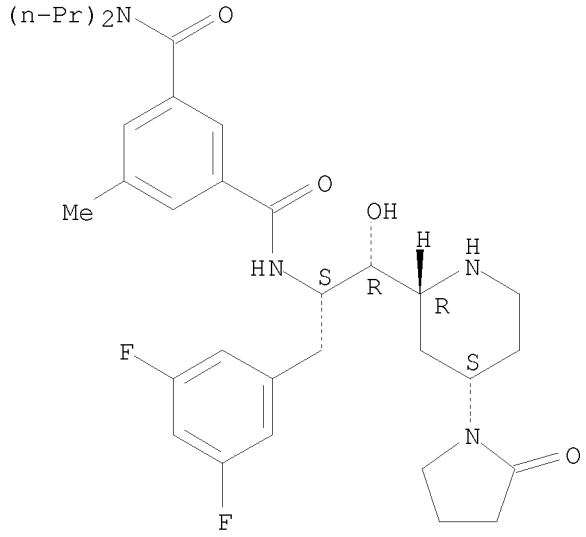
RN 845974-36-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[2-(4-morpholinyl)ethoxy]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



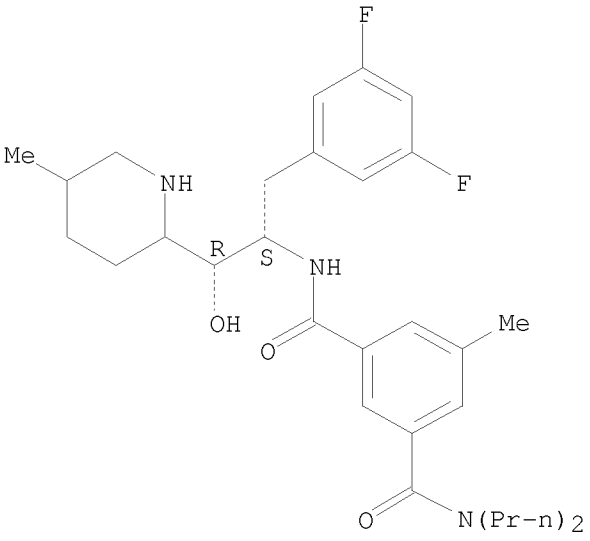
RN 845974-38-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-oxo-1-pyrrolidinyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



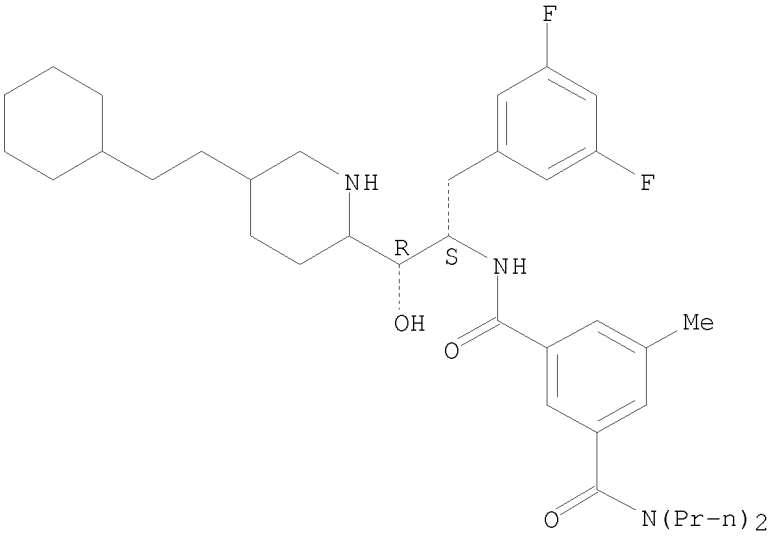
RN 845974-40-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(5-methyl-2-piperidinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



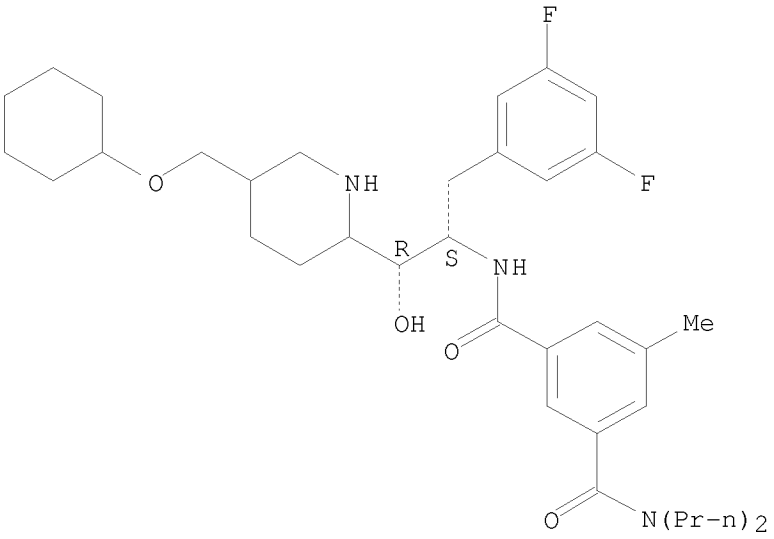
RN 845974-42-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[5-(2-cyclohexylethyl)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



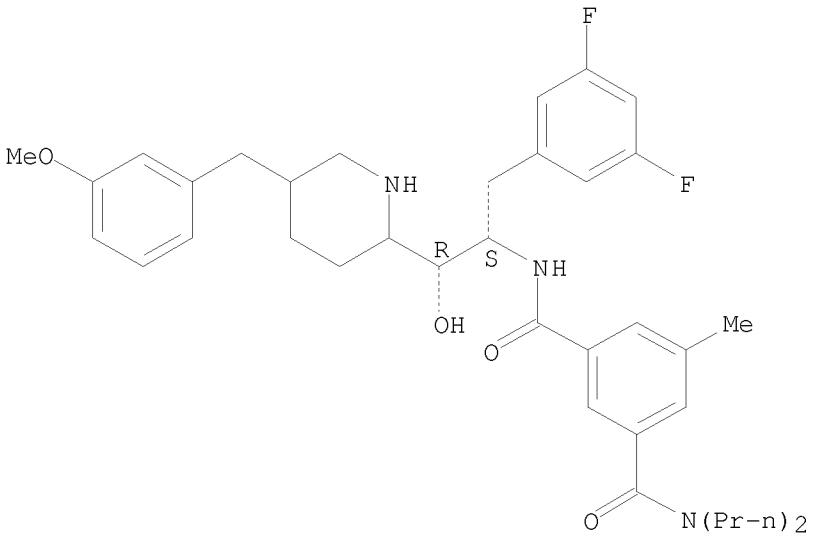
RN 845974-44-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[5-[(cyclohexyloxy)methyl]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



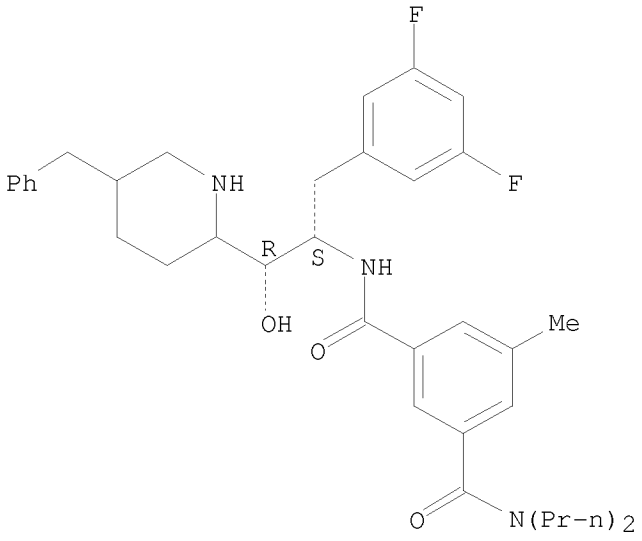
RN 845974-46-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-[(3-methoxyphenyl)methyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



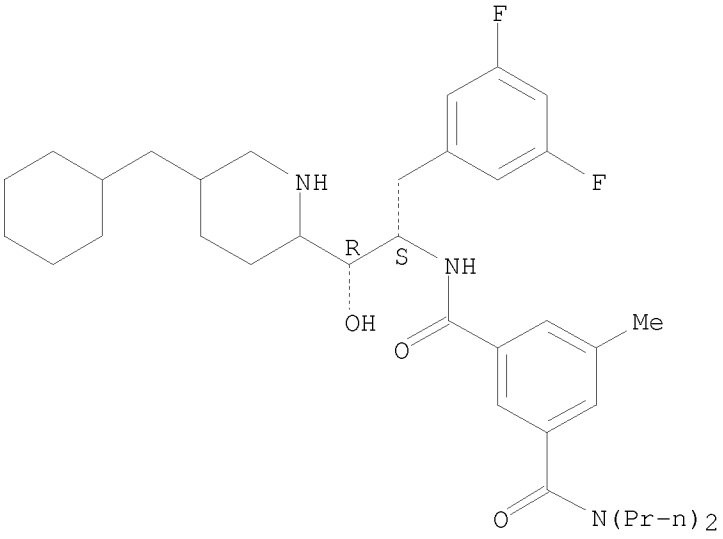
RN 845974-48-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(phenylmethyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



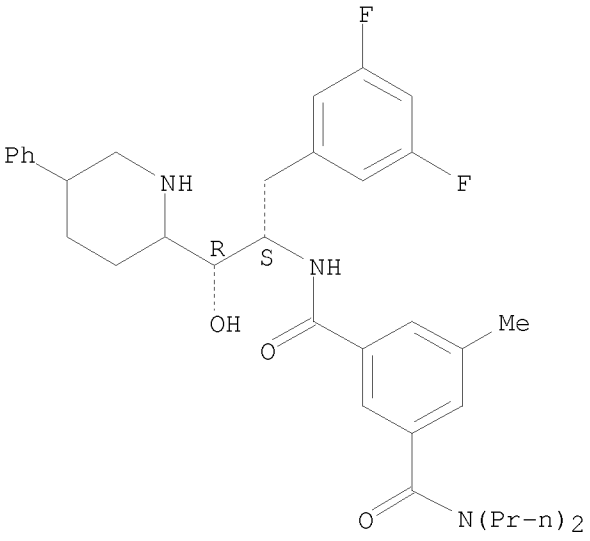
RN 845974-50-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-[5-(cyclohexylmethyl)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



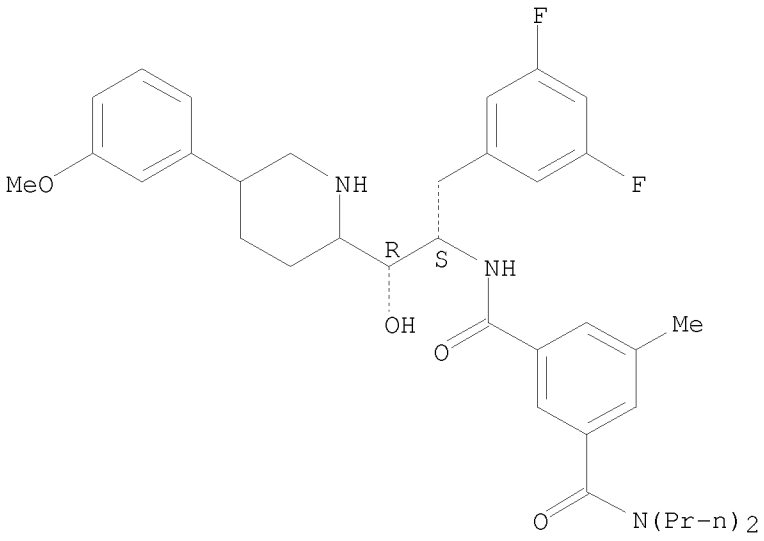
RN 845974-52-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(5-phenyl-2-piperidinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



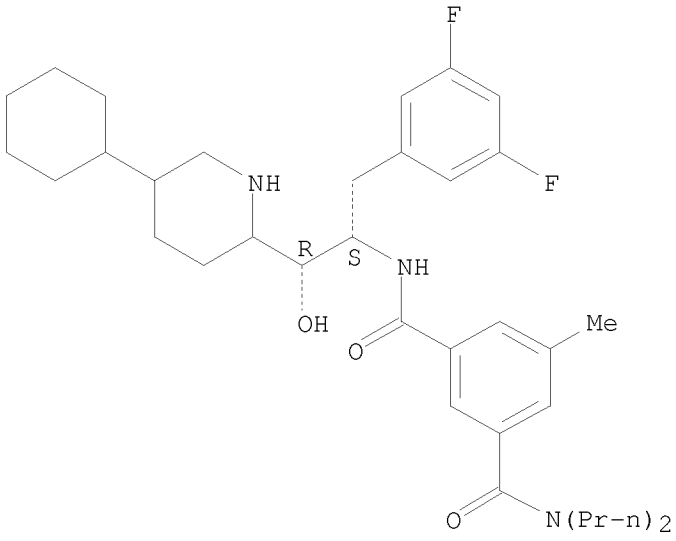
RN 845974-54-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(3-methoxyphenyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



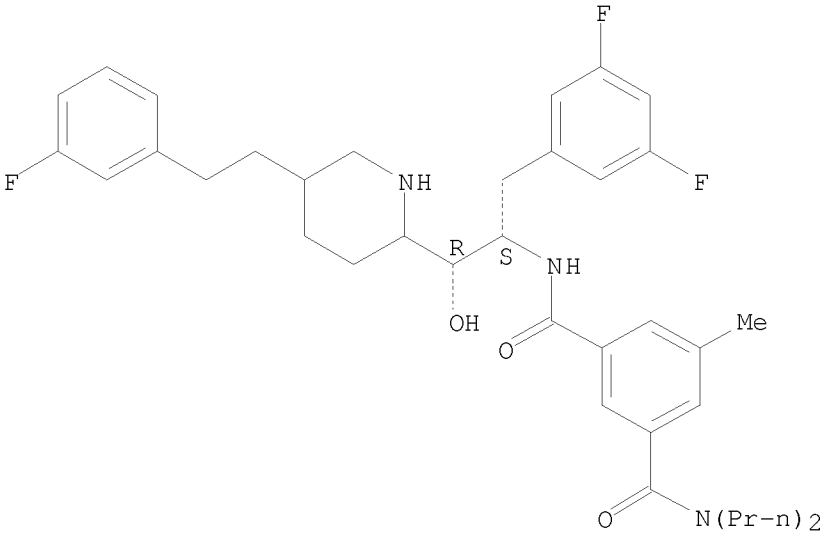
RN 845974-56-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-2-(5-cyclohexyl-2-piperidinyl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



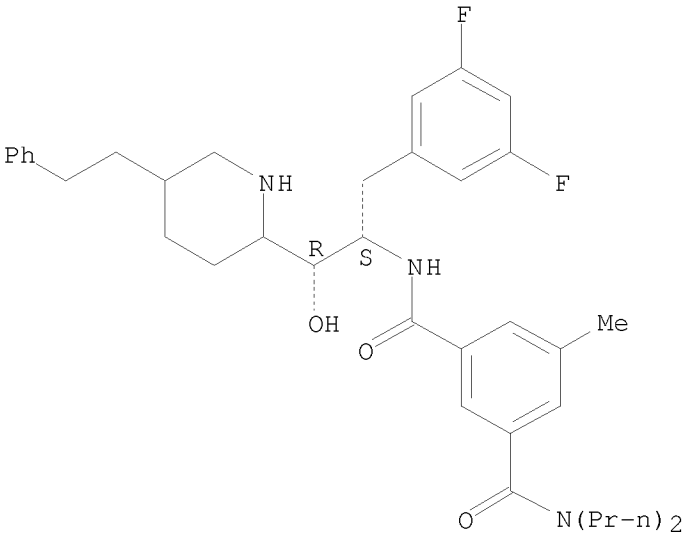
RN 845974-58-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[5-[2-(3-fluorophenyl)ethyl]-2-piperidinyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



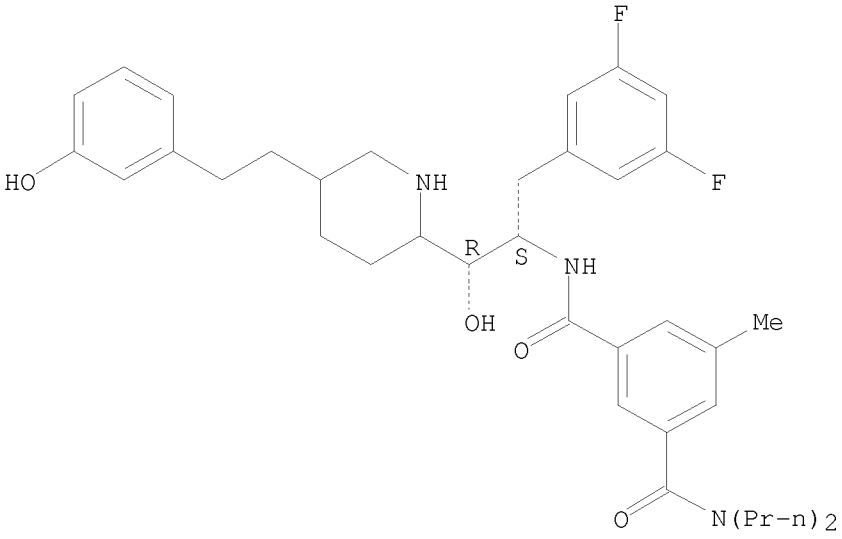
RN 845974-60-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(2-phenylethyl)-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



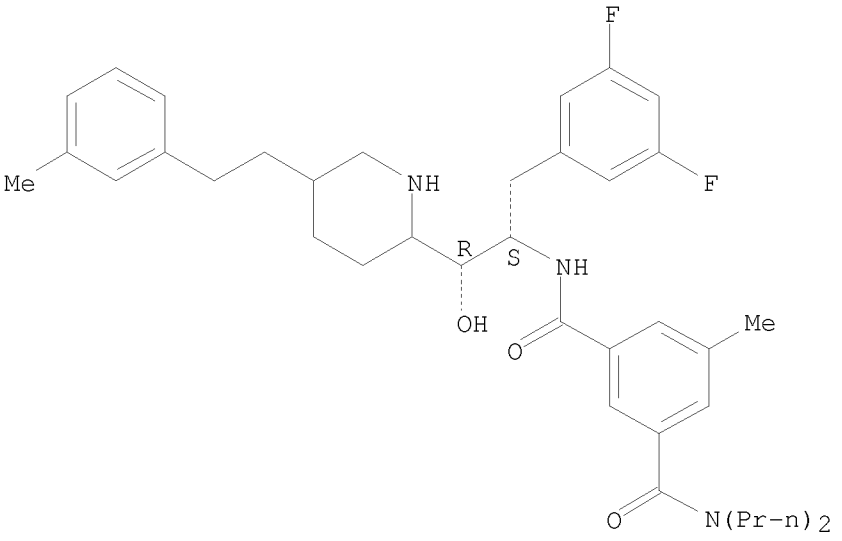
RN 845974-62-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-[2-(3-hydroxyphenyl)ethyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



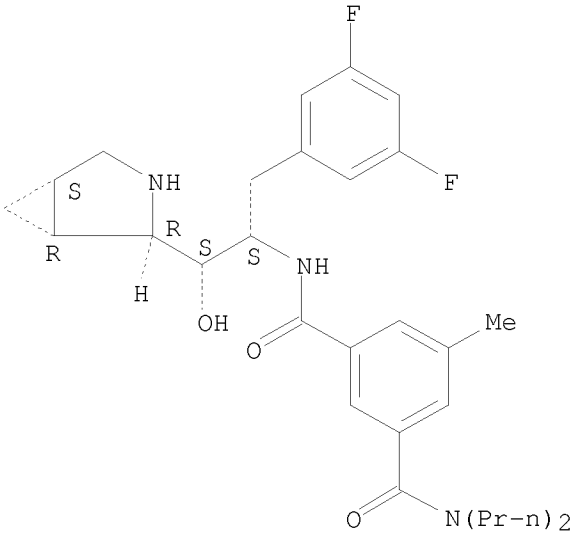
RN 845974-64-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-[2-(3-methylphenyl)ethyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



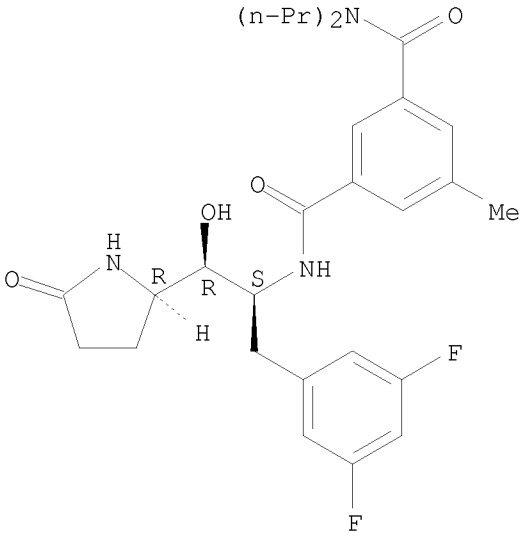
RN 845975-65-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2S)-2-(1R,2R,5S)-3-azabicyclo[3.1.0]hex-2-yl-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



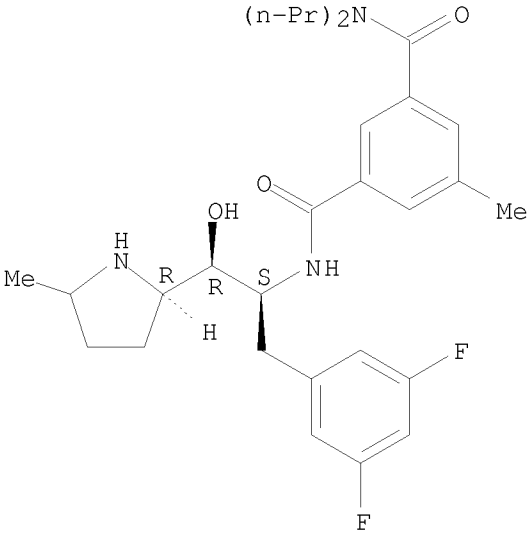
RN 845975-67-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R)-5-oxo-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



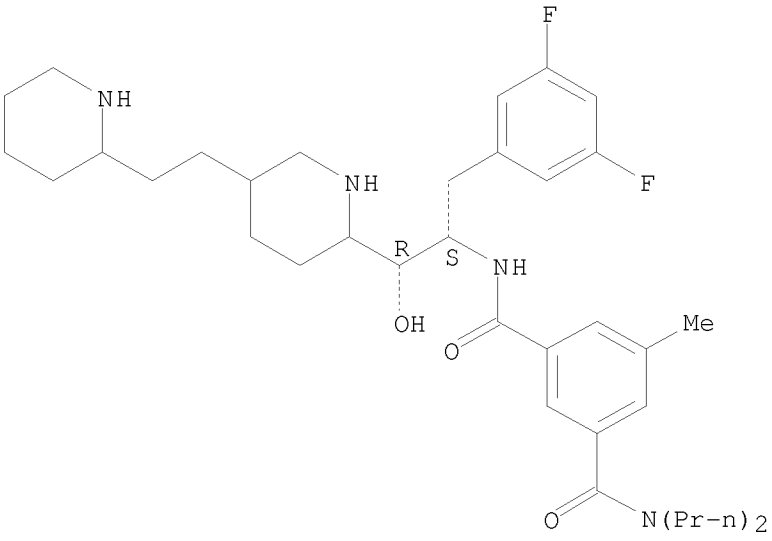
RN 845975-72-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R)-5-methyl-2-pyrrolidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 846541-73-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-[2-(2-piperidinyl)ethyl]-2-piperidinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.

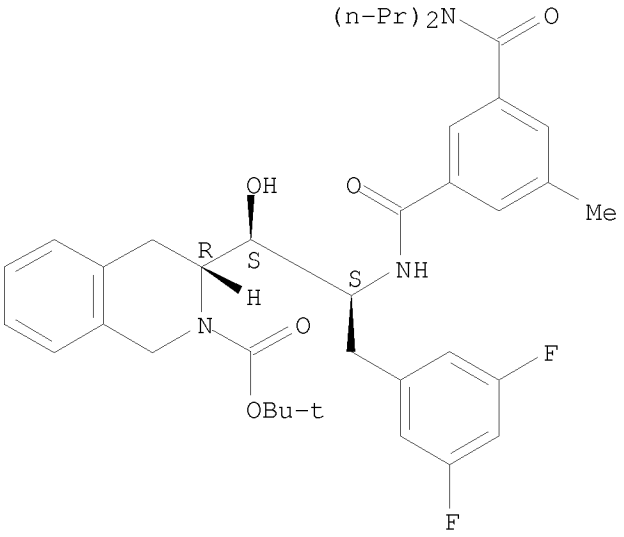


IT 845972-71-6P 845972-83-0P 845972-85-2P
845972-87-4P 845972-89-6P 845972-91-0P
845972-93-2P 845972-95-4P 845972-96-5P
845973-08-2P 845973-11-7P 845973-15-1P
845973-16-2P 845973-19-5P 845973-21-9P
845973-25-3P 845973-27-5P 845973-29-7P
845973-31-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminohydroxyalkyl cyclic amine BACE-1 inhibitors having a benzamide substituent)

RN 845972-71-6 CAPLUS

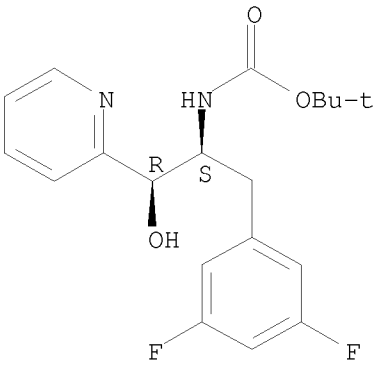
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[(1S,2S)-3-(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-hydroxypropyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



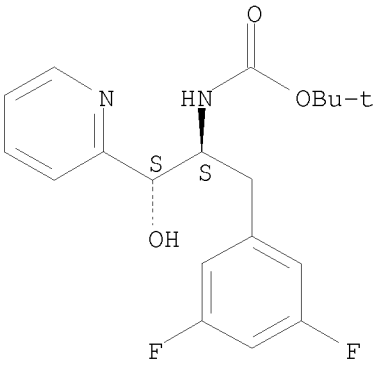
RN 845972-83-0 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



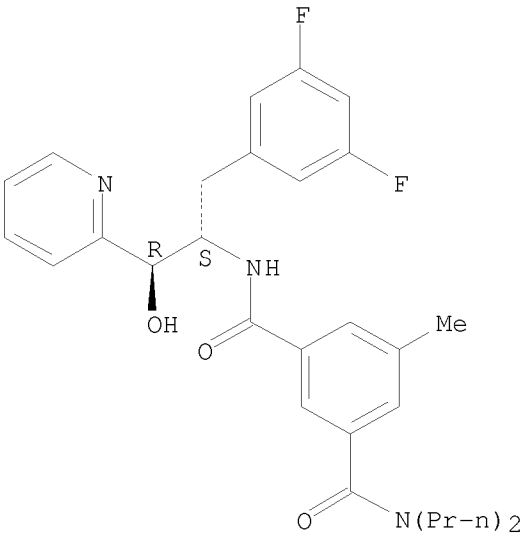
RN 845972-85-2 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



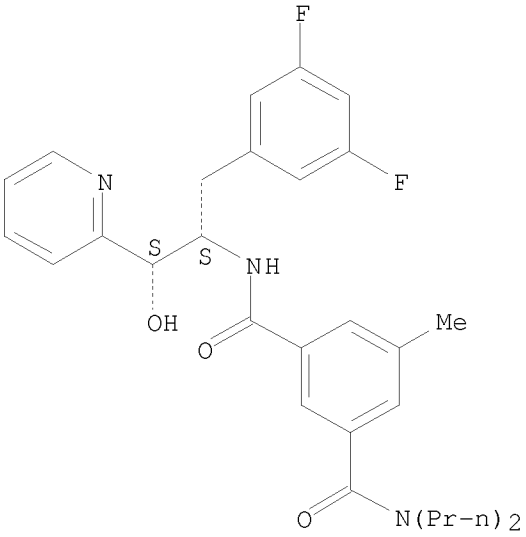
RN 845972-87-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-pyridinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



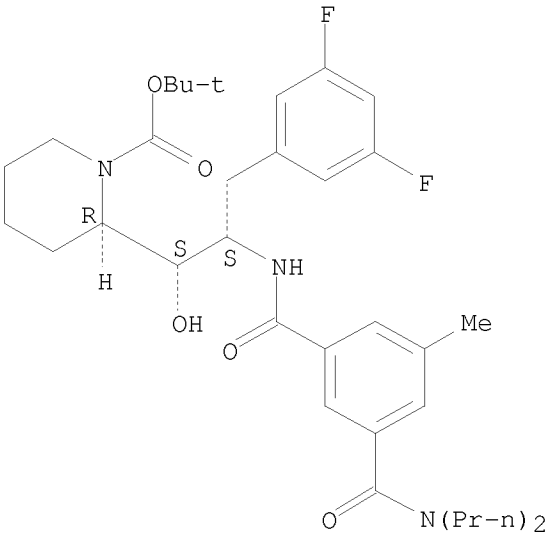
RN 845972-89-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-pyridinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



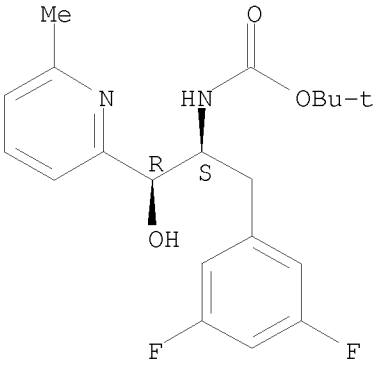
RN 845972-91-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-3-(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



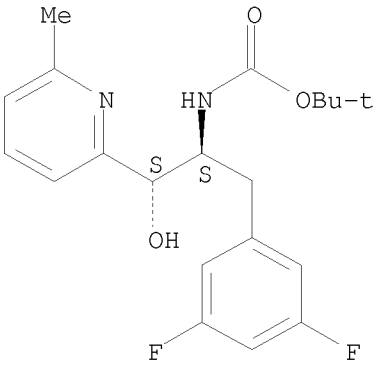
RN 845972-93-2 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(6-methyl-2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



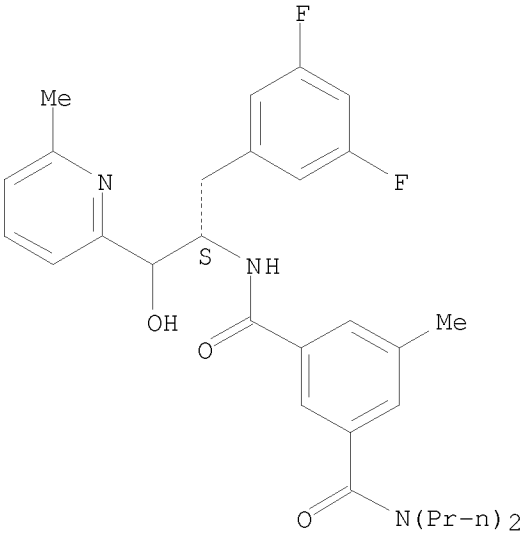
RN 845972-95-4 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(6-methyl-2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



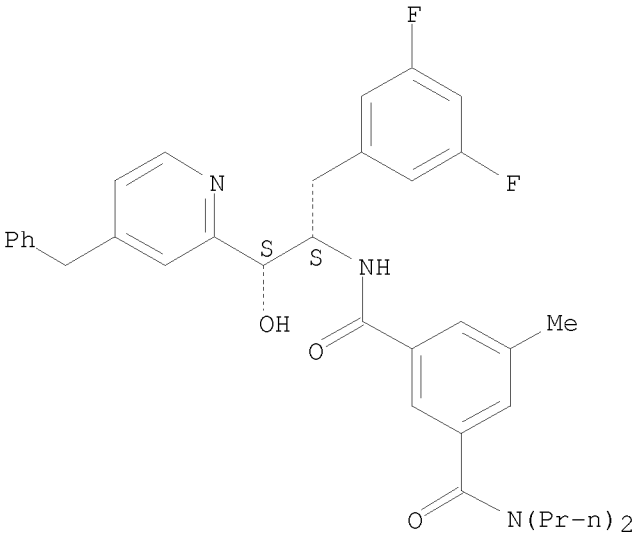
RN 845972-96-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(6-methyl-2-pyridinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



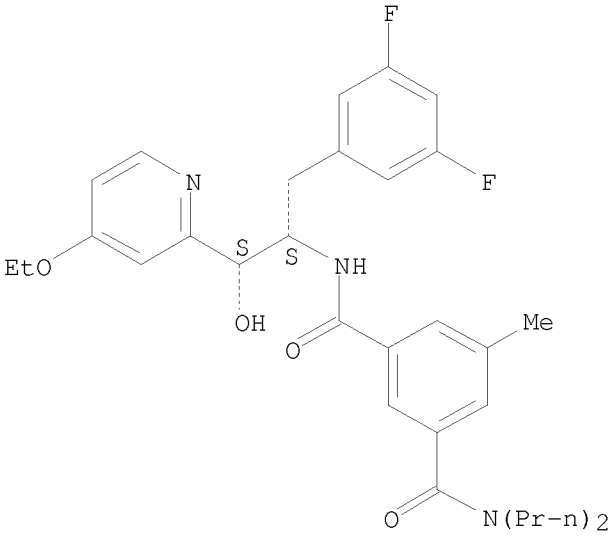
RN 845973-08-2 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[4-(phenylmethyl)-2-pyridinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



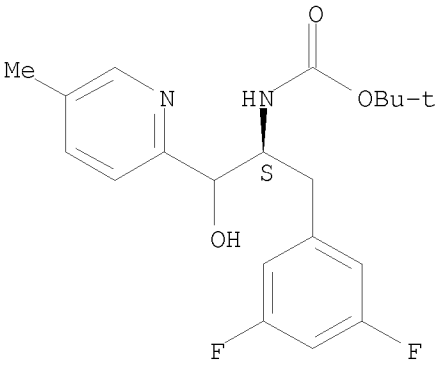
RN 845973-11-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-(4-ethoxy-2-pyridinyl)-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



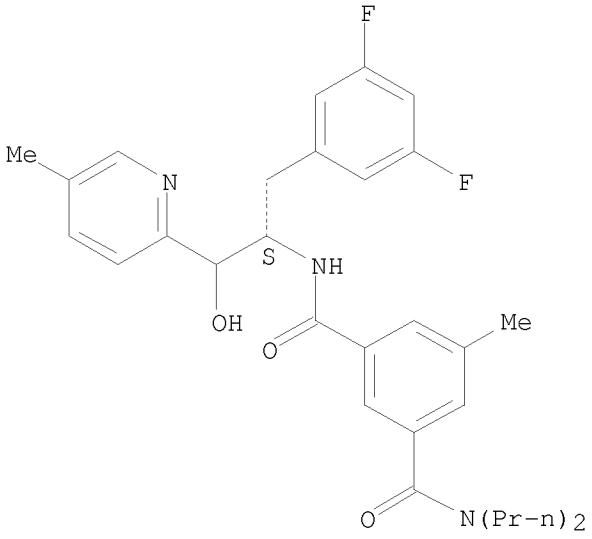
RN 845973-15-1 CAPLUS
CN Carbamic acid, [(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(5-methyl-2-pyridinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



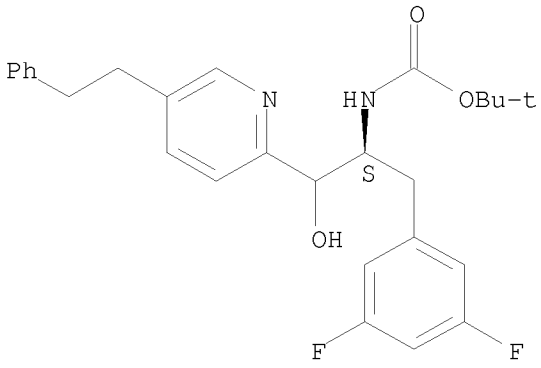
RN 845973-16-2 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(5-methyl-2-pyridinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



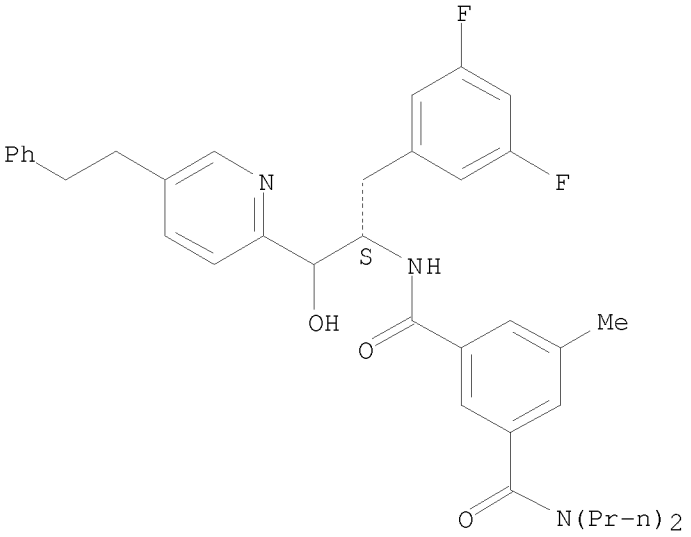
RN 845973-19-5 CAPLUS
CN Carbamic acid, [(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(2-phenylethyl)-2-pyridinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



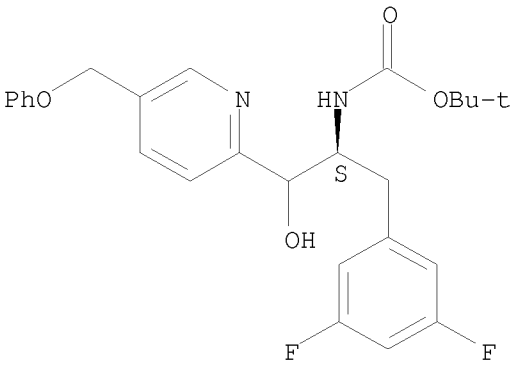
RN 845973-21-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(2-phenylethyl)-2-pyridinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



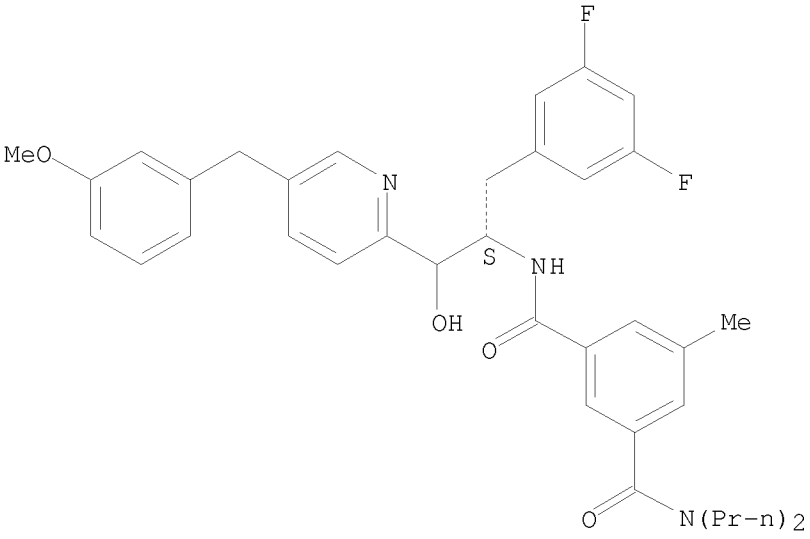
RN 845973-25-3 CAPLUS
CN Carbamic acid, [(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-(phenoxymethyl)-2-pyridinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



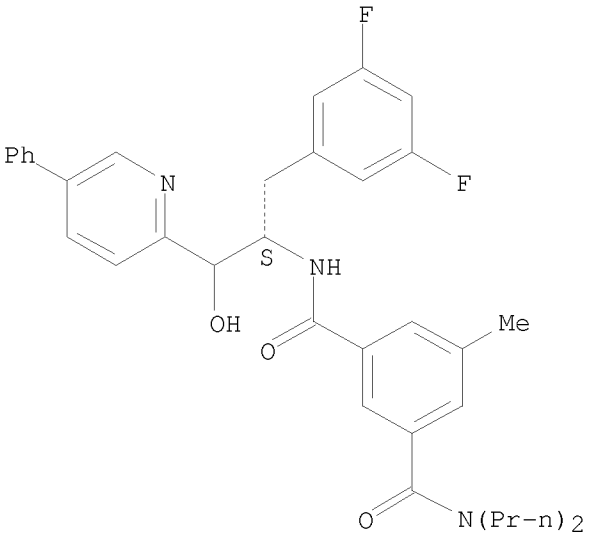
RN 845973-27-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[5-[(3-methoxyphenyl)methyl]-2-pyridinyl]ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



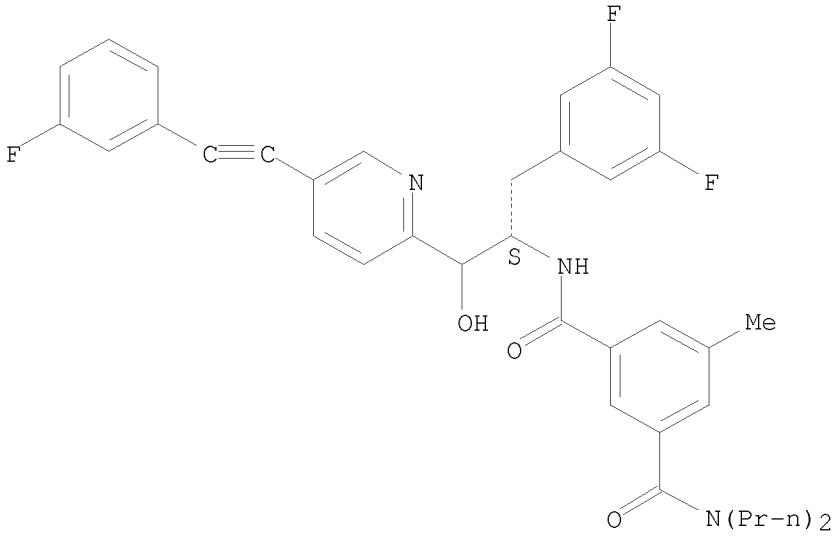
RN 845973-29-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(5-phenyl-2-pyridinyl)ethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 845973-31-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N3-[(1S)-1-[(3,5-difluorophenyl)methyl]-2-[5-[2-(3-fluorophenyl)ethynyl]-2-pyridinyl]-2-hydroxyethyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:141026 CAPLUS
DOCUMENT NUMBER: 142:240330
TITLE: Preparation of cyclic amine BACE-1 inhibitors having a heterocyclic substituent
INVENTOR(S): Cumming, Jared N.; Huang, Ying; Li, Guoqing; Iserloh, Ulrich; Stamford, Andrew; Strickland, Corey; Voigt, Johannes H.; Wu, Yusheng; Pan, Jianping; Guo, Tao; Hobbs, Douglas W.; Le, Thuy X. H.; Lowrie, Jeffrey F.
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug Discovery, Inc.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014540	A1	20050217	WO 2004-US25748	20040804 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263532	A1	20050217	AU 2004-263532	20040804 <--
CA 2534672	A1	20050217	CA 2004-2534672	20040804 <--
US 20050043290	A1	20050224	US 2004-911030	20040804 <--
EP 1660447	A1	20060531	EP 2004-780561	20040804 <--
EP 1660447	B1	20080730		
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CN 1863770	A	20061115	CN 2004-80029096		20040804	<--
JP 2007501803	T	20070201	JP 2006-522800		20040804	<--
AT 402921	T	20080815	AT 2004-780561		20040804	<--
ES 2308247	T3	20081201	ES 2004-780561		20040804	<--
MX 2006001558	A	20060515	MX 2006-1558		20060208	<--
KR 2006056376	A	20060524	KR 2006-702698		20060208	<--
PRIORITY APPLN. INFO.:			US 2003-493646P	P	20030808	<--
			WO 2004-US25748	W	20040804	<--

OTHER SOURCE(S): CASREACT 142:240330; MARPAT 142:240330

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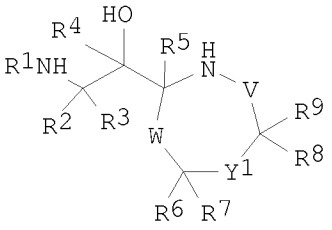


I

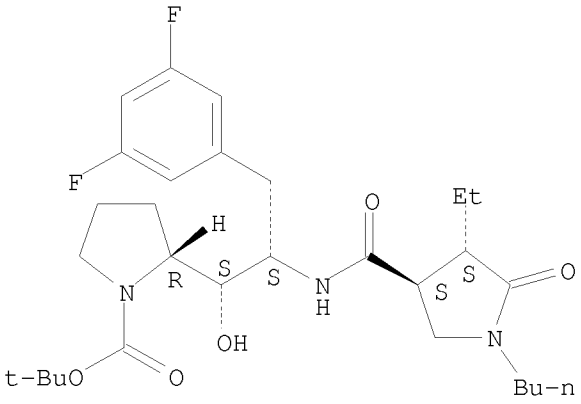
AB Disclosed are novel compds., e.g., I [R1 = azcycloalkylcarbamoyl, carbamoyl (from piperazine, piperidine or pyrrolidine derivs.); X = O, C(R14)2, N(R); Z is -C(R14)2- or -N(R)-; t is 0, 1, 2 or 3; R, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, heterocycloalkyl, arylalkyl, heteroarylalkyl, heterocycloalkylalkyl, alkenyl or alkynyl; R3, R4 = H, alkyl; R5 = H, alkyl, cycloalkyl, aryl, heteroaryl; R14 = H, alkyl, alkenyl, alkynyl, halo, -CN, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, heterocycloalkyl, arylalkyl, heteroarylalkyl, heterocycloalkylalkyl, -OR35, N(R24)(R25)or SR35; R41 is alkyl, cycloalkyl, -S02(alkyl), -C(O)-alkyl, -C(O)-cycloalkyl or -alkyl-NH-C(O)CH3; W = (CR10R11)l; V = (CR12R13)n; Y1 = (Y)m; Y = CR30R31; l = 0-3; m = 0, 1; n = 0-3 (whereby the sum of l+n = 0-3); etc.] or a pharmaceutically acceptable salt or solvate thereof. Also disclosed are pharmaceutical compns. comprising the compds. I and methods of treating cognitive or neurodegenerative diseases with compds. I (no data). Also disclosed are pharmaceutical compns. and methods of treatment comprising compds. I in combination with other agents useful in treating cognitive or neurodegenerative diseases (no data).

IT 845543-77-3P 845543-78-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-deprotection of; preparation of cyclic amine BACE-1 inhibitors
having heterocyclic substituent)

RN 845543-77-3 CAPLUS

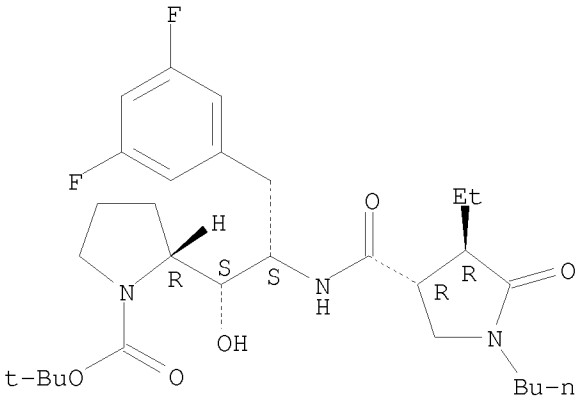
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-[[[(3S,4S)-1-butyl-4-ethyl-5-oxo-3-pyrrolidinyl]carbonyl]amino]-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



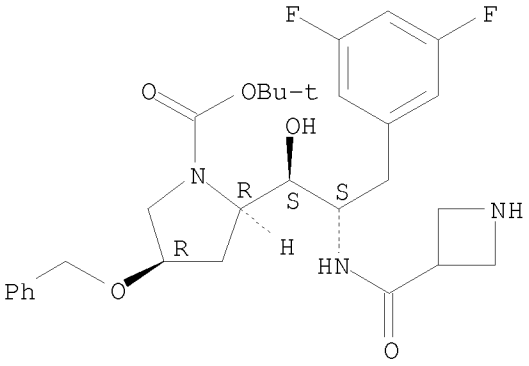
RN 845543-78-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-[[[(3R,4R)-1-butyl-4-ethyl-5-oxo-3-pyrrolidinyl]carbonyl]amino]-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



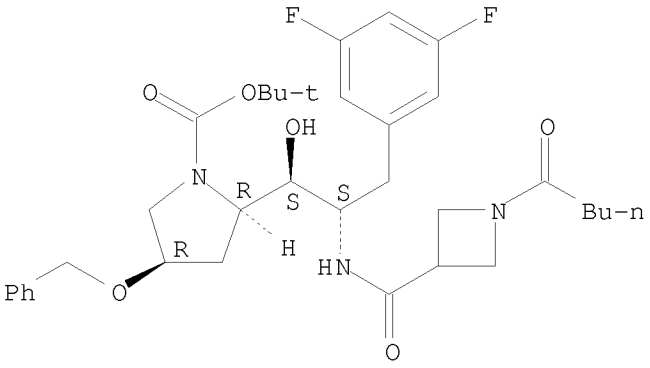
IT 845546-70-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation or sulfonation of; preparation of cyclic amine BACE-1
inhibitors having heterocyclic substituent)
RN 845546-70-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-[(3-azetidinylcarbonyl)amino]-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



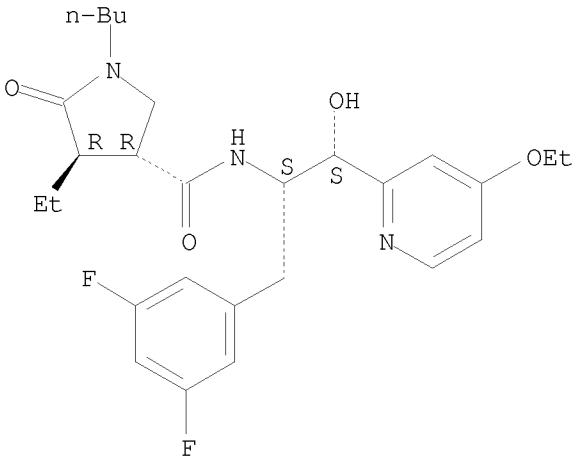
IT 845546-71-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of; preparation of cyclic amine BACE-1 inhibitors
having heterocyclic substituent)
RN 845546-71-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-3-(3,5-difluorophenyl)-1-hydroxy-2-[[[1-(1-oxopentyl)-3-azetidinyl]carbonyl]amino]propyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



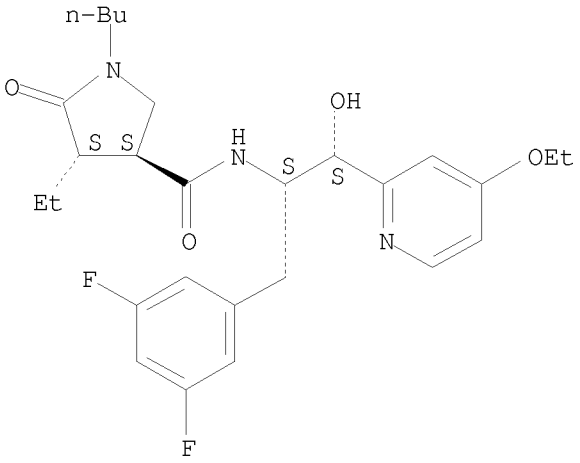
IT 845544-02-7P 845544-03-8P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and hydrogenation of; preparation of cyclic amine BACE-1 inhibitors
having heterocyclic substituent)
RN 845544-02-7 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-(4-ethoxy-2-pyridinyl)-2-hydroxyethyl]-4-ethyl-5-oxo-, (3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



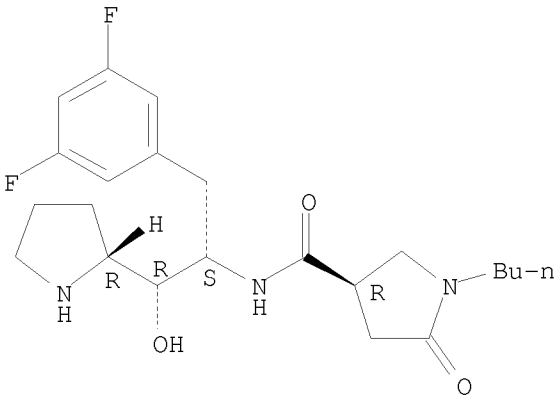
RN 845544-03-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-(4-ethoxy-2-pyridinyl)-2-hydroxyethyl]-4-ethyl-5-oxo-, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 845543-68-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amine BACE-1 inhibitors having heterocyclic substituent)
RN 845543-68-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-5-oxo-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 845543-70-6P 845543-72-8P 845543-73-9P
845543-74-0P 845543-75-1P 845543-76-2P
845543-92-2P 845543-93-3P 845543-94-4P
845543-95-5P 845543-96-6P 845543-97-7P
845543-98-8P 845544-05-0P 845544-07-2P
845544-08-3P 845544-09-4P 845545-38-2P
845545-39-3P 845545-40-6P 845545-41-7P
845545-42-8P 845545-43-9P 845545-44-0P
845545-45-1P 845545-46-2P 845545-47-3P
845545-48-4P 845545-49-5P 845545-50-8P
845545-51-9P 845545-52-0P 845545-53-1P
845545-54-2P 845545-55-3P 845545-56-4P
845545-57-5P 845545-58-6P 845545-59-7P
845545-60-0P 845545-61-1P 845545-62-2P
845545-63-3P 845545-64-4P 845545-65-5P
845545-66-6P 845545-67-7P 845545-68-8P
845545-69-9P 845545-70-2P 845545-71-3P
845545-72-4P 845545-73-5P 845545-74-6P
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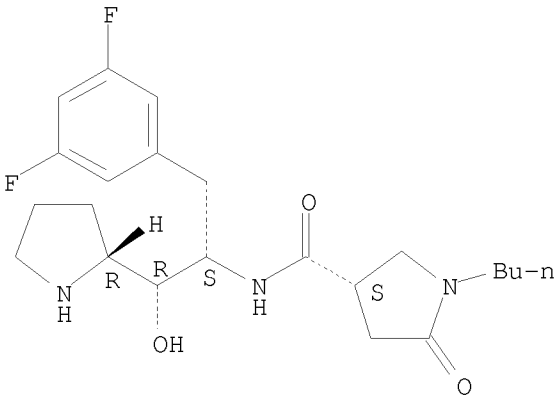
845545-81-5P 845545-82-6P 845545-83-7P
845545-84-8P 845545-85-9P 845545-86-0P
845545-87-1P 845545-88-2P 845545-89-3P
845545-90-6P 845545-91-7P 845545-92-8P
845545-93-9P 845545-94-0P 845545-95-1P
845545-96-2P 845545-97-3P 845545-98-4P
845545-99-5P 845546-01-2P 845546-02-3P
845546-03-4P 845546-04-5P 845546-05-6P
845546-06-7P 845546-07-8P 845546-08-9P
845551-46-4P 845551-48-6P 845551-49-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclic amine BACE-1 inhibitors having heterocyclic substituent)

RN 845543-70-6 CAPLUS

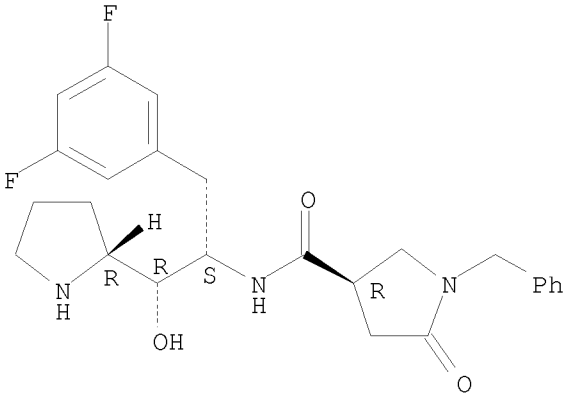
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-5-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



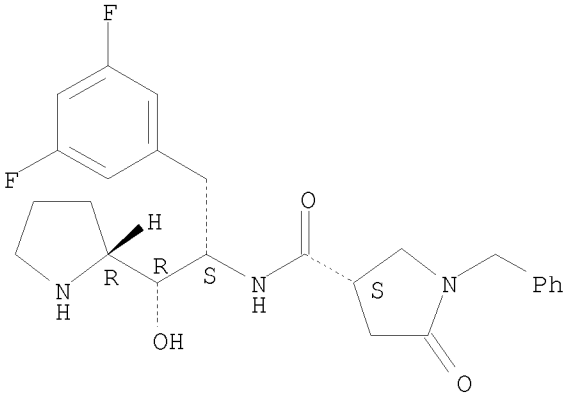
RN 845543-72-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-5-oxo-1-(phenylmethyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



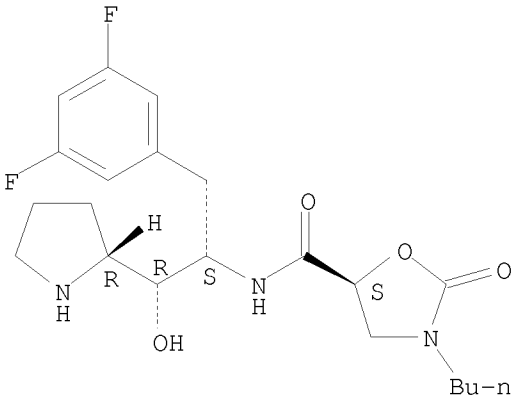
RN 845543-73-9 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-5-oxo-1-(phenylmethyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



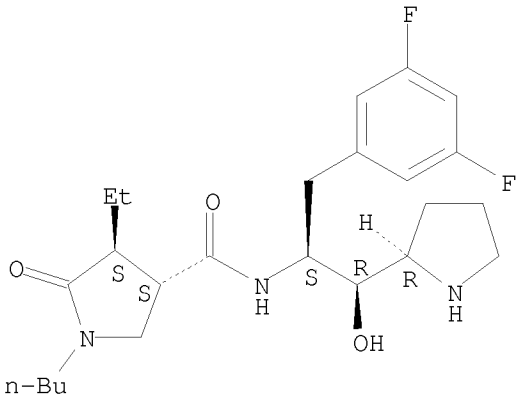
RN 845543-74-0 CAPLUS
CN 5-Oxazolidinecarboxamide, 3-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-2-oxo-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



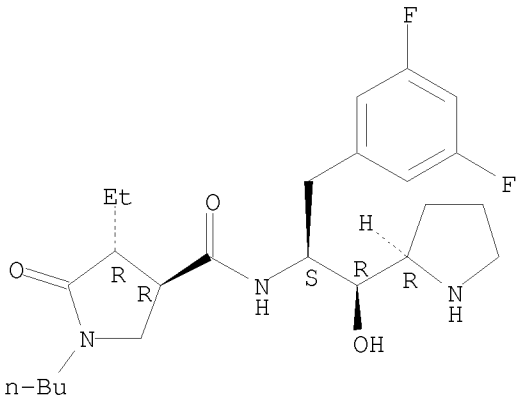
RN 845543-75-1 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-4-ethyl-5-oxo-, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



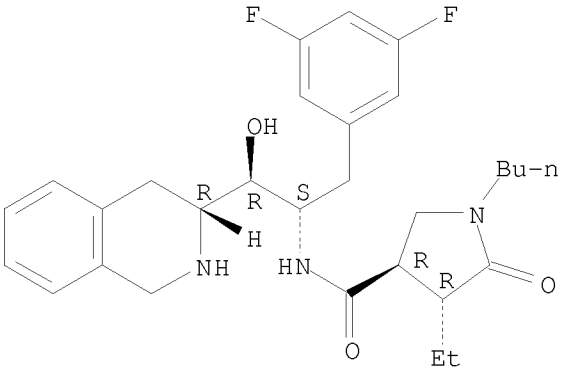
RN 845543-76-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-4-ethyl-5-oxo-, (3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



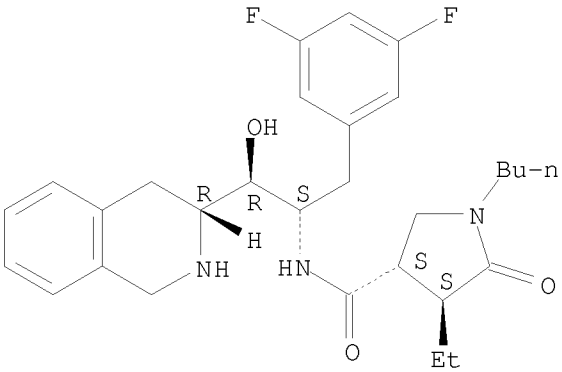
RN 845543-92-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-4-ethyl-5-oxo-, (3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 845543-93-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-4-ethyl-5-oxo-, (3S,4S)- (CA INDEX NAME)

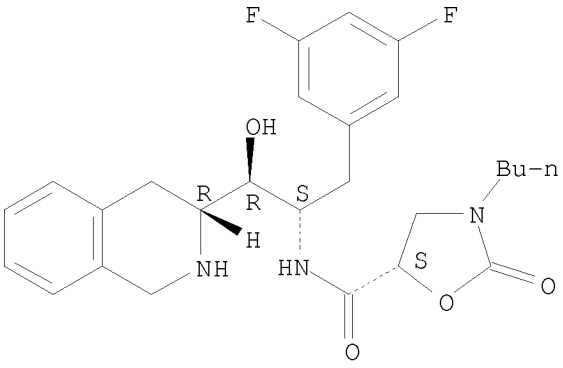
Absolute stereochemistry.



RN 845543-94-4 CAPLUS

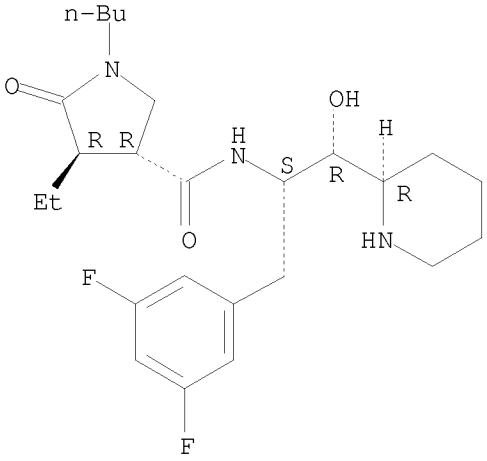
CN 5-Oxazolidinecarboxamide, 3-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-2-oxo-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



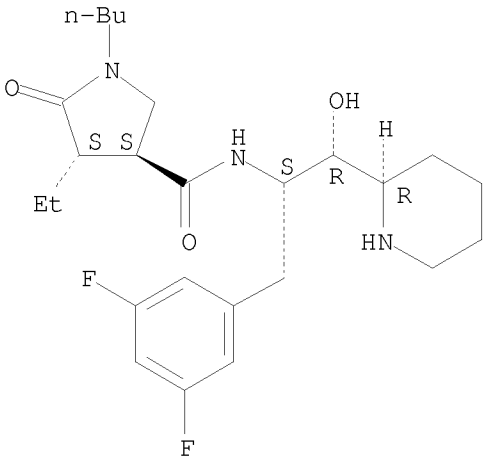
RN 845543-95-5 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-4-ethyl-5-oxo-, (3R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



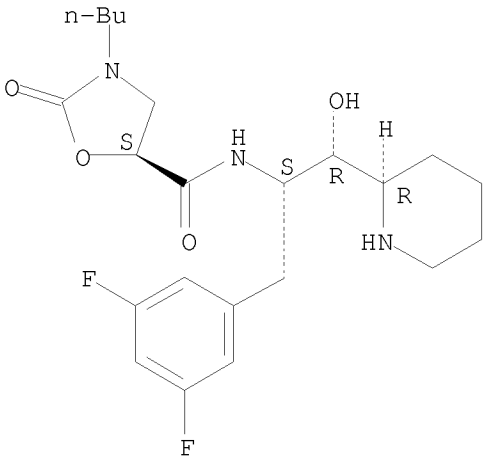
RN 845543-96-6 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-4-ethyl-5-oxo-, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



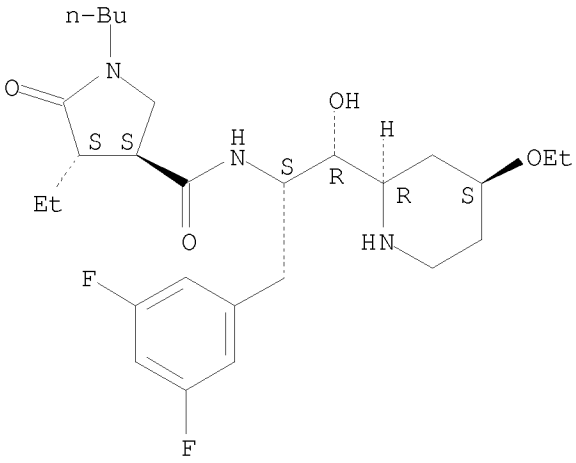
RN 845543-97-7 CAPLUS
CN 5-Oxazolidinecarboxamide, 3-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-2-oxo-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 845543-98-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-ethoxy-2-piperidinyl]-2-hydroxyethyl]-4-ethyl-5-oxo-, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

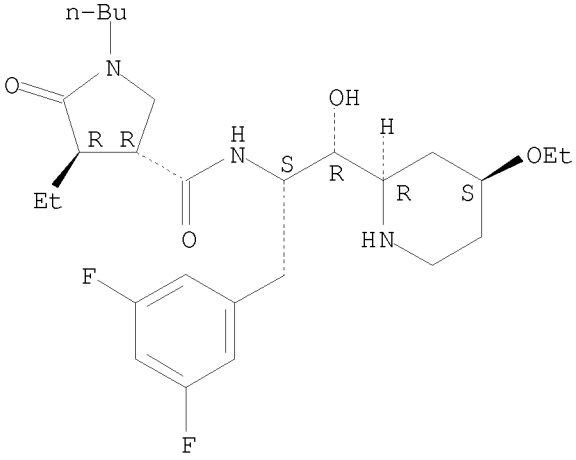


RN 845544-05-0 CAPLUS
CN Formic acid, (3R,4R)-compd. with 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-ethoxy-2-piperidinyl]-2-hydroxyethyl]-4-ethyl-5-oxo-3-pyrrolidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

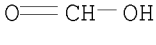
CRN 845544-04-9
CMF C27 H41 F2 N3 O4

Absolute stereochemistry.



CM 2

CRN 64-18-6
CMF C H2 O2



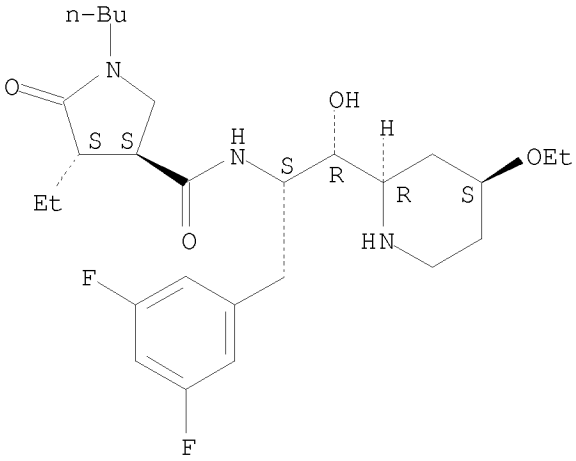
RN 845544-07-2 CAPLUS
CN Formic acid, (3S,4S)-compd. with 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-ethoxy-2-piperidinyl]-2-hydroxyethyl]-

4-ethyl-5-oxo-3-pyrrolidinecarboxamide (1:1) (CA INDEX NAME)

CM 1

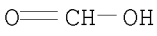
CRN 845543-98-8
CMF C27 H41 F2 N3 O4

Absolute stereochemistry.



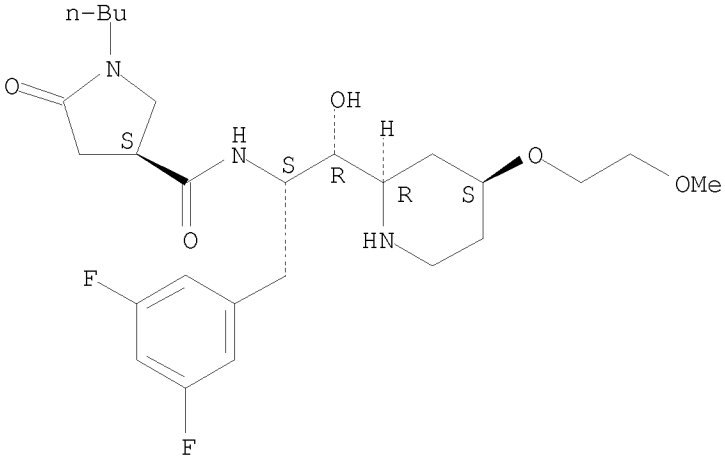
CM 2

CRN 64-18-6
CMF C H2 O2



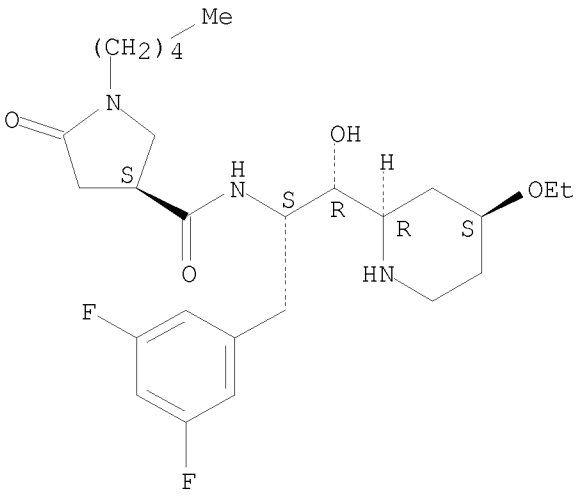
RN 845544-08-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-methoxyethoxy)-2-piperidinyl]ethyl]-5-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



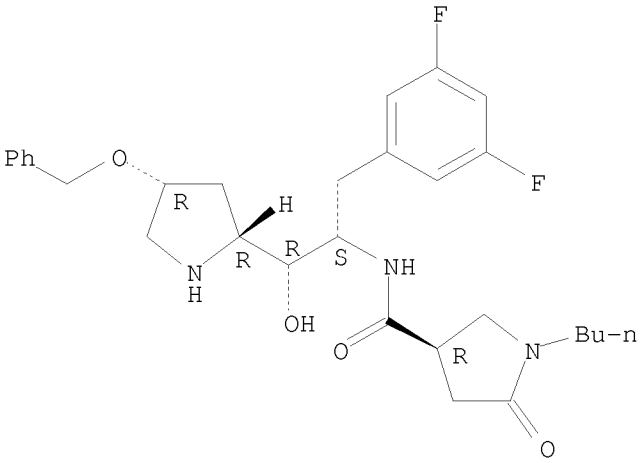
RN 845544-09-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-ethoxy-2-piperidinyl]-2-hydroxyethyl]-5-oxo-1-pentyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



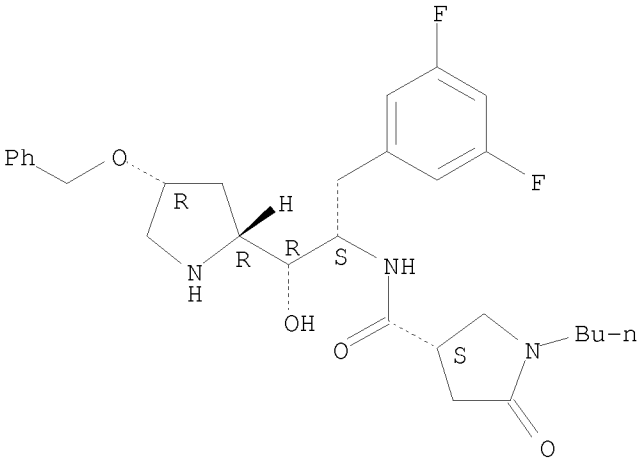
RN 845545-38-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



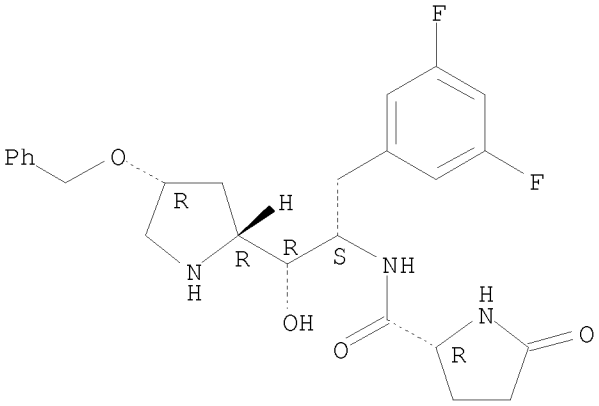
RN 845545-39-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



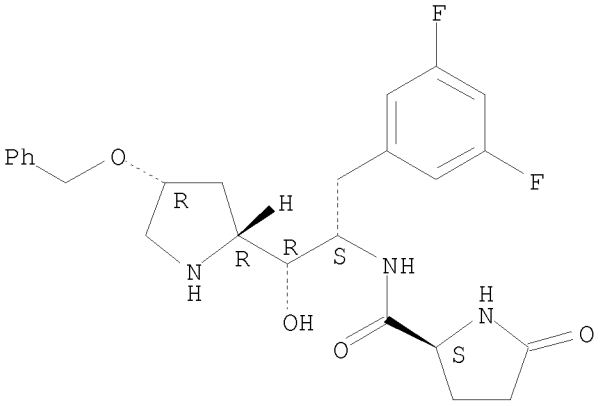
RN 845545-40-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-, (2R)-(CA INDEX NAME)

Absolute stereochemistry.



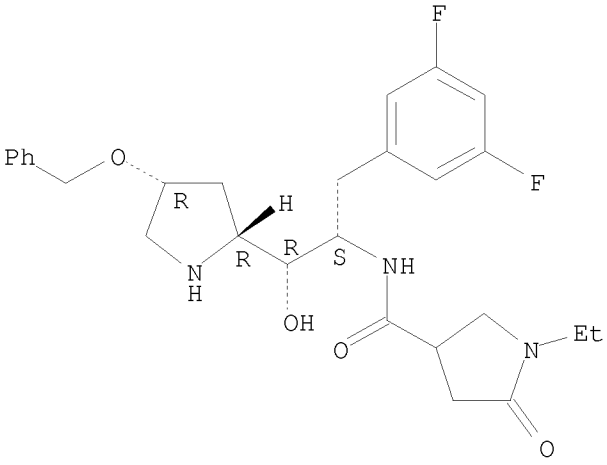
RN 845545-41-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.



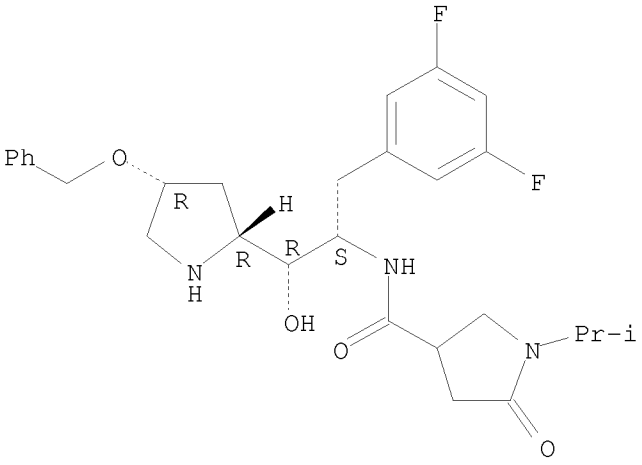
RN 845545-42-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-ethyl-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



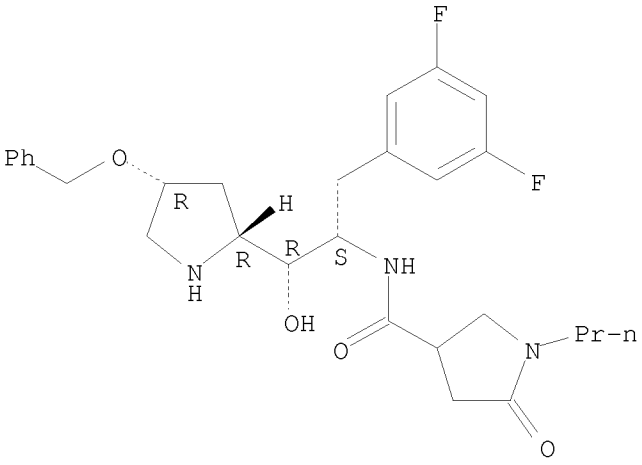
RN 845545-43-9 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(1-methylethyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



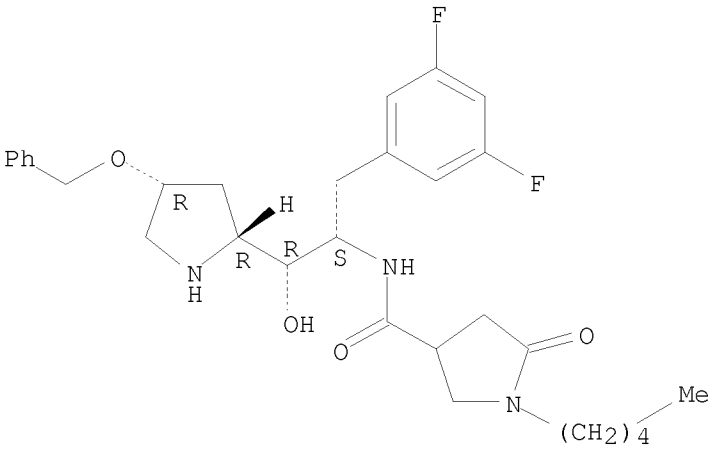
RN 845545-44-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-propyl- (CA INDEX NAME)

Absolute stereochemistry.



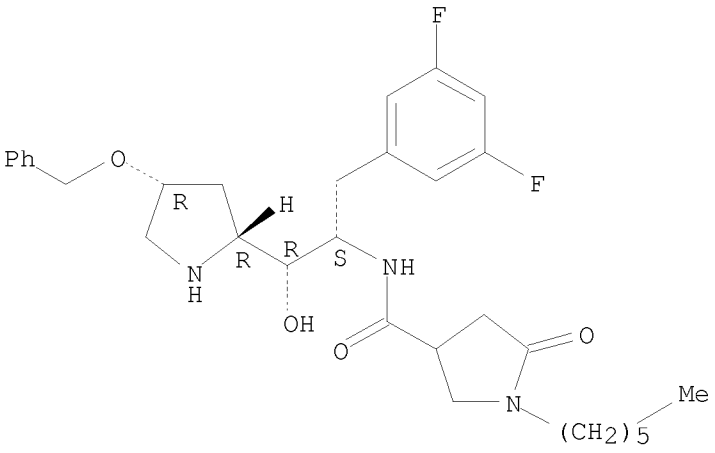
RN 845545-45-1 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-pentyl- (CA INDEX NAME)

Absolute stereochemistry.



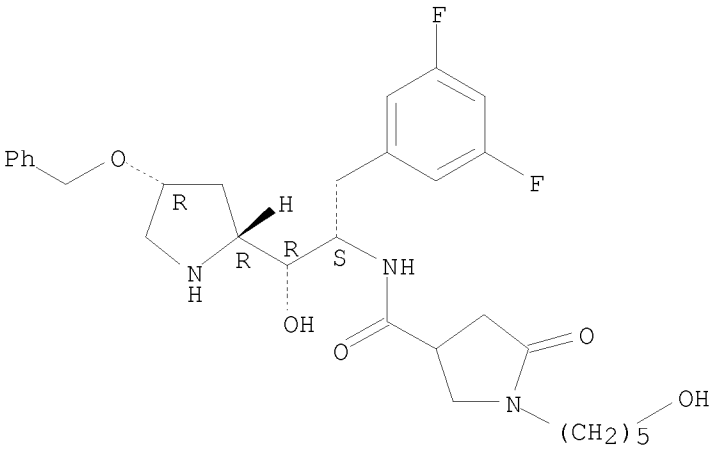
RN 845545-46-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-hexyl-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



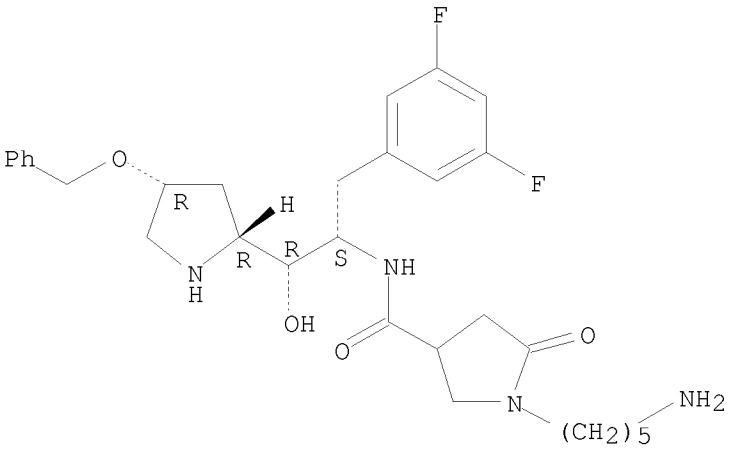
RN 845545-47-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(5-hydroxypentyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



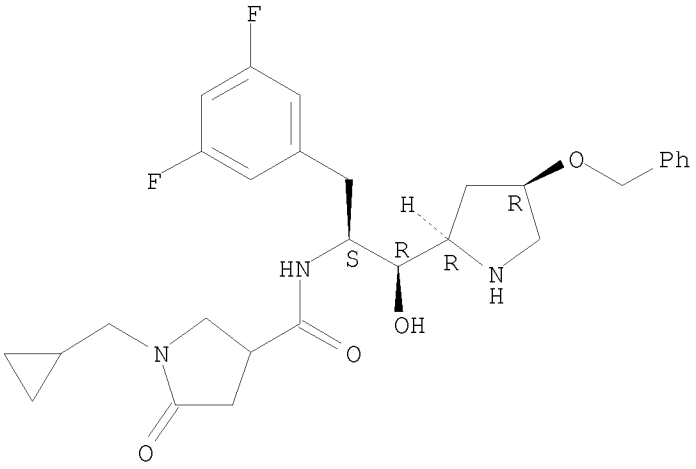
RN 845545-48-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-(5-aminopentyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



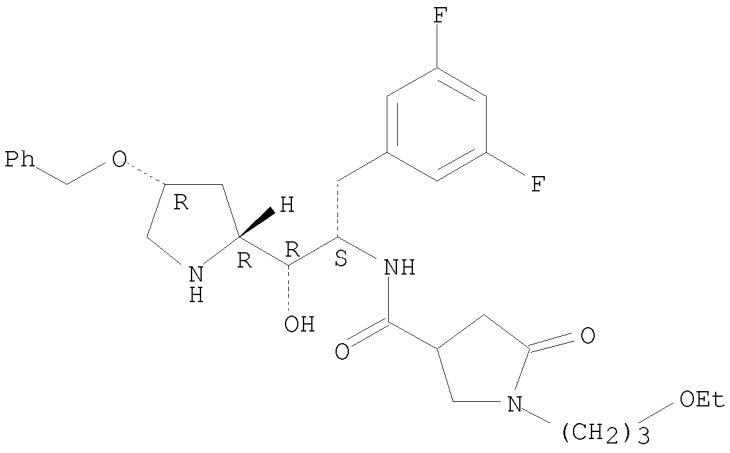
RN 845545-49-5 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-(cyclopropylmethyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



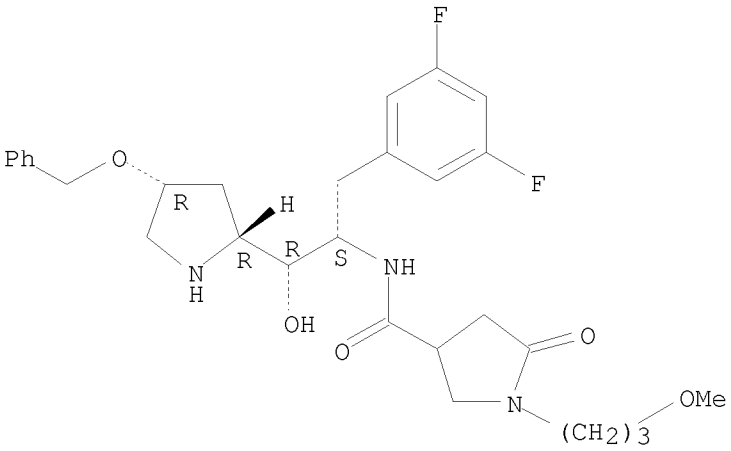
RN 845545-50-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(3-ethoxypropyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



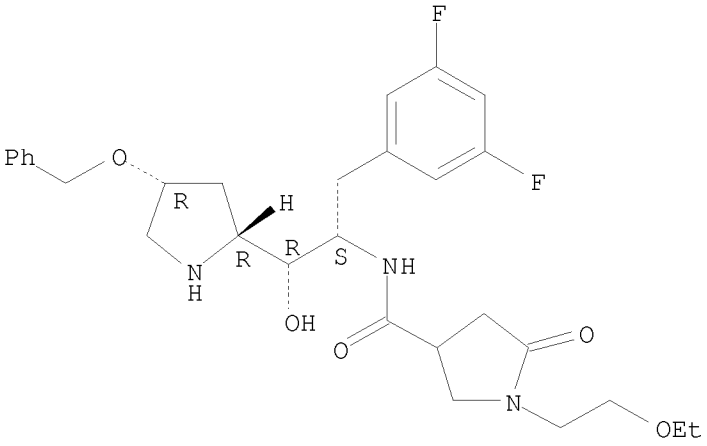
RN 845545-51-9 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(3-methoxypropyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



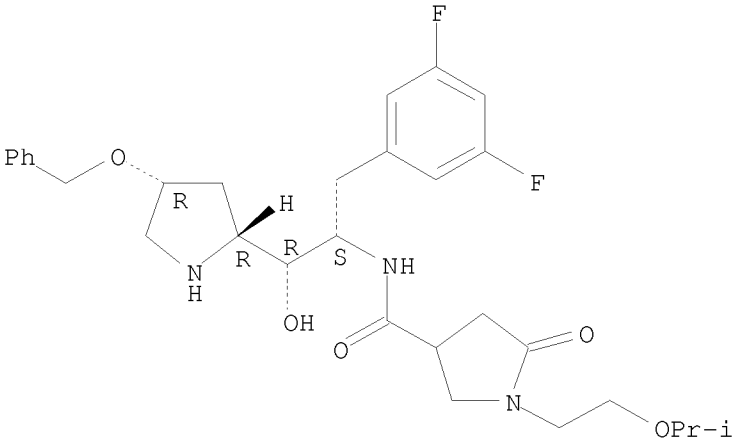
RN 845545-52-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(2-ethoxyethyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



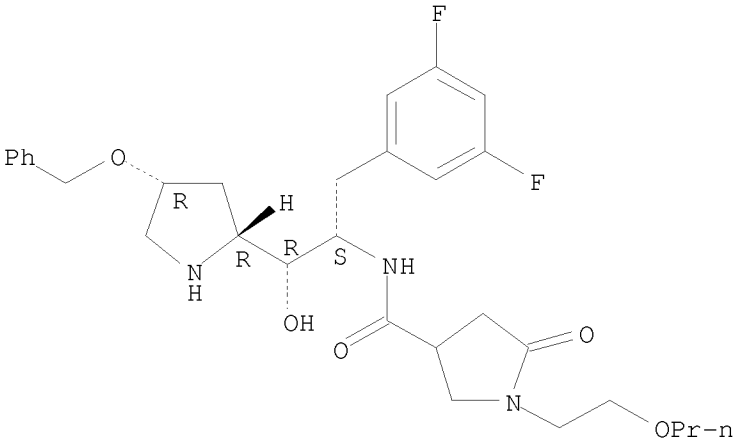
RN 845545-53-1 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[2-(1-methylethoxy)ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



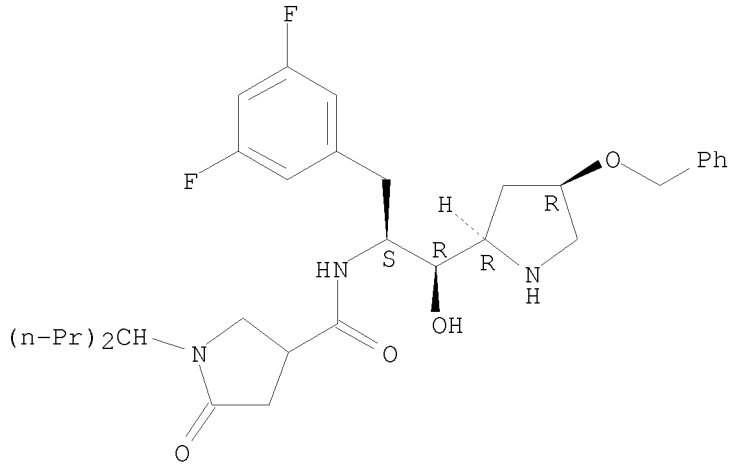
RN 845545-54-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(2-propoxyethyl)- (CA INDEX NAME)

Absolute stereochemistry.



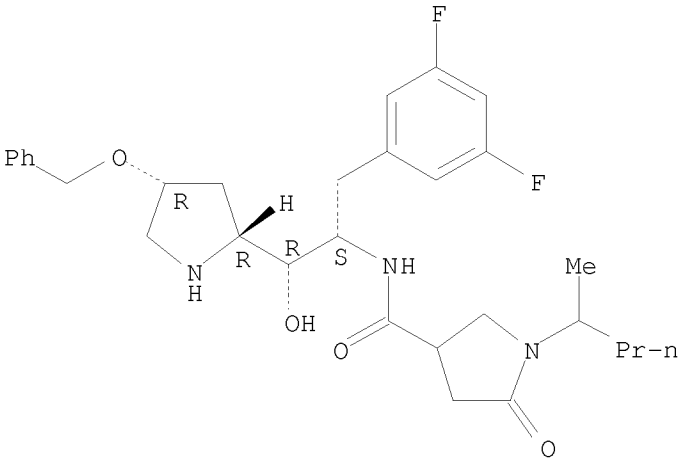
RN 845545-55-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(1-propylbutyl)- (CA INDEX NAME)

Absolute stereochemistry.



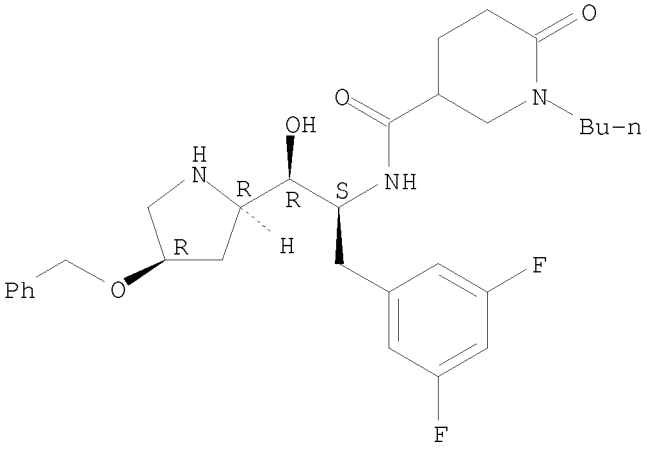
RN 845545-56-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(1-methylbutyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



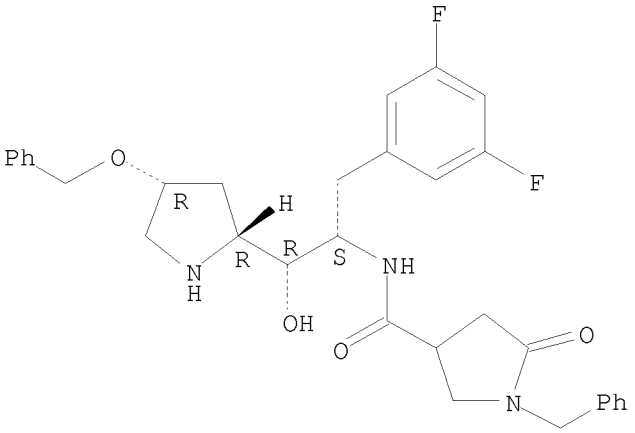
RN 845545-57-5 CAPLUS
CN 3-Piperidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-6-oxo- (CA INDEX NAME)

Absolute stereochemistry.



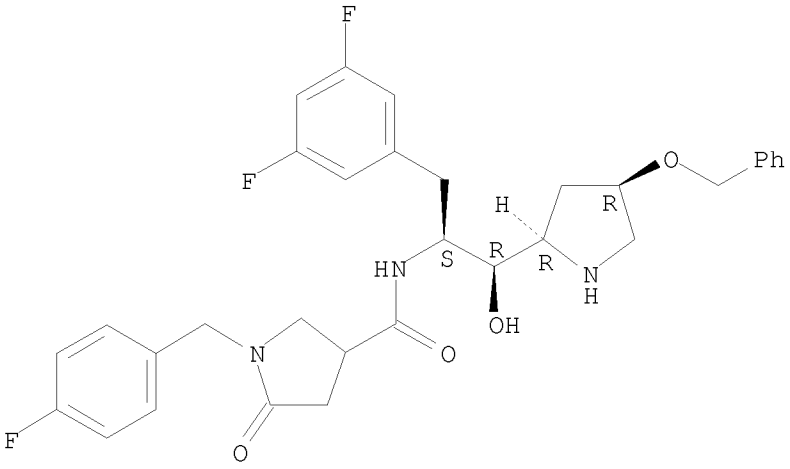
RN 845545-58-6 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



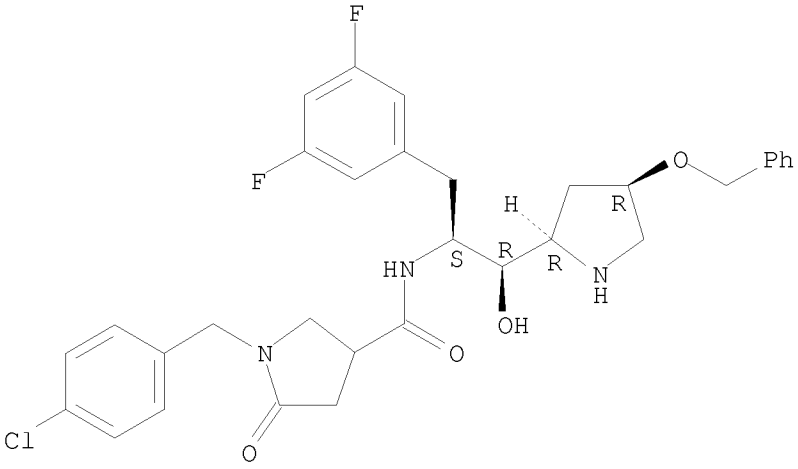
RN 845545-59-7 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[(4-fluorophenyl)methyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



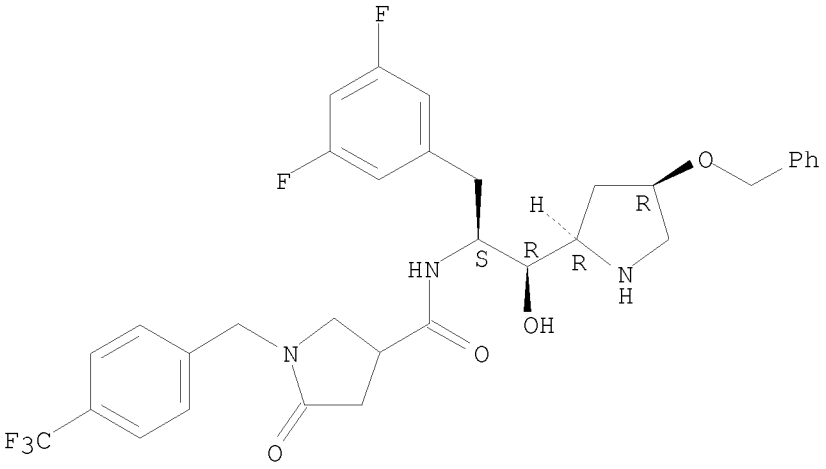
RN 845545-60-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-[(4-chlorophenyl)methyl]-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



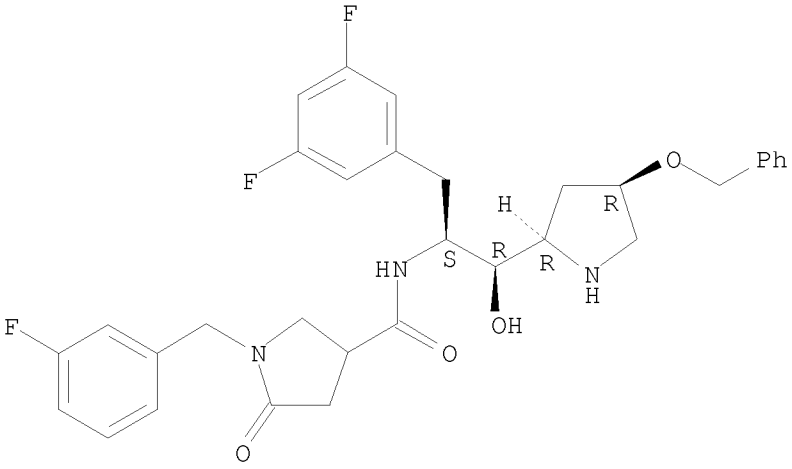
RN 845545-61-1 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



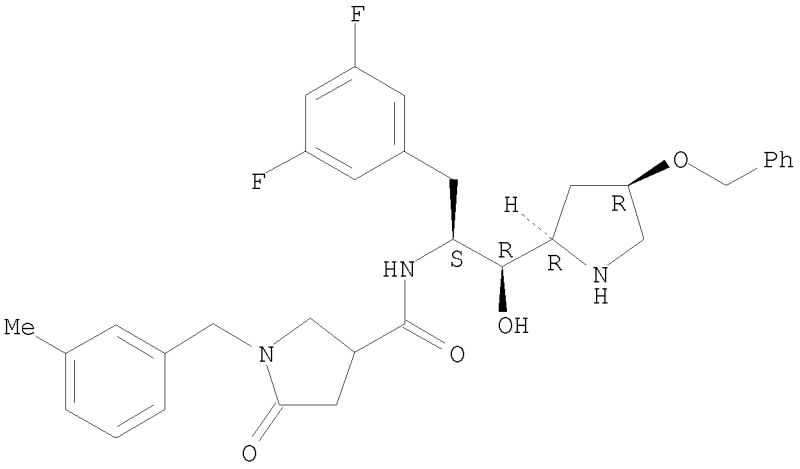
RN 845545-62-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[(3-fluorophenyl)methyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



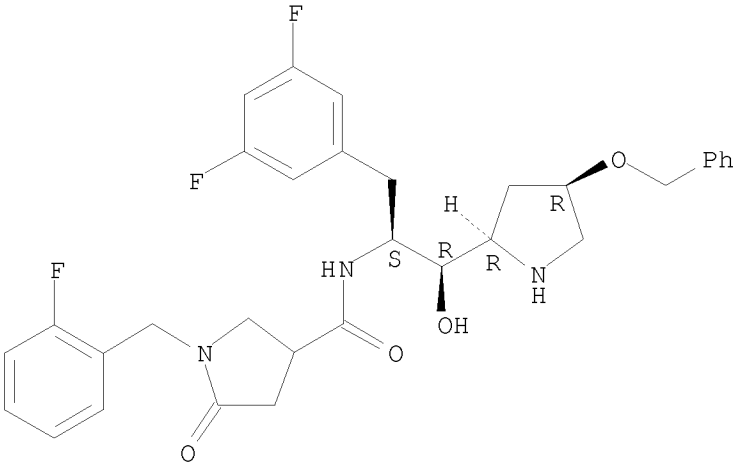
RN 845545-63-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[(3-methylphenyl)methyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



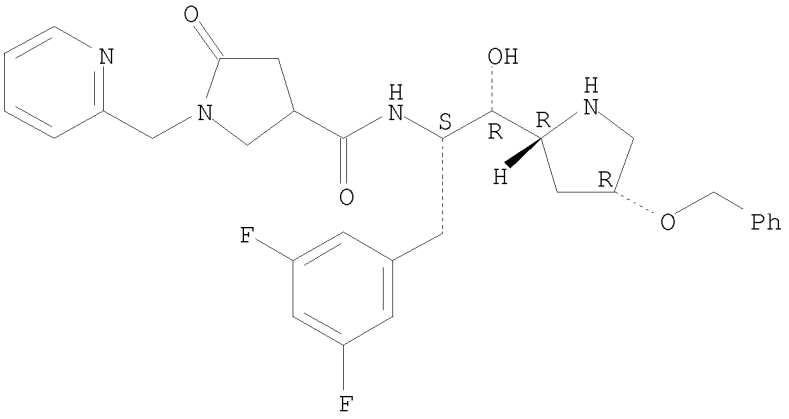
RN 845545-64-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[(2-fluorophenyl)methyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



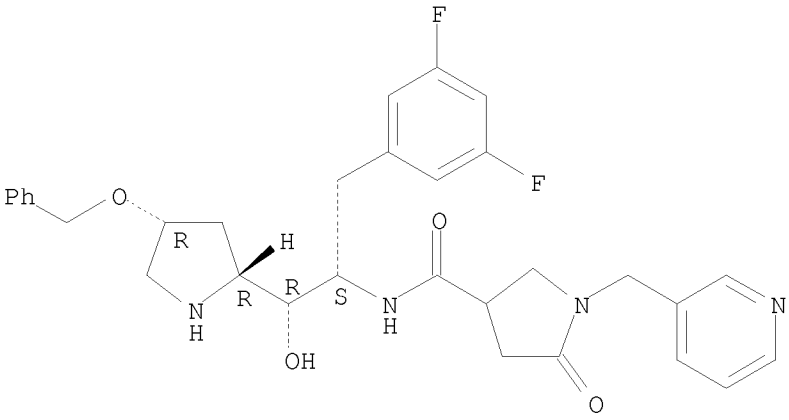
RN 845545-65-5 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(2-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



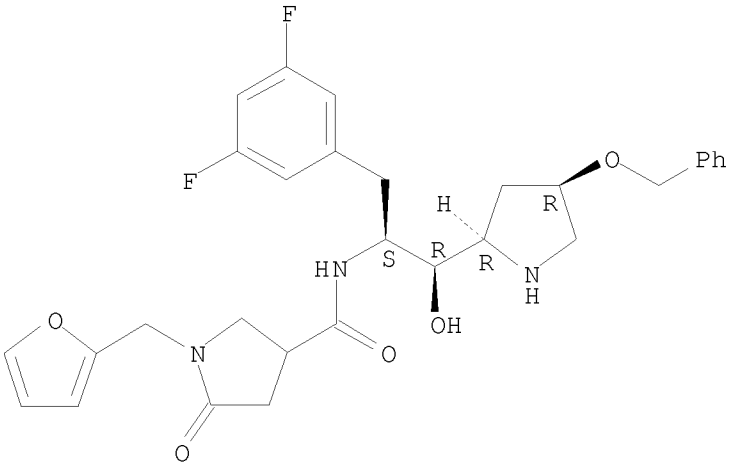
RN 845545-66-6 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(3-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



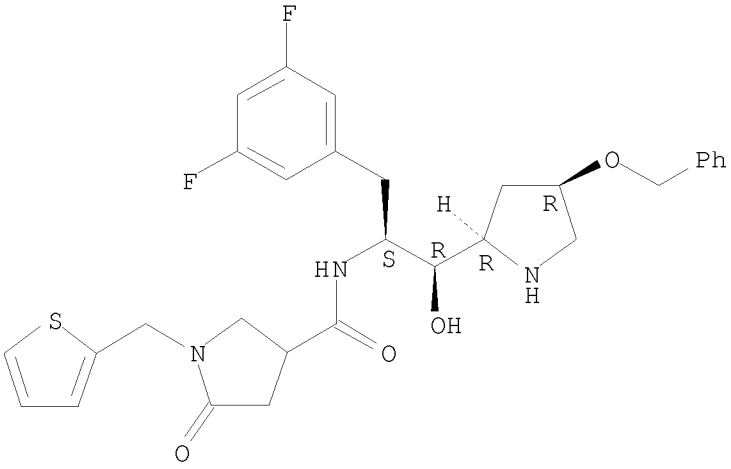
RN 845545-67-7 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(2-furanylmethyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



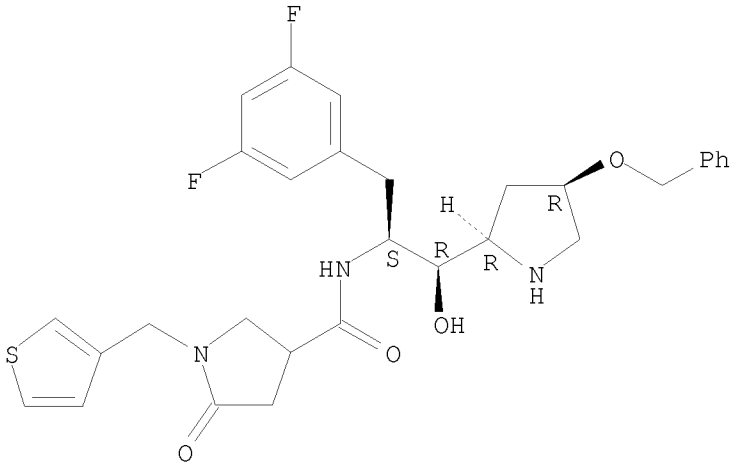
RN 845545-68-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(2-thienylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



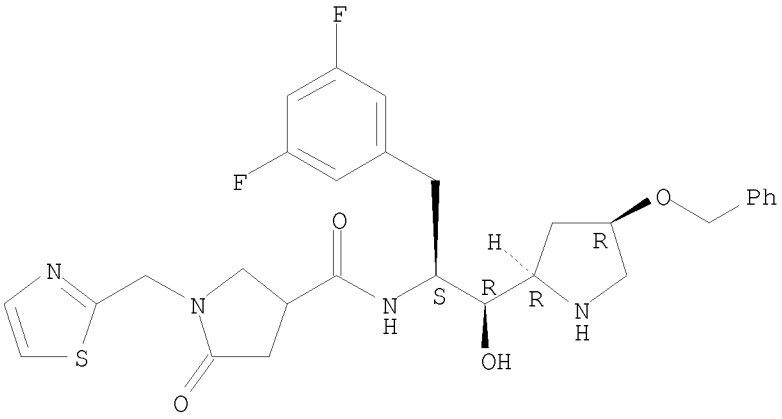
RN 845545-69-9 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(3-thienylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



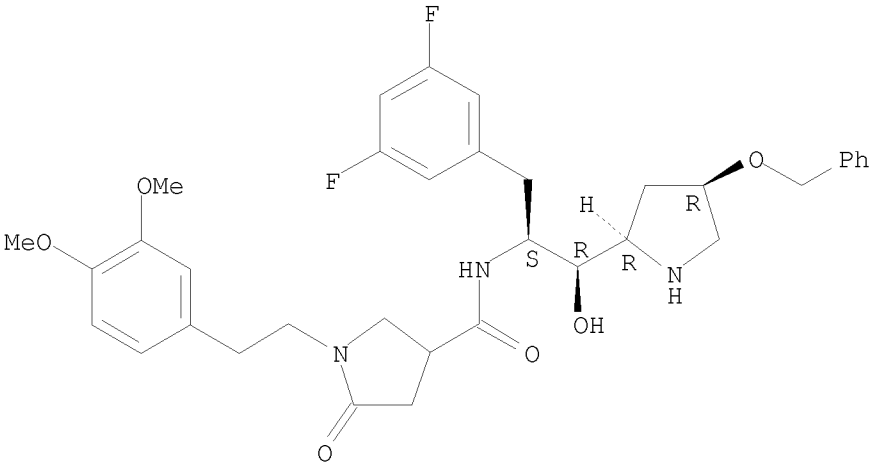
RN 845545-70-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-(2-thiazolylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



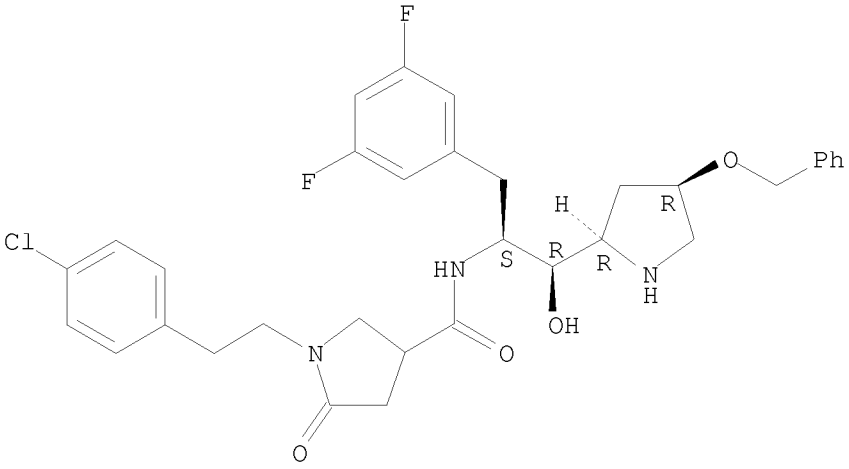
RN 845545-71-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-[2-(3,4-dimethoxyphenyl)ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



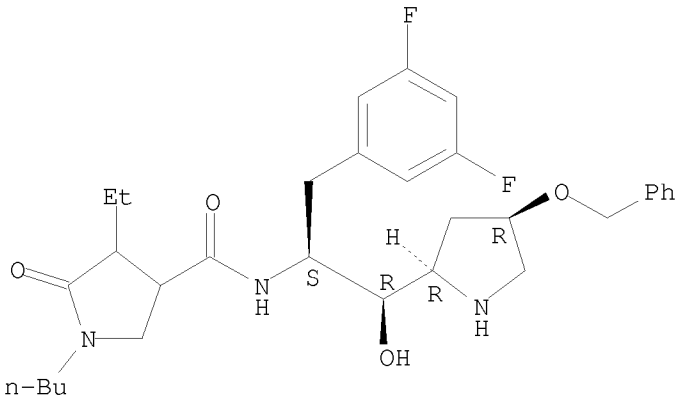
RN 845545-72-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-[2-(4-chlorophenyl)ethyl]-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



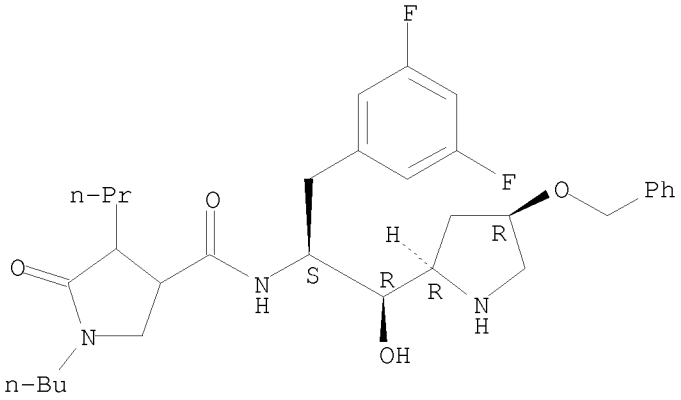
RN 845545-73-5 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-4-ethyl-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



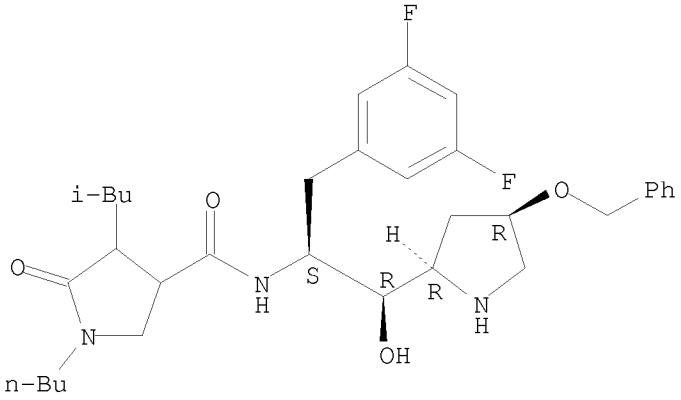
RN 845545-74-6 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-4-propyl- (CA INDEX NAME)

Absolute stereochemistry.



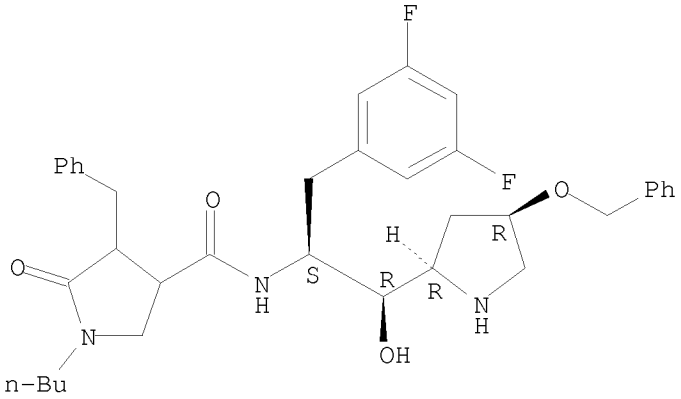
RN 845545-75-7 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-4-(2-methylpropyl)-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



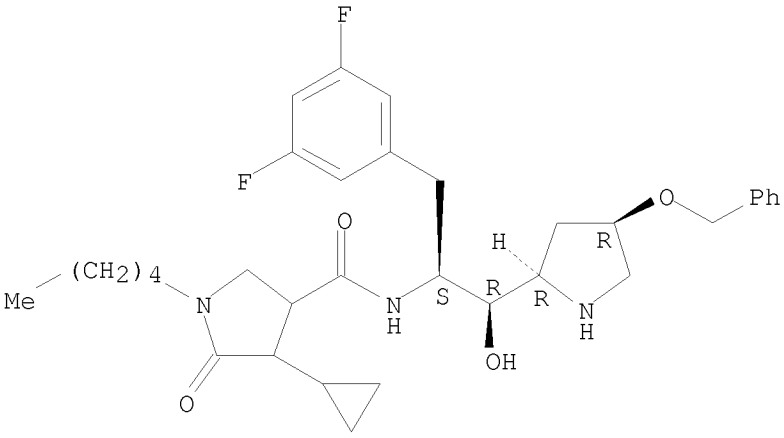
RN 845545-76-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-4-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



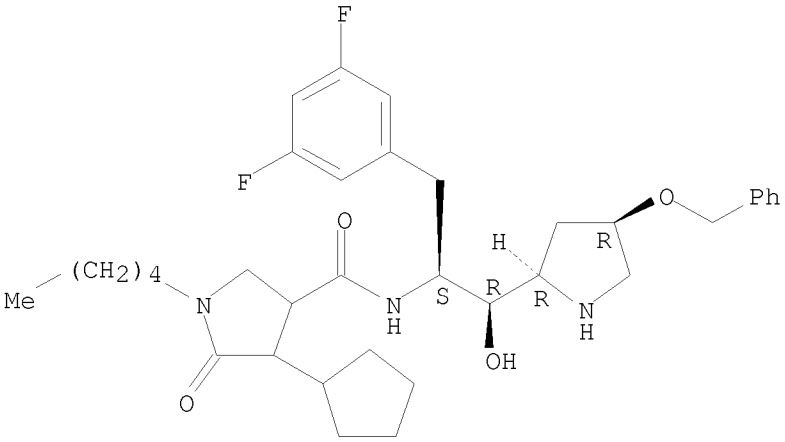
RN 845545-77-9 CAPLUS
CN 3-Pyrrolidinecarboxamide, 4-cyclopropyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-pentyl- (CA INDEX NAME)

Absolute stereochemistry.



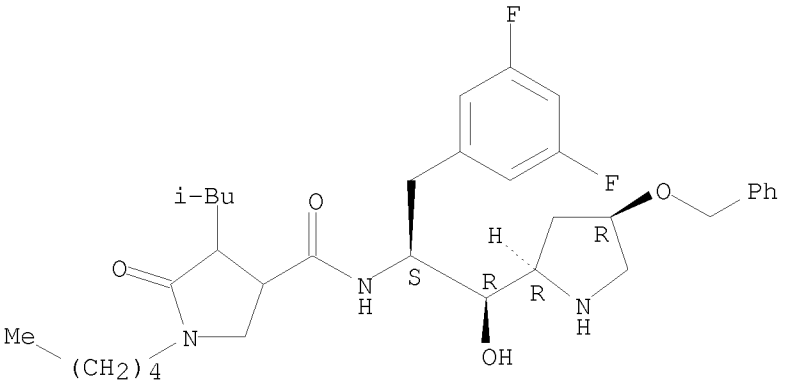
RN 845545-78-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, 4-cyclopentyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-pentyl- (CA INDEX NAME)

Absolute stereochemistry.



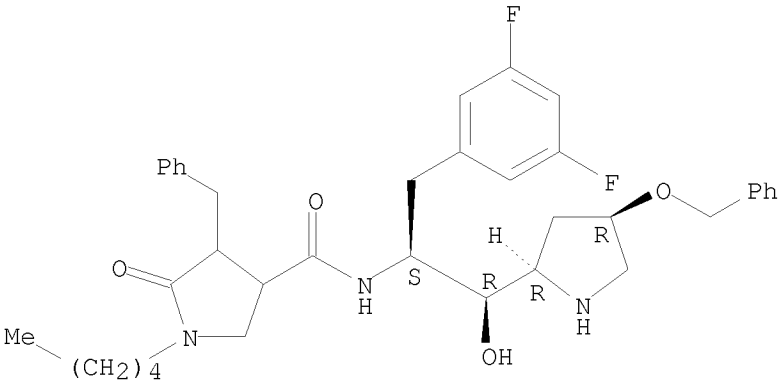
RN 845545-79-1 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-4-(2-methylpropyl)-5-oxo-1-pentyl- (CA INDEX NAME)

Absolute stereochemistry.



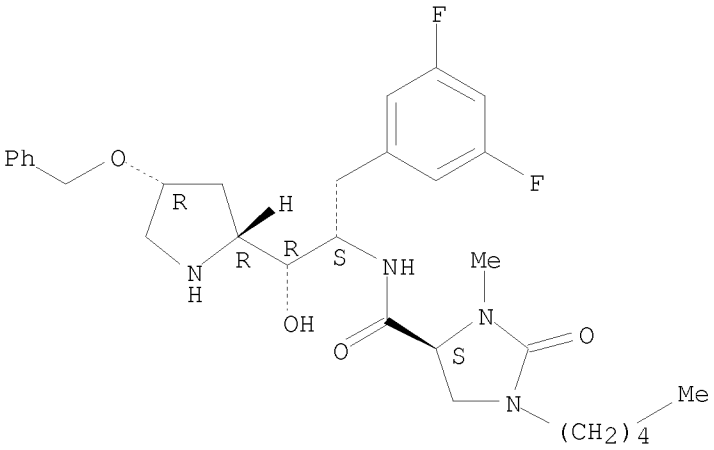
RN 845545-80-4 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-5-oxo-1-pentyl-4-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



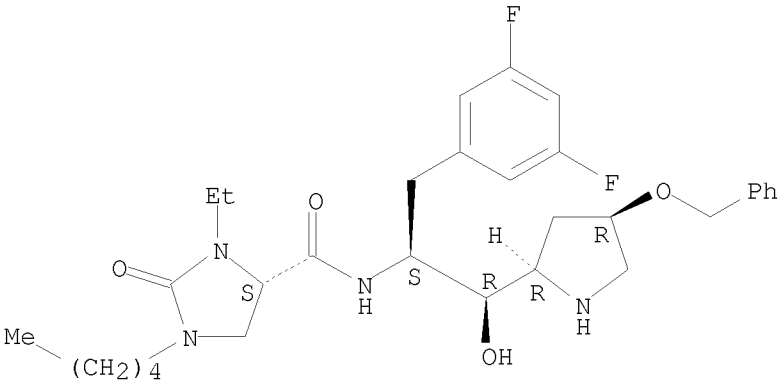
RN 845545-81-5 CAPLUS
CN 4-Imidazolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-3-methyl-2-oxo-1-pentyl-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



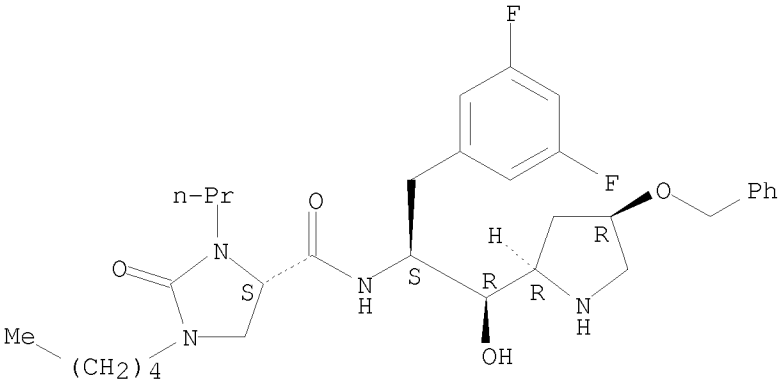
RN 845545-82-6 CAPLUS
CN 4-Imidazolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-3-ethyl-2-oxo-1-pentyl-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



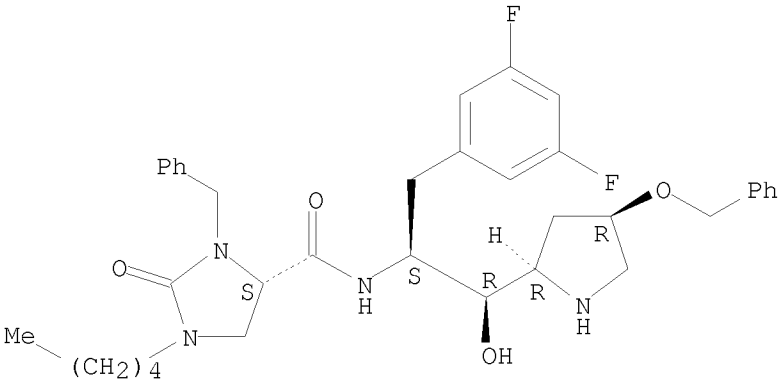
RN 845545-83-7 CAPLUS
CN 4-Imidazolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-2-oxo-1-pentyl-3-propyl-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



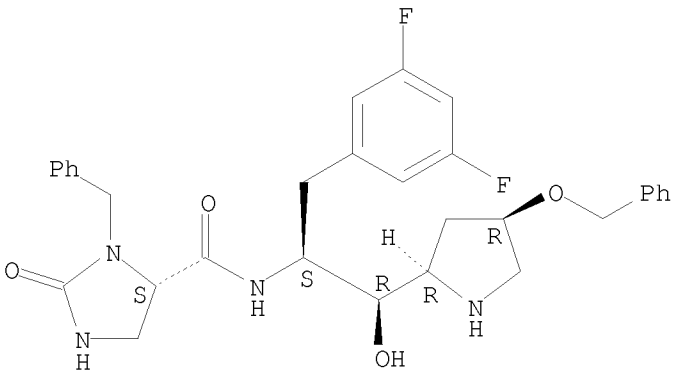
RN 845545-84-8 CAPLUS
CN 4-Imidazolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-2-oxo-1-pentyl-3-(phenylmethyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



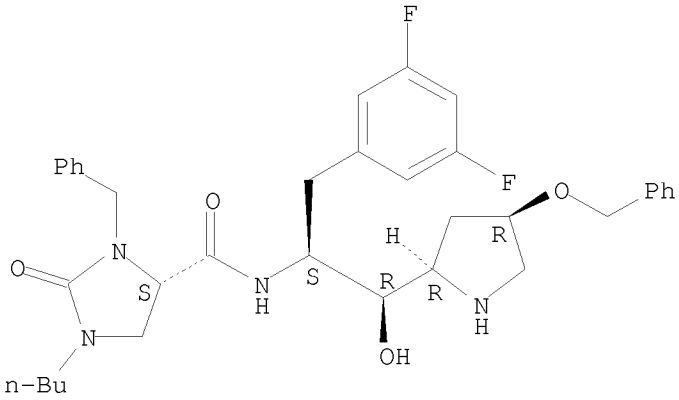
RN 845545-85-9 CAPLUS
CN 4-Imidazolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-2-oxo-3-(phenylmethyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



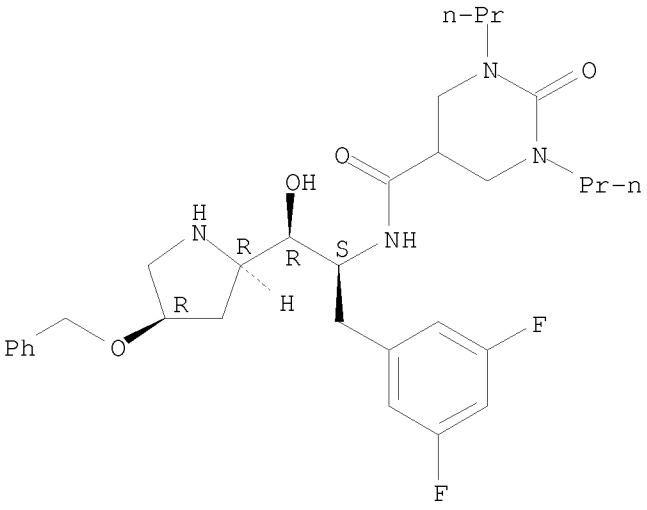
RN 845545-86-0 CAPLUS
CN 4-Imidazolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-2-oxo-3-(phenylmethyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



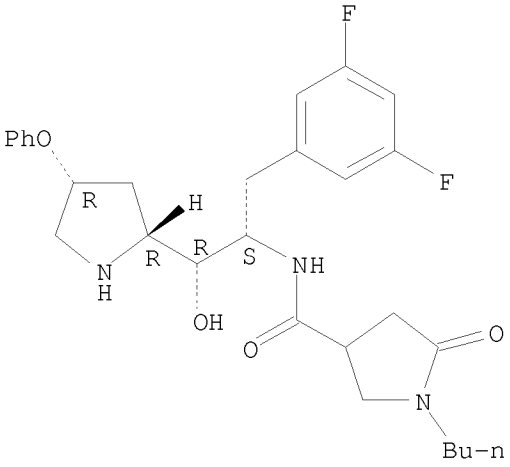
RN 845545-87-1 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]hexahydro-2-oxo-1,3-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



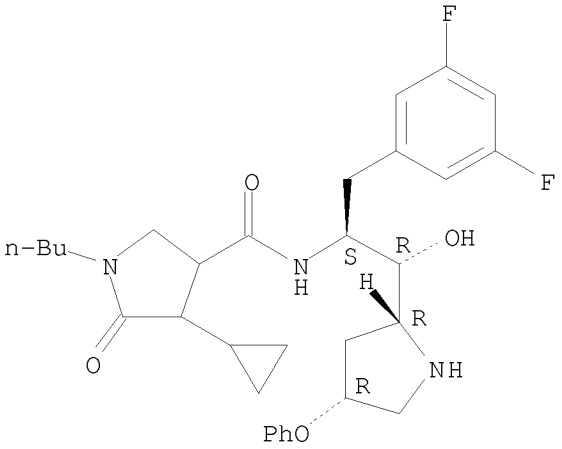
RN 845545-88-2 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



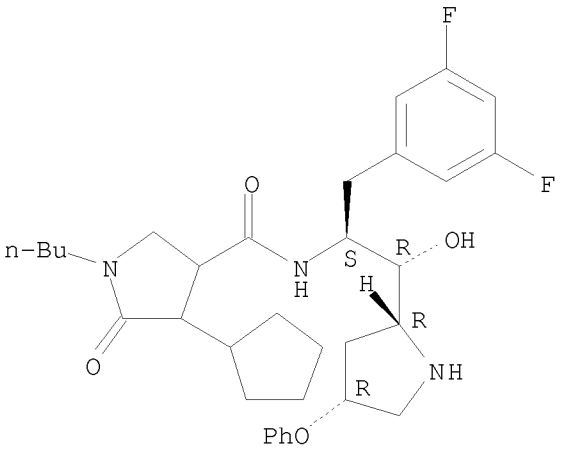
RN 845545-89-3 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-4-cyclopropyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



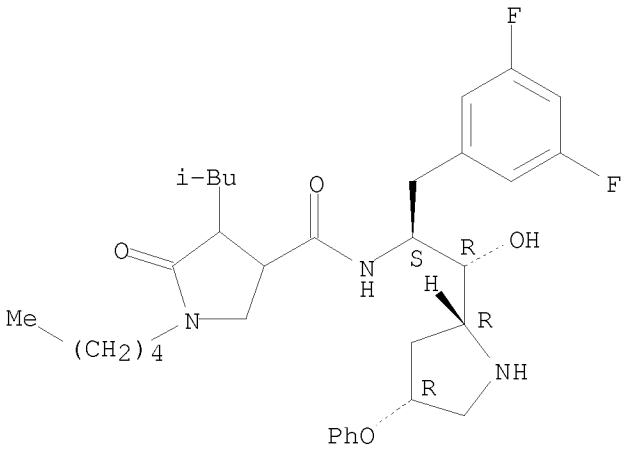
RN 845545-90-6 CAPLUS
CN 3-Pyrrolidinecarboxamide, 1-butyl-4-cyclopentyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-oxo- (CA INDEX NAME)

Absolute stereochemistry.



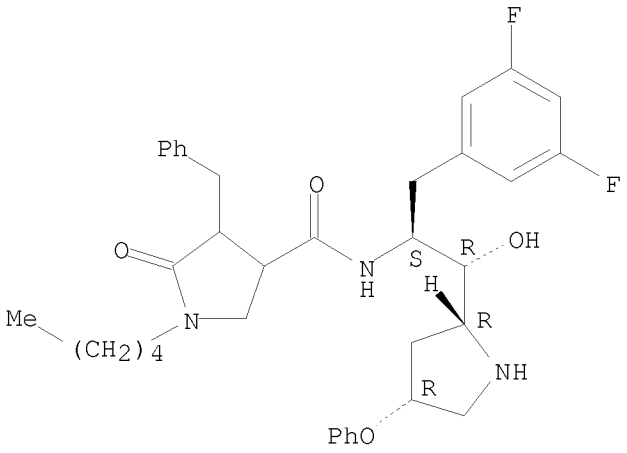
RN 845545-91-7 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-4-(2-methylpropyl)-5-oxo-1-pentyl- (CA INDEX NAME)

Absolute stereochemistry.



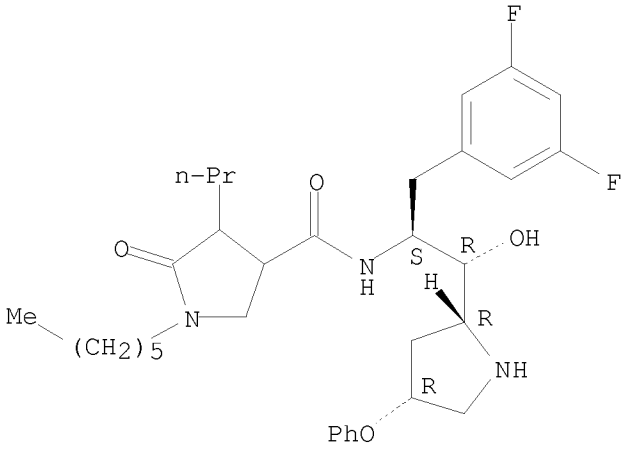
RN 845545-92-8 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-oxo-1-pentyl-4-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



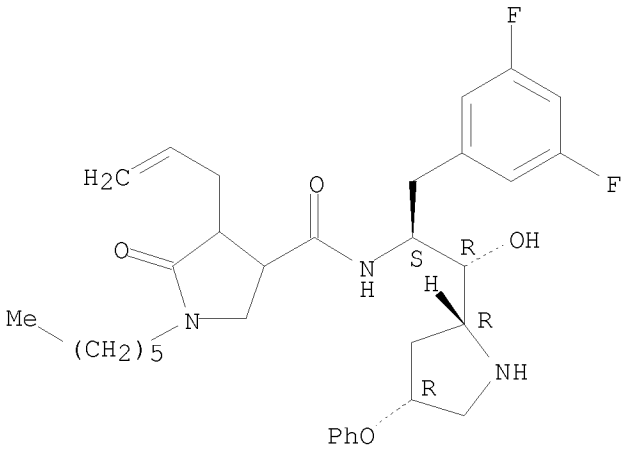
RN 845545-93-9 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-1-hexyl-5-oxo-4-propyl- (CA INDEX NAME)

Absolute stereochemistry.



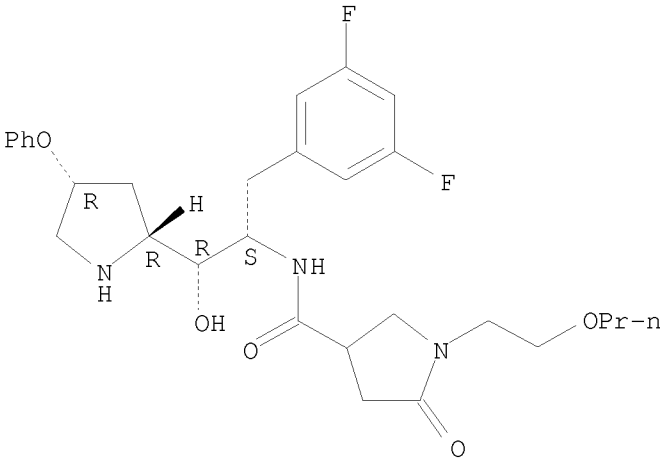
RN 845545-94-0 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-1-hexyl-5-oxo-4-(2-propen-1-yl)- (CA INDEX NAME)

Absolute stereochemistry.



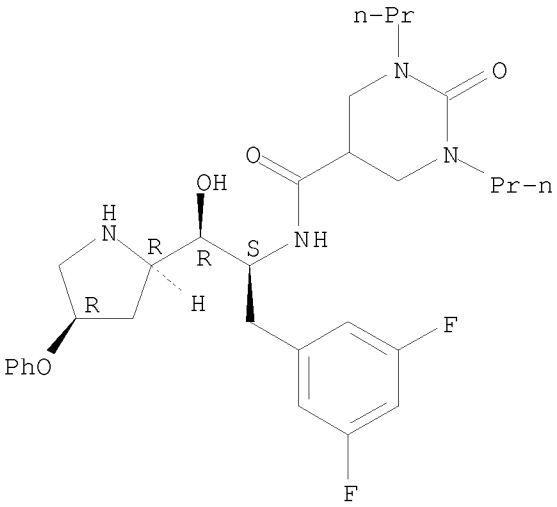
RN 845545-95-1 CAPLUS
CN 3-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-5-oxo-1-(2-propoxyethyl)- (CA INDEX NAME)

Absolute stereochemistry.



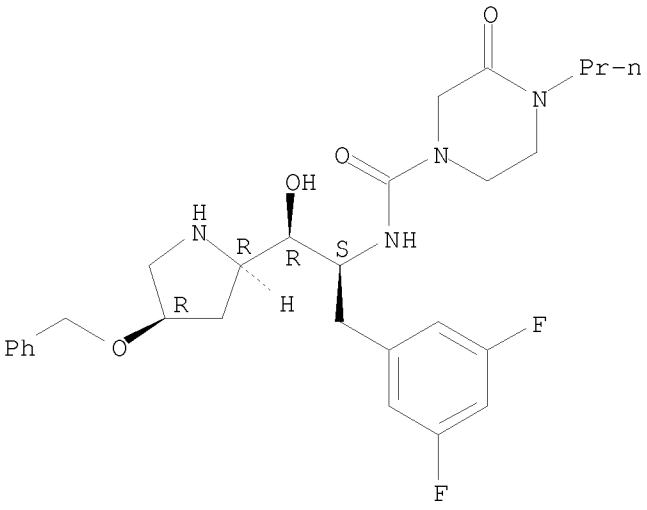
RN 845545-96-2 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]hexahydro-2-oxo-1,3-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



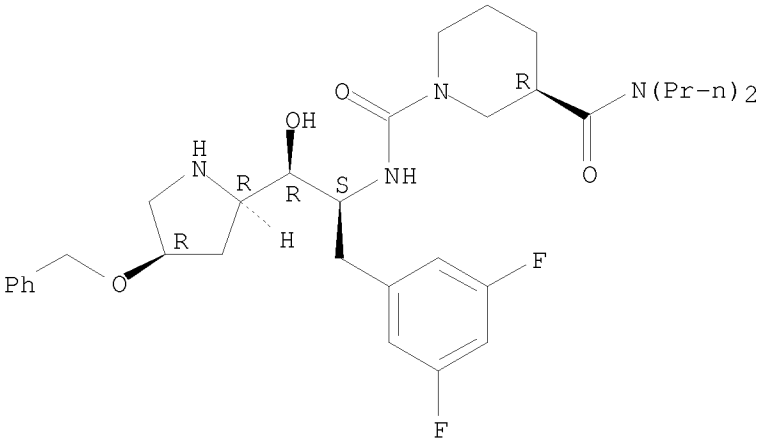
RN 845545-97-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-3-oxo-4-propyl- (CA INDEX NAME)

Absolute stereochemistry.



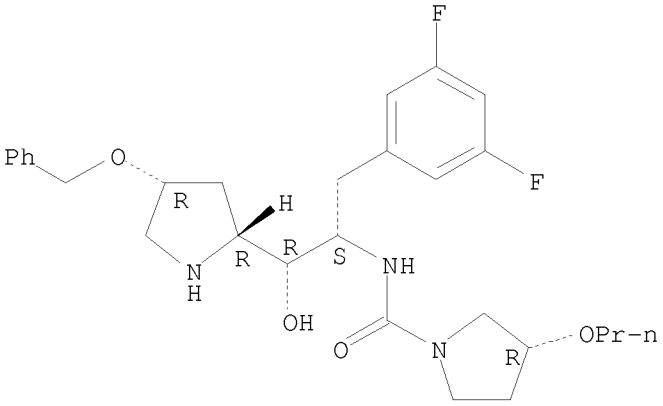
RN 845545-98-4 CAPLUS
CN 1,3-Piperidinedicarboxamide, N1-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-N3,N3-dipropyl-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



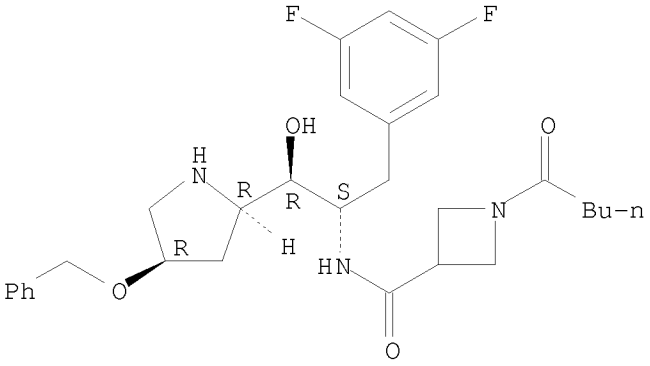
RN 845545-99-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-3-propoxy-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



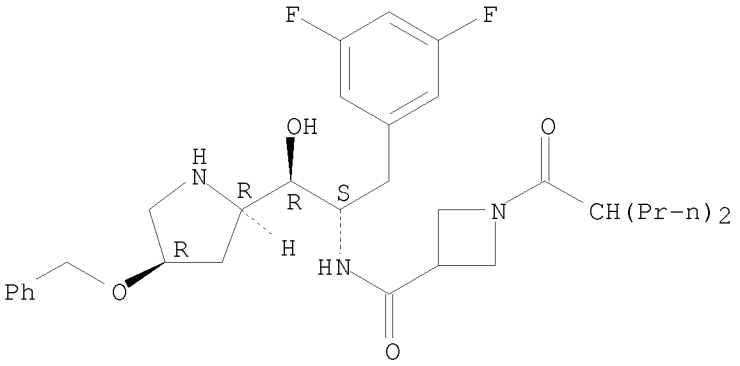
RN 845546-01-2 CAPLUS
CN 3-Azetidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(1-oxopentyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 845546-02-3 CAPLUS
CN 3-Azetidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(1-oxo-2-propylpentyl)- (CA INDEX NAME)

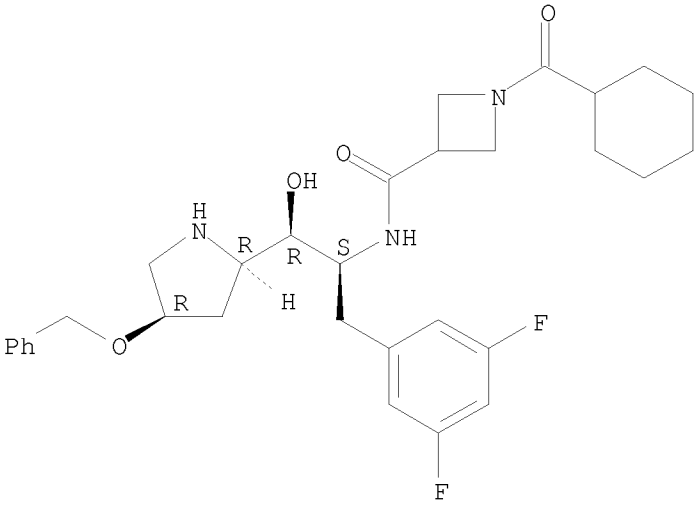
Absolute stereochemistry.



RN 845546-03-4 CAPLUS

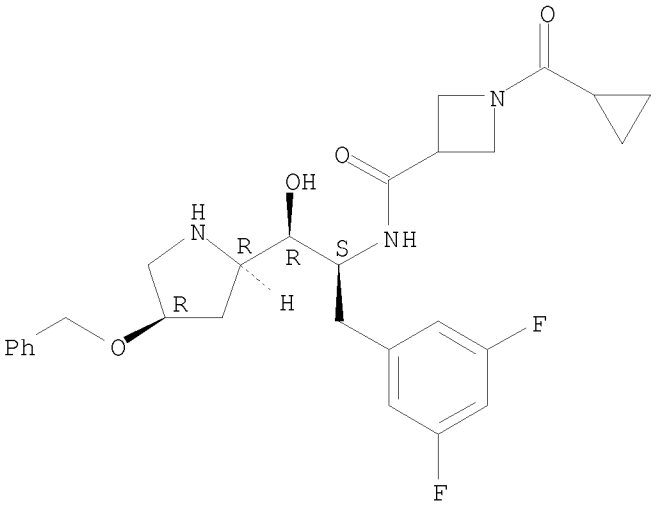
CN 3-Azetidinecarboxamide, 1-(cyclohexylcarbonyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



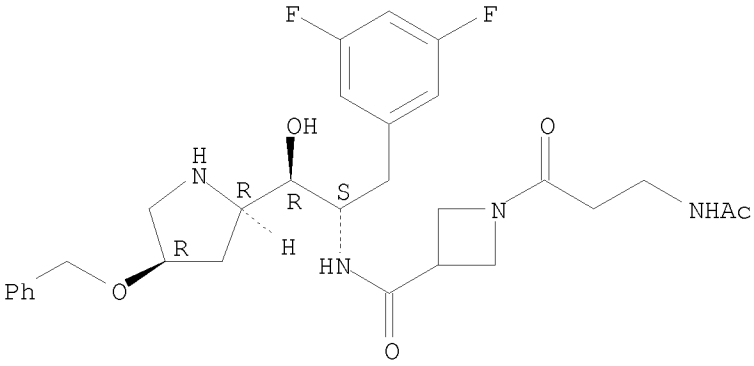
RN 845546-04-5 CAPLUS
CN 3-Azetidinecarboxamide, 1-(cyclopropylcarbonyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



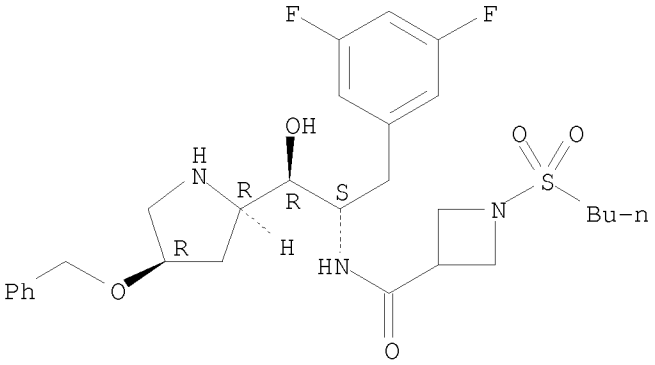
RN 845546-05-6 CAPLUS
CN 3-Azetidinecarboxamide, 1-[3-(acetylamino)-1-oxopropyl]-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



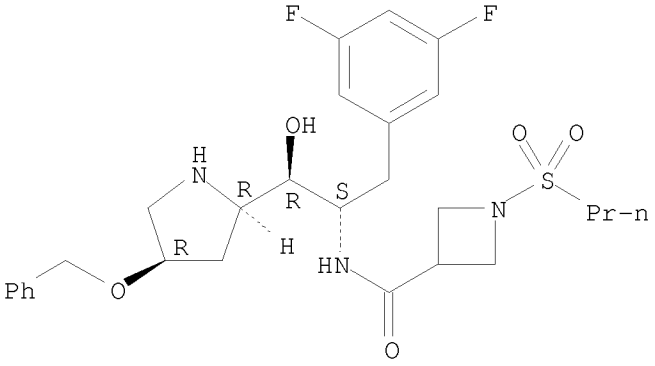
RN 845546-06-7 CAPLUS
CN 3-Azetidinecarboxamide, 1-(butylsulfonyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 845546-07-8 CAPLUS
CN 3-Azetidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(propylsulfonyl)- (CA INDEX NAME)

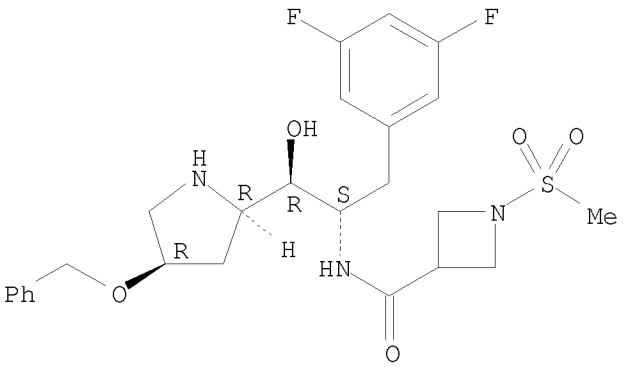
Absolute stereochemistry.



RN 845546-08-9 CAPLUS
CN 3-Azetidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-

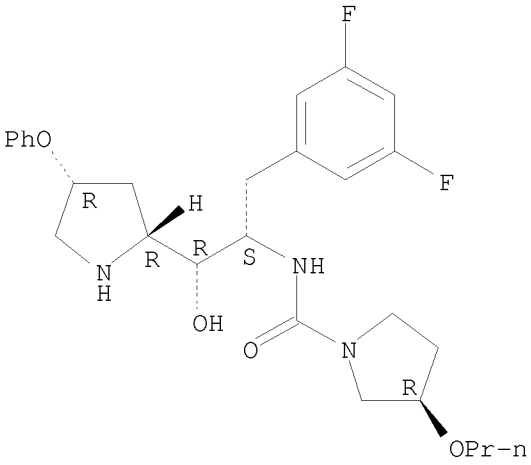
hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-1-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.



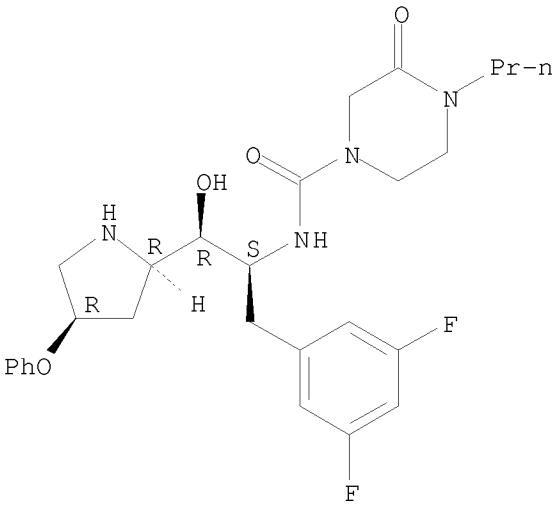
RN 845551-46-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-propoxy-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



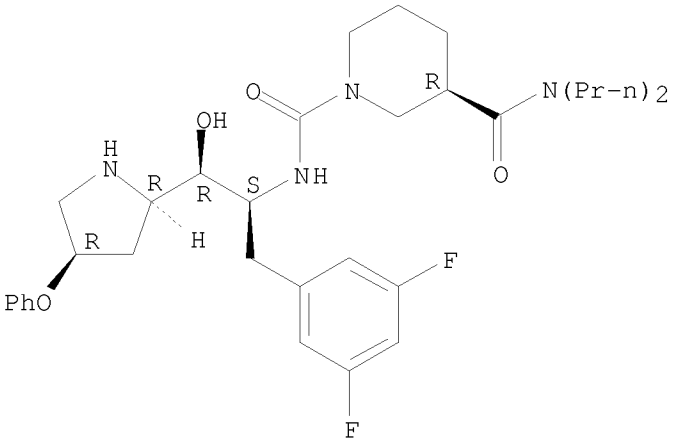
RN 845551-48-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-oxo-4-propyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 845551-49-7 CAPLUS
CN 1,3-Piperidinedicarboxamide, N1-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-N3,N3-dipropyl-, (3R)-(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:120698 CAPLUS
DOCUMENT NUMBER: 142:225773
TITLE: Controlled release dosage forms containing cholesteryl ester transfer protein inhibitors and immediate release of HMG-CoA reductase inhibitors
INVENTOR(S): Curatolo, William John; Friesen, Dwayne Thomas; Sutton, Steven C.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2005011634	A1	20050210	WO 2004-IB2457		20040721	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW						
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
AU 2004261058	A1	20050210	AU 2004-261058		20040721	<--
CA 2534371	A1	20050210	CA 2004-2534371		20040721	<--
EP 1653926	A1	20060510	EP 2004-744109		20040721	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK						
BR 2004013363	A	20061010	BR 2004-13363		20040721	<--
CN 1863511	A	20061115	CN 2004-80029003		20040721	<--
JP 2007501217	T	20070125	JP 2006-522426		20040721	<--
US 20050038007	A1	20050217	US 2004-903433		20040730	<--
IN 2006DN00059	A	20070824	IN 2006-DN59		20060103	<--
MX 2006001506	A	20060515	MX 2006-1506		20060207	<--
NO 2006001072	A	20060504	NO 2006-1072		20060306	<--
PRIORITY APPLN. INFO.:			US 2003-492407P	P	20030804	<--
			WO 2004-IB2457	W	20040721	<--

OTHER SOURCE(S): MARPAT 142:225773

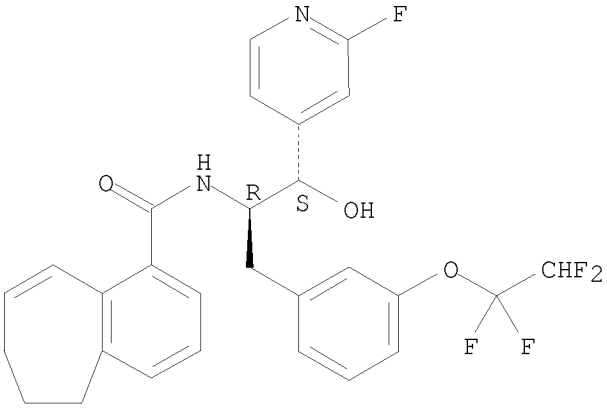
AB A dosage form comprises a cholesteryl ester transfer protein inhibitor in a solubility-improved form and an HMG-CoA reductase inhibitor, wherein the dosage form provides immediate release of the HMG-CoA reductase inhibitor and controlled release of the cholesteryl ester transfer protein inhibitor. A solubility-improved from of torcetrapib was prepared by forming a solid amorphous dispersion of torcetrapib in hydroxypropyl Me cellulose acetate succinate (HPMCAS). The dispersion was prepared by spray-drying a solution containing 4.0% torcetrapib, 12.0% HPMCAS-MG (AQUOT-MG), and 84% acetone. The solution was spray-dried by using a pressure spray nozzle.

IT 444917-44-6 444917-46-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled release dosage forms containing cholesteryl ester transfer protein inhibitors and immediate release of HMG-CoA reductase inhibitors)

RN 444917-44-6 CAPLUS

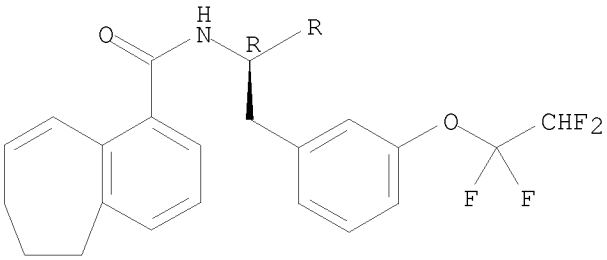
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2S)-2-(2-fluoro-4-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

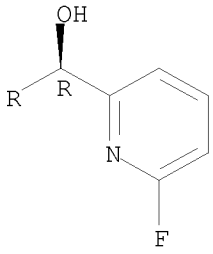
Relative stereochemistry.



RN 444917-46-8 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide,
N-[(1R,2R)-2-(6-fluoro-2-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.





REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:428903 CAPLUS
DOCUMENT NUMBER: 141:6920
TITLE: Preparation of phenylcarboxamide derivatives as β-secretase inhibitors for the treatment of Alzheimer's disease
INVENTOR(S): Coburn, Craig A.; Stachel, Shawn J.; Vacca, Joseph P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043916	A1	20040527	WO 2003-US35316	20031106 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505098	A1	20040527	CA 2003-2505098	20031106 <--
AU 2003291308	A1	20040603	AU 2003-291308	20031106 <--
EP 1562897	A1	20050817	EP 2003-768700	20031106 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006514623	T	20060511	JP 2004-551780	20031106 <--
US 20060052615	A1	20060309	US 2005-534291	20050509 <--
US 7109217	B2	20060919		
US 20060264416	A1	20061123	US 2006-495123	20060728 <--
US 7348356	B2	20080325		

PRIORITY APPLN. INFO.:	US 2002-425555P	P	20021112 <--
	US 2002-425560P	P	20021112 <--
	WO 2003-US35316	W	20031106 <--
	US 2005-534291	A3	20050509

OTHER SOURCE(S): MARPAT 141:6920
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

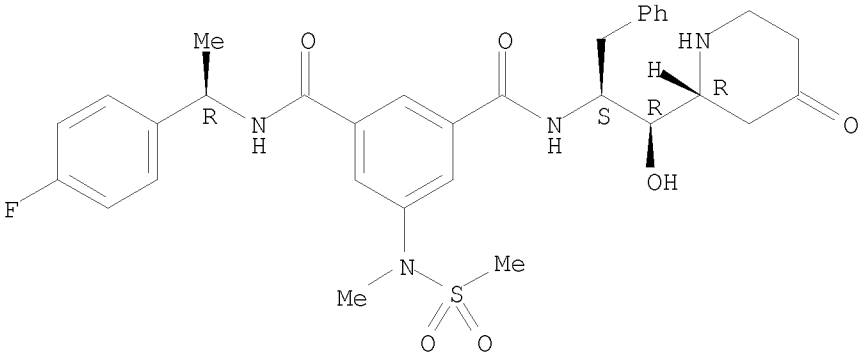
AB The title compds. I [R2 = R4-S(O)m-NR5-, R4-S(O)m-, R4NHCO-, R4CONH-, R4R5N-, CN, halo, etc.; R4, R5 = H, C1-C6alkyl, Ph or benzyl; R6a, R6b, R6c = H, halo, -OR5, -SR5 or C1-C6alkyl; X1 = H; X2 = OH, or X1, X2 = oxo; Z = CO, CH-OH, CH-F, or ethylene ketal; n = 1-4; m = 0-2] were prepared as β-secretase inhibitors for the treatment or prevention of diseases, such as Alzheimer's disease. For example, compound II was prepared from di-Me 5-aminoisophthalate in a multi-step synthesis. The compds. of the invention exhibited inhibiting activity against β-secretase with an IC50 from about 1nM to 1 μM.

IT 695215-64-6P 695215-65-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenylcarboxamide derivs. as β-secretase inhibitors for the treatment of Alzheimer's disease)

RN 695215-64-6 CAPLUS

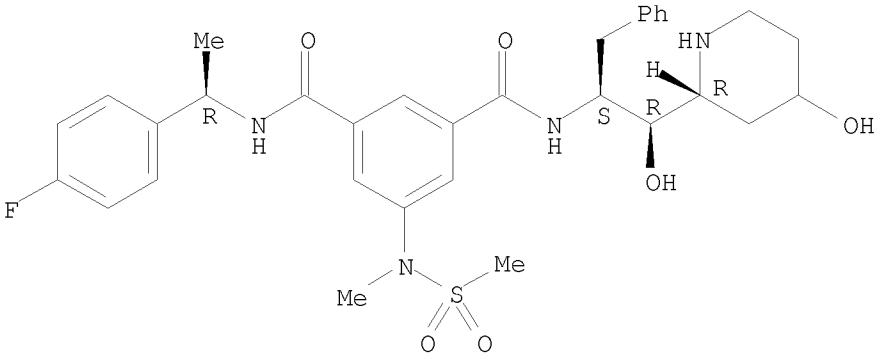
CN 1,3-Benzenedicarboxamide, N1-[(1R)-1-(4-fluorophenyl)ethyl]-N3-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 695215-65-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1R)-1-(4-fluorophenyl)ethyl]-N3-[(1S,2R)-2-hydroxy-2-[(2R)-4-hydroxy-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

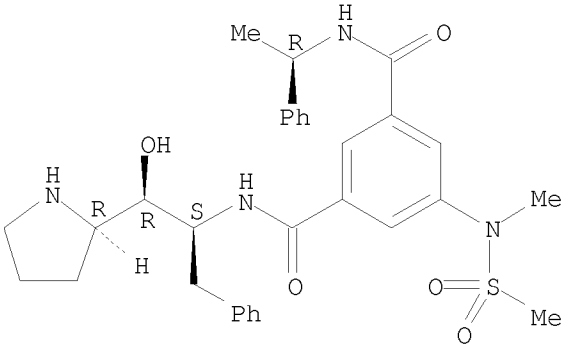


IT 695215-53-3P 695215-55-5P 695215-57-7P
695215-59-9P 695215-61-3P 695215-63-5P
695215-67-9P 695215-68-0P 695215-70-4P
695215-71-5P 695215-80-6P 695215-81-7P
695215-82-8P 695215-83-9P 695215-84-0P
695215-85-1P 695215-86-2P 695215-87-3P
695215-88-4P 695215-89-5P 695215-90-8P
695215-91-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylcarboxamide derivs. as β-secretase inhibitors for the treatment of Alzheimer's disease)
RN 695215-53-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

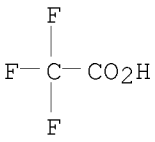
CRN 695215-52-2
CMF C31 H38 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

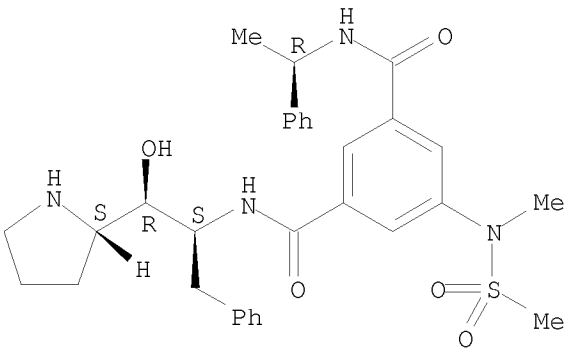


RN 695215-55-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2S)-2-pyrrolidinylethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

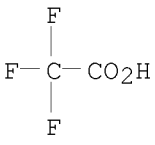
CRN 695215-54-4
CMF C31 H38 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

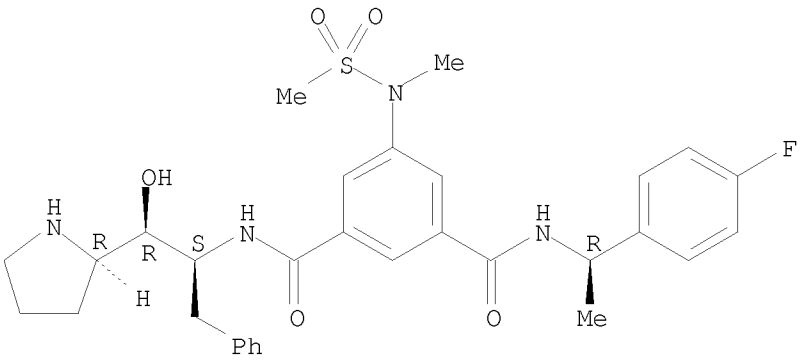


RN 695215-57-7 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1R)-1-(4-fluorophenyl)ethyl]-N3-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-5-[methyl(methylsulfonyl)amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

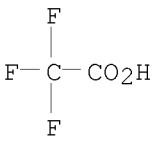
CRN 695215-56-6
CMF C31 H37 F N4 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

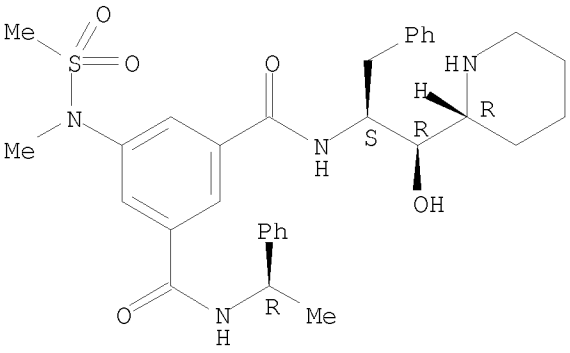


RN 695215-59-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-piperidinylethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

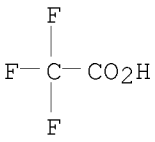
CRN 695215-58-8
CMF C32 H40 N4 O5 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

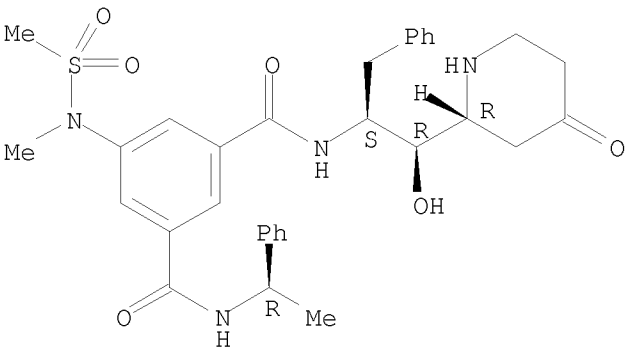


RN 695215-61-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

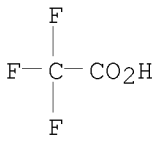
CRN 695215-60-2
CMF C32 H38 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

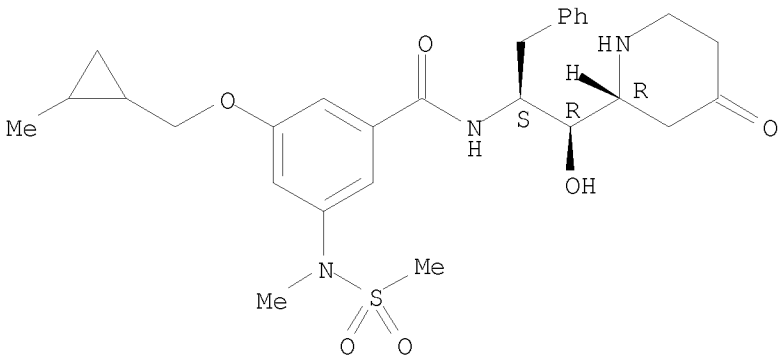


RN 695215-63-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methoxy]-5-[methyl(methylsulfonyl)amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

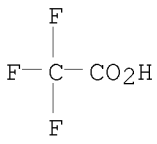
CRN 695215-62-4
CMF C28 H37 N3 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

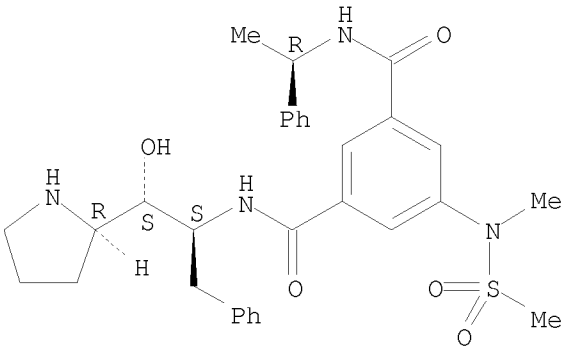


RN 695215-67-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1S,2S)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

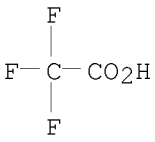
CRN 695215-66-8
CMF C31 H38 N4 O5 S

Absolute stereochemistry.



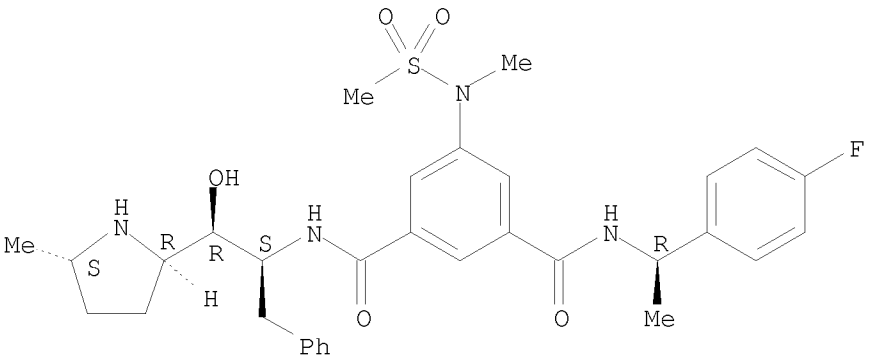
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 695215-68-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1R)-1-(4-fluorophenyl)ethyl]-N3-[(1S,2R)-2-hydroxy-2-[(2R,5S)-5-methyl-2-pyrrolidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

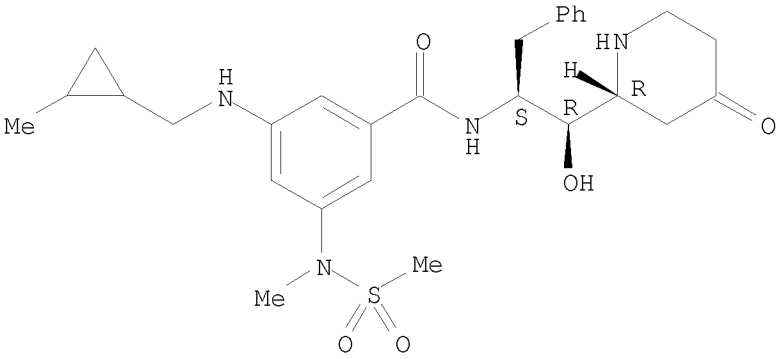


RN 695215-70-4 CAPLUS
CN Benzamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-3-[[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

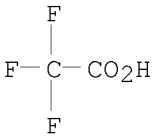
CRN 695215-69-1
CMF C28 H38 N4 O5 S

Absolute stereochemistry.



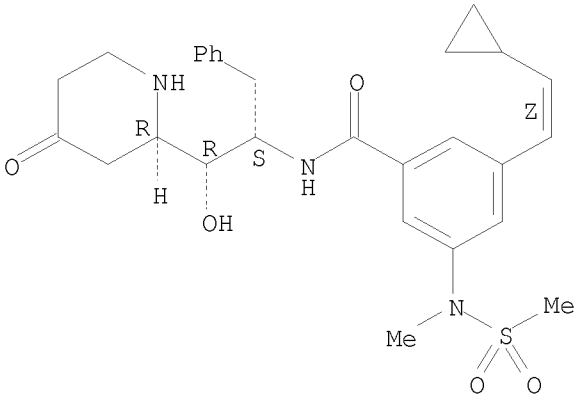
CM 2

CRN 76-05-1
CMF C2 H F3 O2

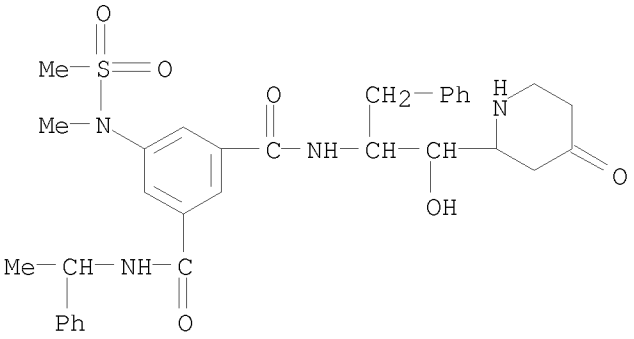


RN 695215-71-5 CAPLUS
CN Benzamide, 3-[(1Z)-2-cyclopropylethenyl]-N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

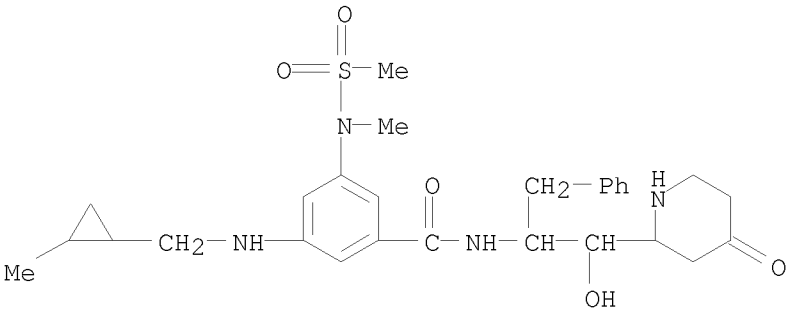
Absolute stereochemistry.
Double bond geometry as shown.



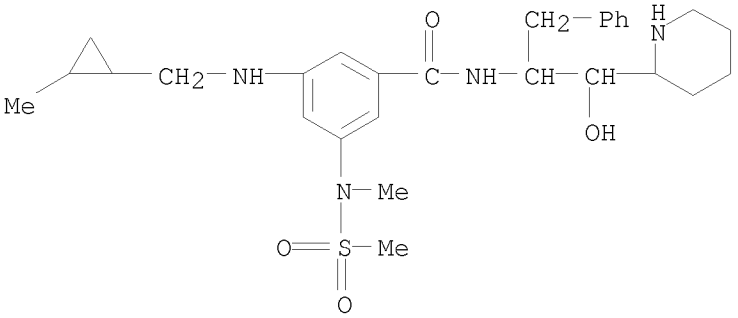
RN 695215-80-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N3-(1-phenylethyl)- (CA INDEX NAME)



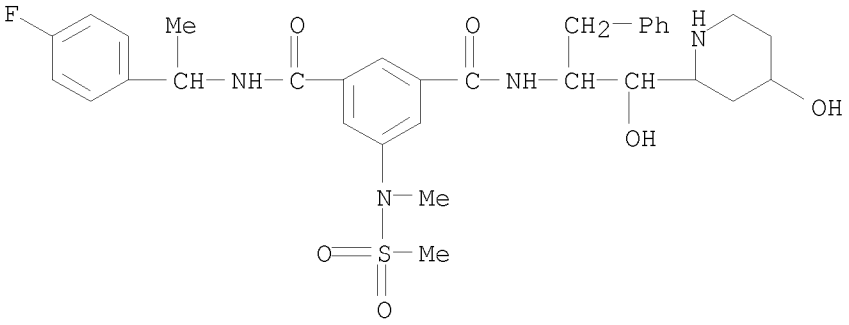
RN 695215-81-7 CAPLUS
CN Benzamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-3-[[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



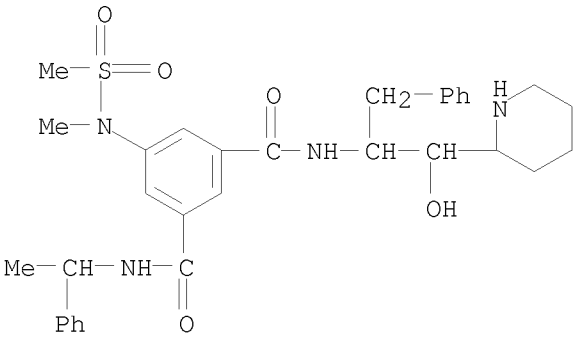
RN 695215-82-8 CAPLUS
CN Benzamide, N-[2-hydroxy-1-(phenylmethyl)-2-(2-piperidinyl)ethyl]-3-[[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



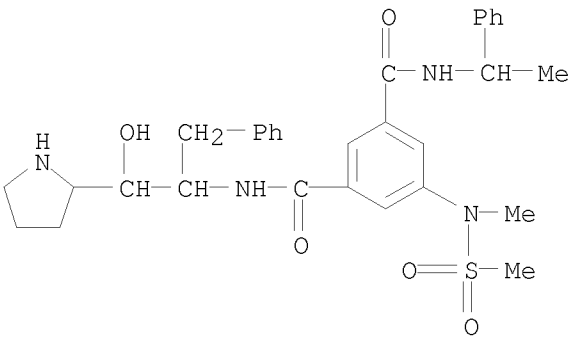
RN 695215-83-9 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[1-(4-fluorophenyl)ethyl]-N3-[2-hydroxy-2-(4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



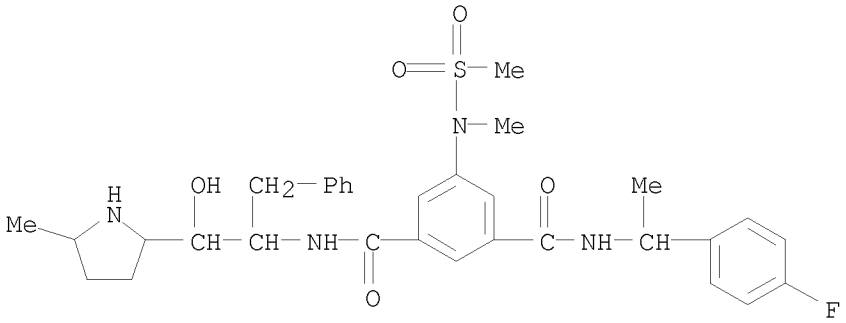
RN 695215-84-0 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[2-hydroxy-1-(phenylmethyl)-2-(2-piperidinyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N3-(1-phenylethyl)-(CA INDEX NAME)



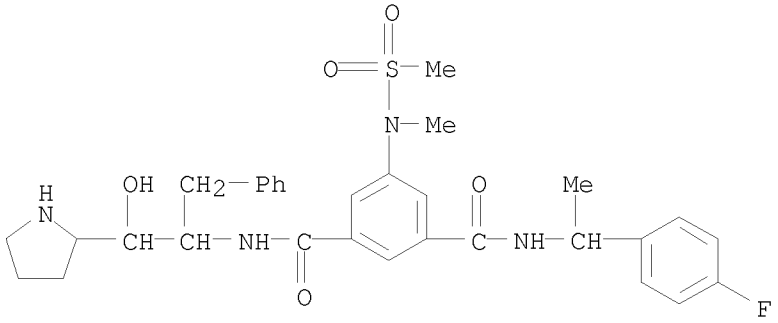
RN 695215-85-1 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[2-hydroxy-1-(phenylmethyl)-2-(2-pyrrolidinyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N3-(1-phenylethyl)-(CA INDEX NAME)



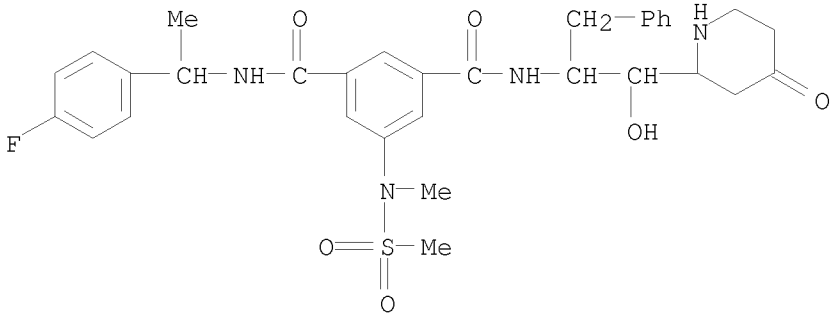
RN 695215-86-2 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[1-(4-fluorophenyl)ethyl]-N3-[2-hydroxy-2-(5-methyl-2-pyrrolidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



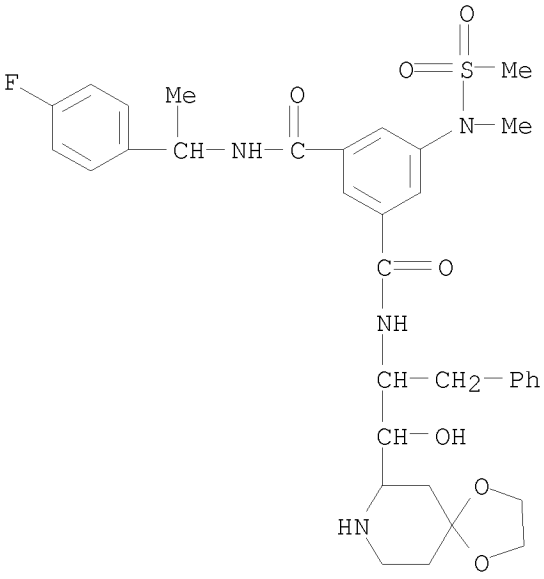
RN 695215-87-3 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[1-(4-fluorophenyl)ethyl]-N3-[2-hydroxy-1-(phenylmethyl)-2-(2-pyrrolidinyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



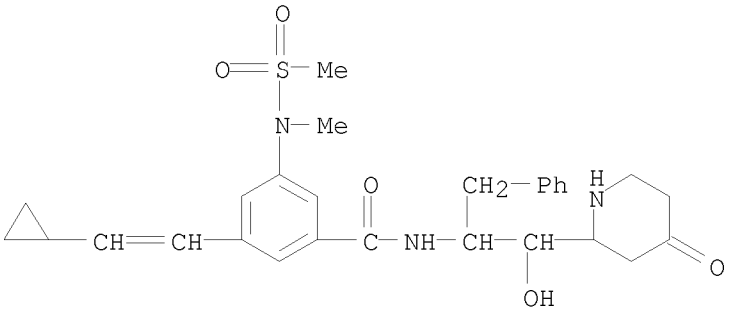
RN 695215-88-4 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[1-(4-fluorophenyl)ethyl]-N3-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



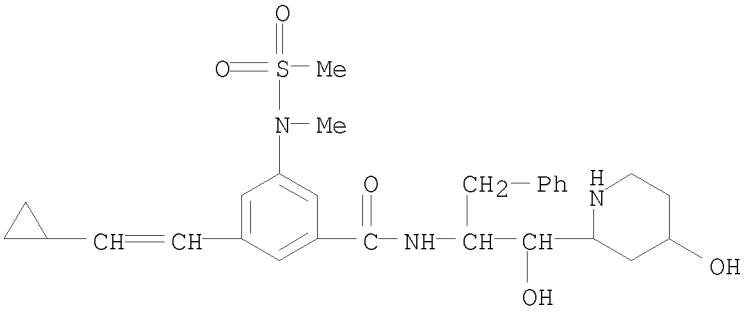
RN 695215-89-5 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[2-(1,4-dioxa-8-azaspiro[4.5]dec-7-yl)-2-hydroxy-1-(phenylmethyl)ethyl]-N3-[1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



RN 695215-90-8 CAPLUS
CN Benzamide, 3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)



RN 695215-91-9 CAPLUS
CN Benzamide, 3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

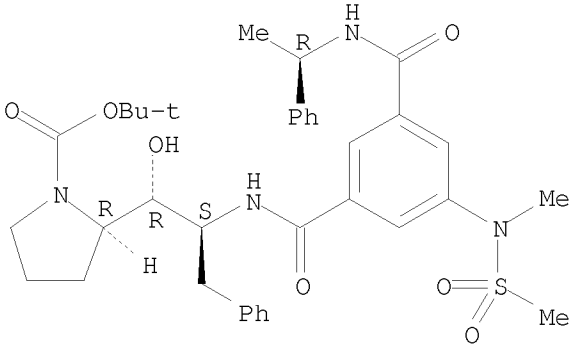


IT 695216-17-2P 695216-18-3P 695216-21-8P
695216-26-3P 695216-50-3P 695216-53-6P

695216-57-0P 695216-58-1P 695216-64-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylcarboxamide derivs. as β-secretase inhibitors for the treatment of Alzheimer's disease)

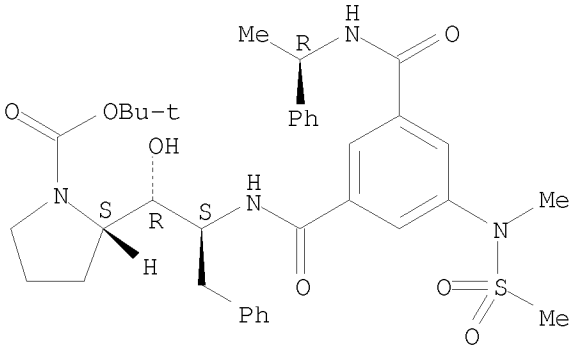
RN 695216-17-2 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1R,2S)-1-hydroxy-2-[[3-[methyl(methylsulfonyl)amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]benzoyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



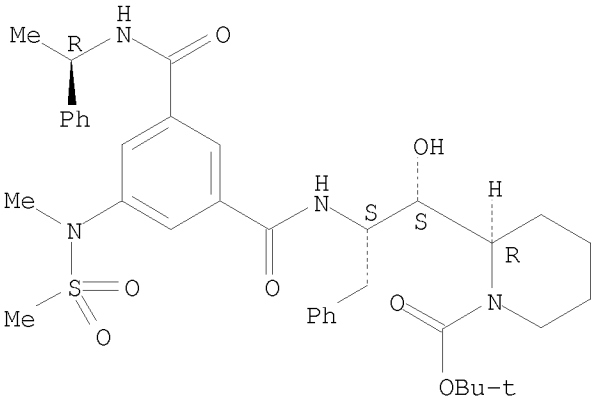
RN 695216-18-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1R,2S)-1-hydroxy-2-[[3-[methyl(methylsulfonyl)amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]benzoyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



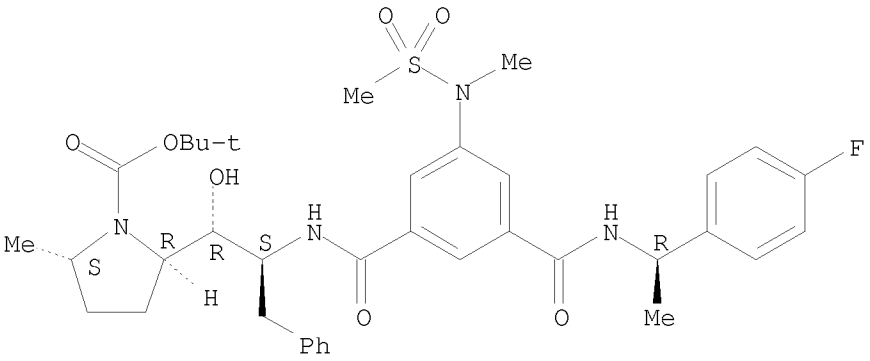
RN 695216-21-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-1-hydroxy-2-[[3-[methyl(methylsulfonyl)amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]benzoyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



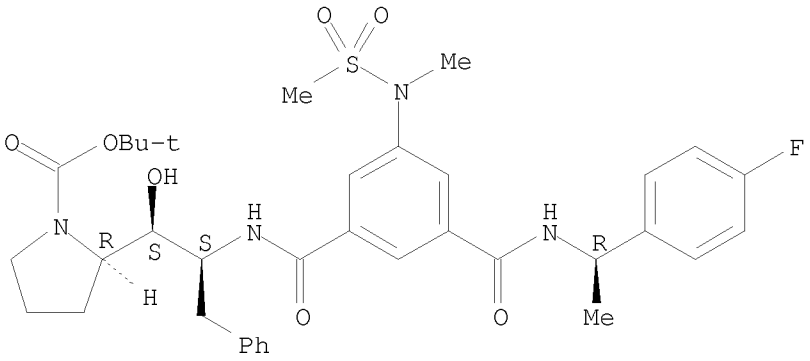
RN 695216-26-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1R,2S)-2-[[3-[[[(1R)-1-(4-fluorophenyl)ethyl]amino]carbonyl]-5-[methyl(methylsulfonyl)amino]benzoyl]amino]-1-hydroxy-3-phenylpropyl]-5-methyl-, 1,1-dimethylethyl ester, (2R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



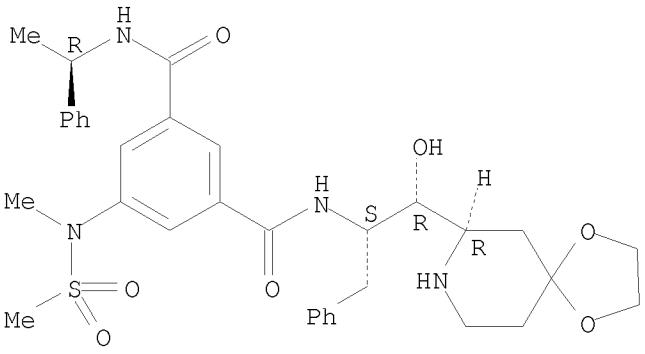
RN 695216-50-3 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-[[3-[[[(1R)-1-(4-fluorophenyl)ethyl]amino]carbonyl]-5-[methyl(methylsulfonyl)amino]benzoyl]amino]-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



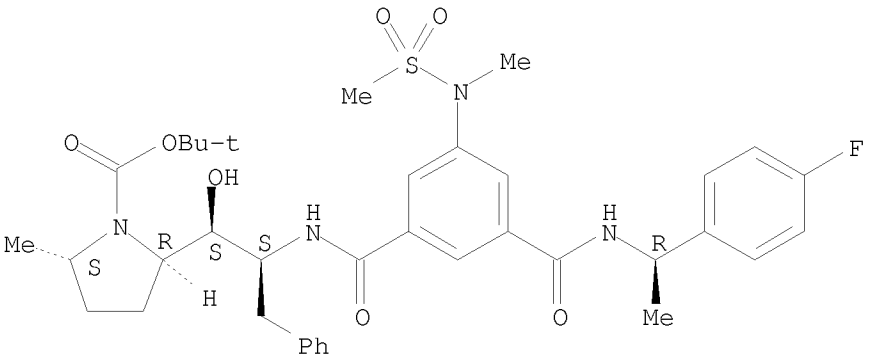
RN 695216-53-6 CAPLUS
CN 1,3-Benzenedicarboxamide, N1-[(1S,2R)-2-(7R)-1,4-dioxa-8-azaspiro[4.5]dec-7-yl-2-hydroxy-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N3-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



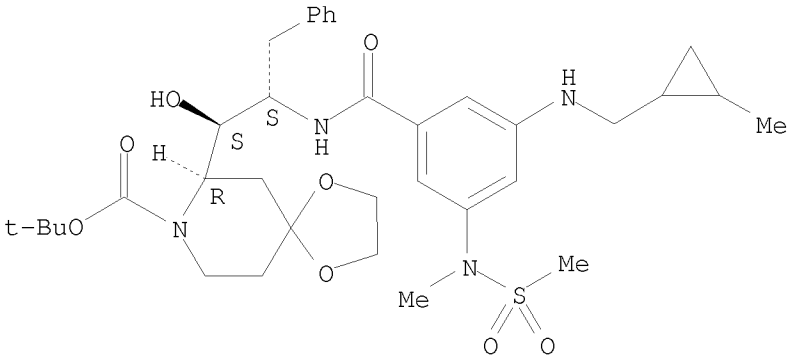
RN 695216-57-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-[[3-[[[(1R)-1-(4-fluorophenyl)ethyl]amino]carbonyl]-5-[methyl(methylsulfonyl)amino]benzoyl]amino]-1-hydroxy-3-phenylpropyl]-5-methyl-, 1,1-dimethylethyl ester, (2R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



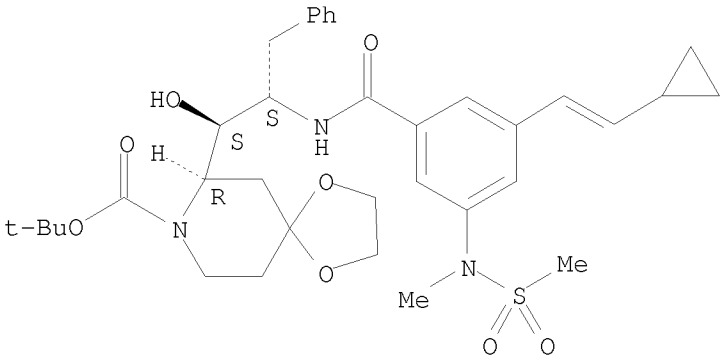
RN 695216-58-1 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane-8-carboxylic acid, 7-[(1S,2S)-1-hydroxy-2-[[3-[[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]benzoyl]amino]-3-phenylpropyl]-, 1,1-dimethylethyl ester, (7R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 695216-64-9 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane-8-carboxylic acid, 7-[(1S,2S)-2-[[3-(2-cyclopropylethenyl)-5-[methyl(methylsulfonyl)amino]benzoyl]amino]-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester, (7R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:335087 CAPLUS
DOCUMENT NUMBER: 138:353989
TITLE: Preparation of N-(imidazolylmethyl)benzamides and imidazolylalkyl-benzoates as MEK-1 and ERK-2 kinase inhibitors
INVENTOR(S): Arkinstall, Stephen J.; Arulanandam, Antonio; Jiang, Xuliang; Magar, Sharad; Nabioullin, Roustem; Zhang, John Yingsheng; Blume-Jensen, Peter
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

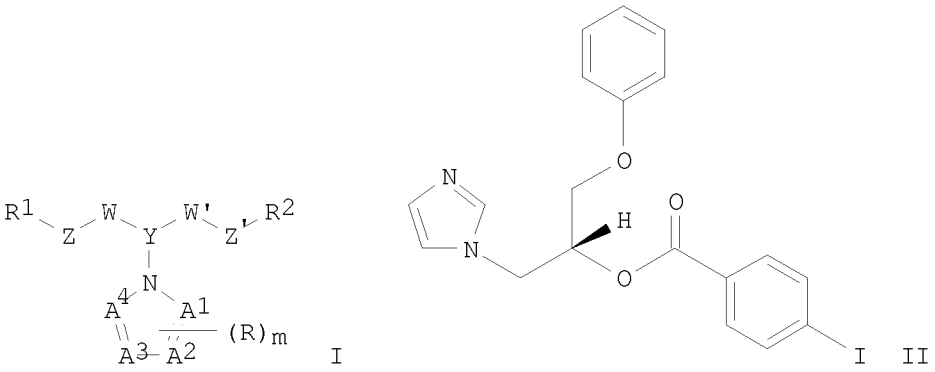
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003035626	A2	20030501	WO 2002-US33963	20021023 <--
WO 2003035626	A3	20031106		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463101	A1	20030501	CA 2002-2463101	20021023 <--
AU 2002359291	A1	20030506	AU 2002-359291	20021023 <--
AU 2002359291	B2	20080403		
EP 1438295	A2	20040721	EP 2002-793814	20021023 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005508972	T	20050407	JP 2003-538142	20021023 <--
US 20050054706	A1	20050310	US 2004-491902	20040416 <--
US 7253199	B2	20070807		
US 20070293555	A1	20071220	US 2007-782251	20070724 <--
AU 2008202731	A1	20080717	AU 2008-202731	20080620 <--

PRIORITY APPLN. INFO.:	US 2001-336040P	P	20011023 <--
	AU 2002-359291	A3	20021023 <--
	WO 2002-US33963	W	20021023 <--
	US 2004-491902	A3	20040416 <--

OTHER SOURCE(S): MARPAT 138:353989

GI



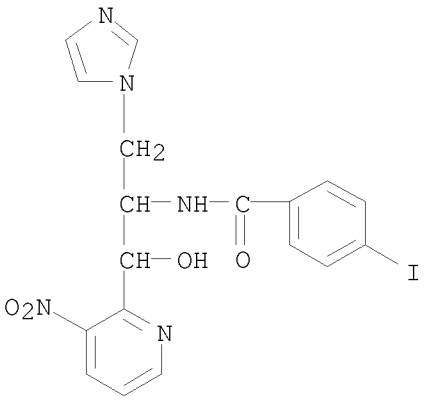
AB Title compds. I [A1-4 = C, N with at least one A1-4 = C; R = halo, NO2, (hetero)alk(en/yn)yl, etc.; m = integer; Y = (hetero)alk(en/yn)yl; W, W' = hetero atom, heteroalkyl, etc.; Z, Z' = bond, alkanoyl; R1-2 = (un)substituted carbocyclic aryl, heteroarom.] are prepared For instance, (S)-glycidol was treated with phenol (THF, PPh3,DEAD) and the product treated with imidazole and finally coupled with p-iodobenzoic acid to give II. II had IC50 = 39 nM for MEK-1 kinase and 36 nM in the MEK-1/ERK-2 kinase assay. I are useful for a variety of therapies, including treating or preventing various cancers, inflammation, septic shock, preterm labor, infertility, pain, ischemia and other diseases and disorders associated with MEK-1 and/or ERK-2 activation.

IT 518347-73-4P, N-[2-Imidazolyl-1-(3-nitropyridin-2-yloxymethyl)ethyl]-4-iodobenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(imidazolylmethyl)benzamides and imidazolylalkyl-benzoates as MEK-1 and ERK-2 kinase inhibitors)

RN 518347-73-4 CAPLUS

CN Benzamide, N-[2-hydroxy-1-(1H-imidazol-1-ylmethyl)-2-(3-nitro-2-pyridinyl)ethyl]-4-iodo- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:132359 CAPLUS

DOCUMENT NUMBER: 138:187642

TITLE: Preparation of pyridyl-1,2-ethanediamines as intermediates for NPY receptor antagonists

INVENTOR(S): Takahashi, Hirofumi; Sato, Nagaaki; Nagai, Keita; Jitsuoka, Makoto; Uchiito, Shiho; Fukami, Takehiro

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 55 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003048875	A	20030221	JP 2001-233519	20010801 <--
PRIORITY APPLN. INFO.:			JP 2001-233519	20010801 <--

OTHER SOURCE(S): MARPAT 138:187642

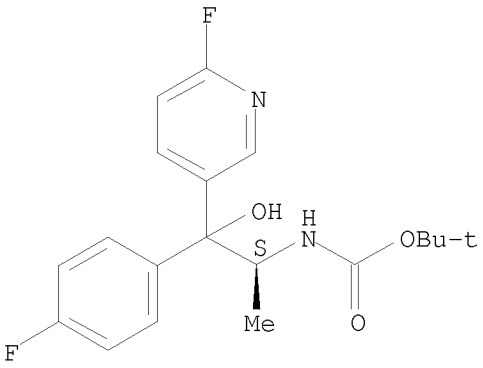
AB The compds. NH2CR1pAr1pCR2pR3pNH2 [Ar1p = (un)substituted aryl, heteroaryl; R1p = lower cycloalkyl, (un)substituted aryl, heteroaryl; R2p, R3p = H, lower cycloalkyl, lower alkenyl, (un)substituted lower alkyl; if R2p = R3p = H, then both of Ar1p and R1p are not Ph; if R2p = H, R3p = Me, iso-Pr, iso-Bu, tert-Bu, then both of Ar1p and R1p are not tert-methoxyphenyl] are prepared by reaction of RS(O)N:CAr1pCR2pR3pNHP (Ar1, R2p, R3p = same as above; R = bulky group; P = NH2-protecting group) with organic metal compds. having R1p group (R1p = same as above) and deprotection of RS(O)NHCAr1pR1pCR2pR3pNHP (Ar1, P, R, R1p, R2p, R3p = same as above). The compds. are prepared from RS(O)N:CR1pCR2pR3pNHP (P, R, R1p, R2p, R3p = same as above) with metal compds. containing Ar1p group (Ar1p = same as above). The compds. are intermediates for imidazoline NPY receptor antagonists as antiobesity agents, antidiabetic agents, and polyphagy treatment agents. Tert-Bu N-[(1S)-2-[(R)-(tert-butylsulfinyl)imino]-2-(4-

fluorophenyl)-1-methylethyl]carbamate (200 mg) was reacted with 2-fluoro-5-pyridyllithium in PhMe-hexane in the presence of Et3Al at -78° for 1 h to give 175 mg tert-Bu N-[(1S,2S)-2-[(R)-(tert-butylsulfinyl)amino]-2-(4-fluorophenyl)-2-(6-fluoro-3-pyridyl)-1-methylethyl]carbamate, which was treated with HCl in dioxane at room temperature for 15 min to give (1S,2S)-1-(4-fluorophenyl)-1-(6-fluoro-3-pyridyl)-1,2-propanediamine. 2-(3-Cyanophenyl)-4,4-bis(3-fluorophenyl)-2-imidazoline showed IC50 of 2.3 nM for inhibiting the binding of [125I] peptide YY to human NPY receptor.

IT 357926-98-8P 498539-01-8P 498539-03-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylethanediamines by addition of sulfinyliminoethylamines
and deprotection as intermediates for imidazoline NPY receptor antagonists)

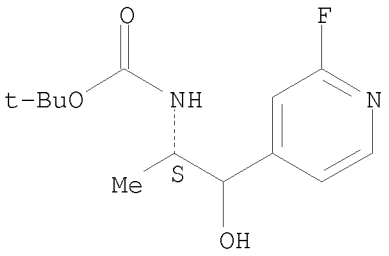
RN 357926-98-8 CAPLUS
CN Carbamic acid, [(1S)-2-(4-fluorophenyl)-2-(6-fluoro-3-pyridinyl)-2-hydroxy-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



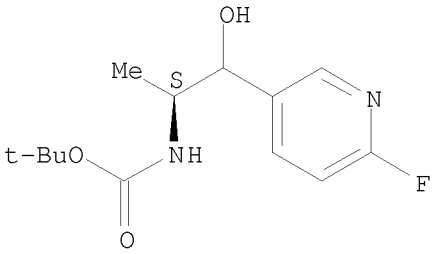
RN 498539-01-8 CAPLUS
CN Carbamic acid, [(1S)-2-(2-fluoro-4-pyridinyl)-2-hydroxy-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 498539-03-0 CAPLUS
CN Carbamic acid, [(1S)-2-(6-fluoro-3-pyridinyl)-2-hydroxy-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:575041 CAPLUS
DOCUMENT NUMBER: 137:140338
TITLE: Preparation of aminoethanol derivatives as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia, etc.
INVENTOR(S): Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu; Yamamoto, Toshihiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 748 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059077	A1	20020801	WO 2002-JP532	20020125 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002228349	A1	20020806	AU 2002-228349	20020125 <--
JP 2002293764	A	20021009	JP 2002-17487	20020125 <--
EP 1362846	A1	20031119	EP 2002-710345	20020125 <--
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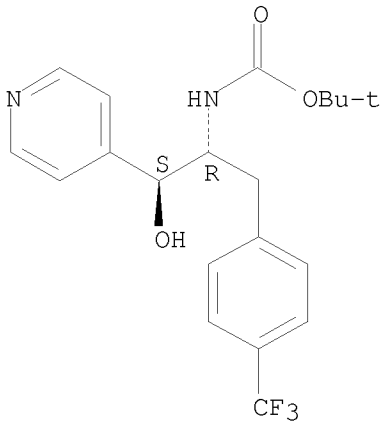
US 20040127574	A1	20040701	US 2003-470351	20030725 <--
US 6982348	B2	20060103		
PRIORITY APPLN. INFO.:			JP 2001-19280 A	20010126 <--
			WO 2002-JP532 W	20020125 <--

OTHER SOURCE(S): MARPAT 137:140338
AB The title compds. Ar1CH(OR'')CH(CH2Ar2)NR'R [Ar1 represents an optionally substituted aromatic ring group; Ar2 represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyl] are prepared Compds. of this invention in vitro showed IC50 values of 0.0084 μM to 0.4 μM against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.
IT 444916-36-3P 444916-37-4P 444916-38-5P
444916-39-6P 444916-40-9P 444916-41-0P
444916-42-1P 444916-43-2P 444917-43-5P
444917-44-6P 444917-45-7P 444917-46-8P

444917-47-9P 444917-48-0P 444917-49-1P
444918-66-5P 444918-67-6P 444918-68-7P
444918-77-8P 444918-78-9P 444920-28-9P
444920-29-0P 444920-30-3P 444920-31-4P
444920-32-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia)

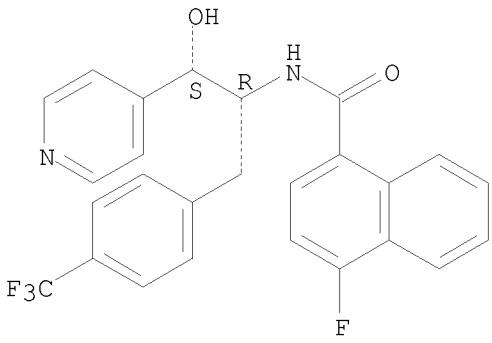
RN 444916-36-3 CAPLUS
CN Carbamic acid, [(1R,2S)-2-hydroxy-2-(4-pyridinyl)-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



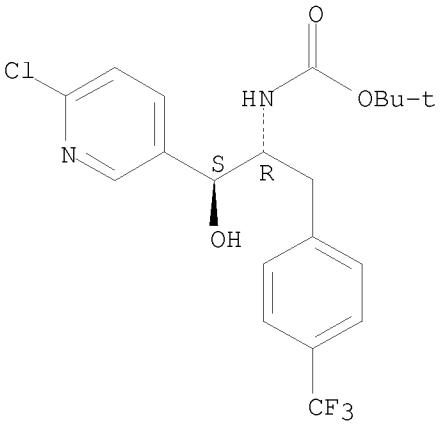
RN 444916-37-4 CAPLUS
CN 1-Naphthalenecarboxamide, 4-fluoro-N-[(1R,2S)-2-hydroxy-2-(4-pyridinyl)-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



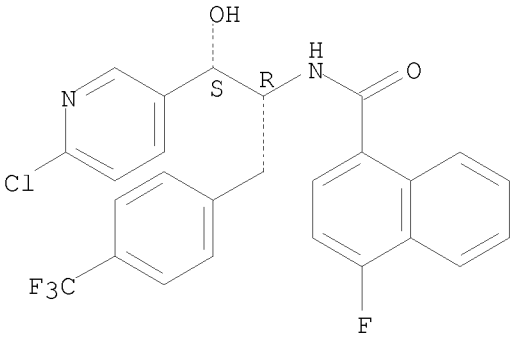
RN 444916-38-5 CAPLUS
CN Carbamic acid, [(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



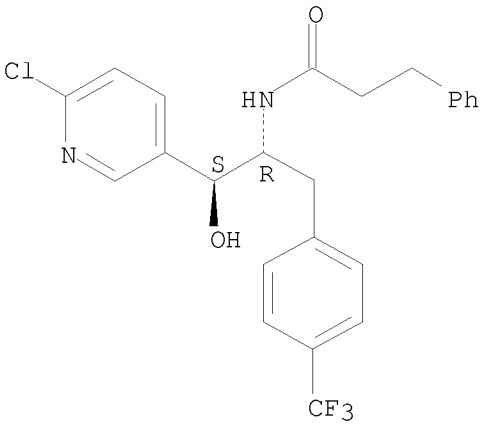
RN 444916-39-6 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-4-fluoro-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 444916-40-9 CAPLUS
CN Benzenepropanamide, N-[(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

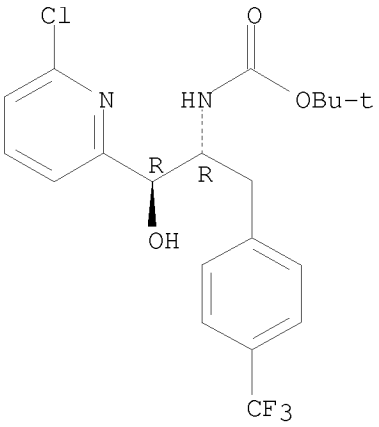
Relative stereochemistry.



RN 444916-41-0 CAPLUS

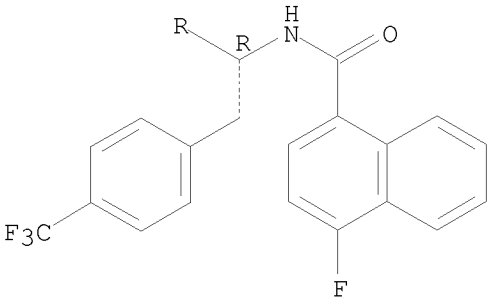
CN Carbamic acid, [(1R,2R)-2-(6-chloro-2-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

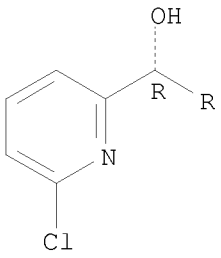
Relative stereochemistry.



RN 444916-42-1 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(1R,2R)-2-(6-chloro-2-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-4-fluoro-, rel- (CA INDEX NAME)

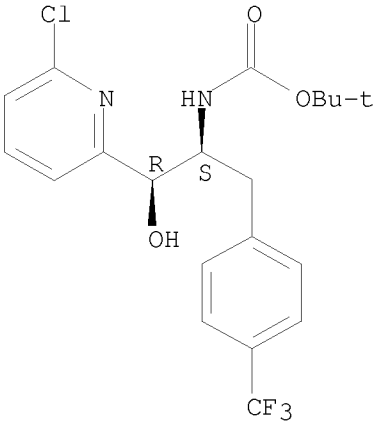
Relative stereochemistry.





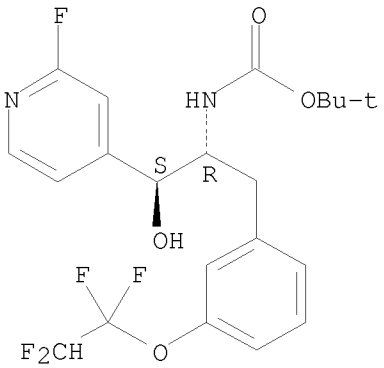
RN 444916-43-2 CAPLUS
CN Carbamic acid, [(1R,2S)-2-(6-chloro-2-pyridinyl)-2-hydroxy-1-[[4-(trifluoromethyl)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



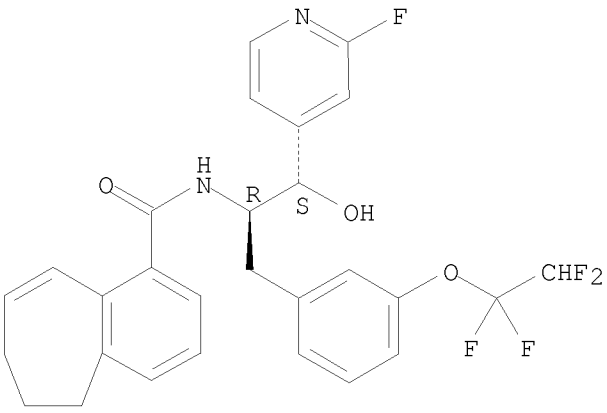
RN 444917-43-5 CAPLUS
CN Carbamic acid, [(1R,2S)-2-(2-fluoro-4-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



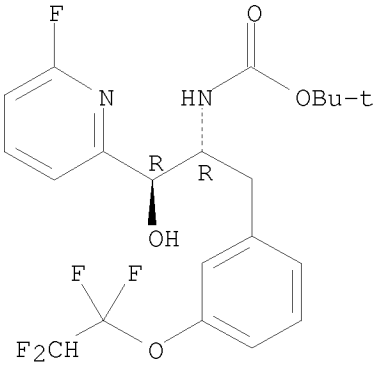
RN 444917-44-6 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2S)-2-(2-fluoro-4-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.



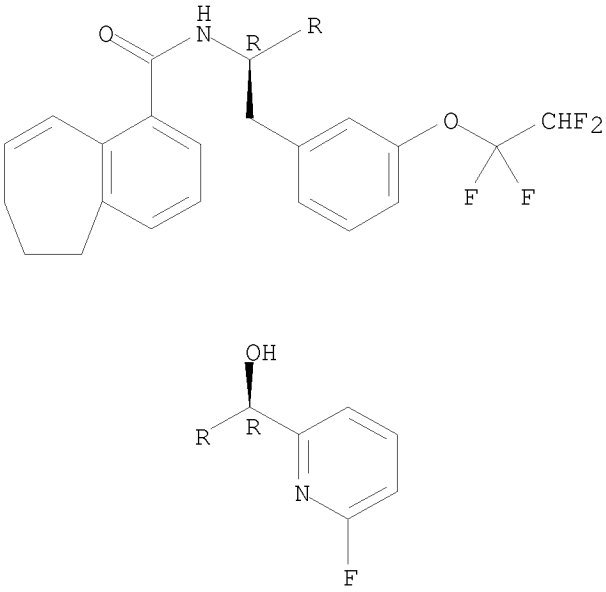
RN 444917-45-7 CAPLUS
CN Carbamic acid, [(1R,2R)-2-(6-fluoro-2-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



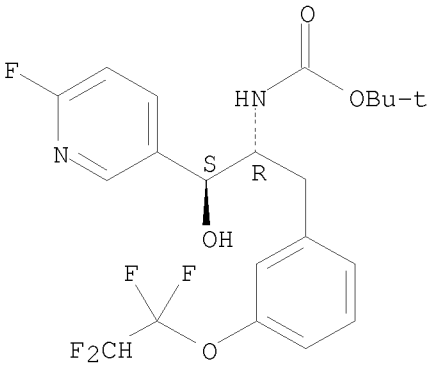
RN 444917-46-8 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2R)-2-(6-fluoro-2-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.



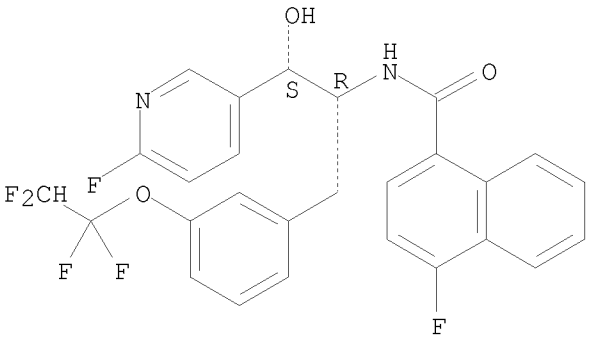
RN 444917-47-9 CAPLUS
CN Carbamic acid, [(1R,2S)-2-(6-fluoro-3-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



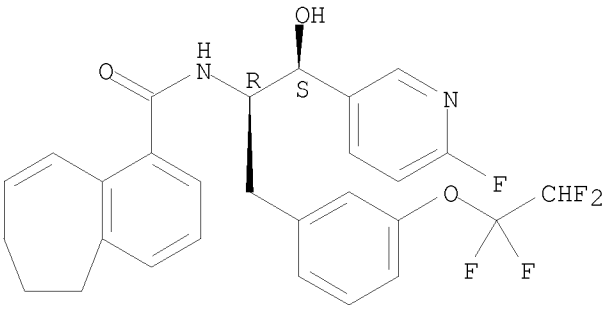
RN 444917-48-0 CAPLUS
CN 1-Naphthalenecarboxamide, 4-fluoro-N-[(1R,2S)-2-(6-fluoro-3-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



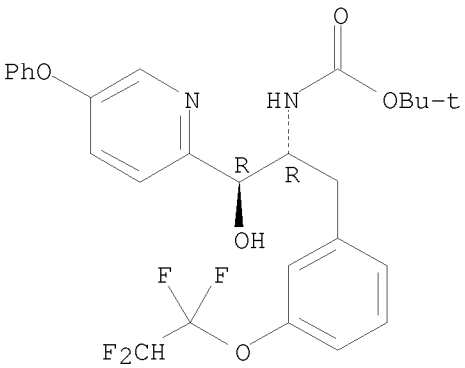
RN 444917-49-1 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2S)-2-(6-fluoro-3-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.



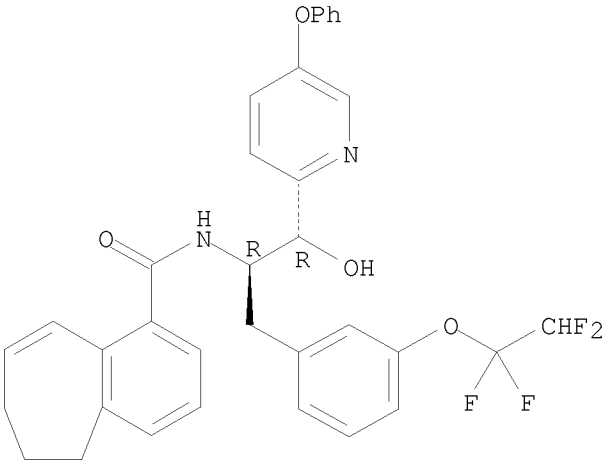
RN 444918-66-5 CAPLUS
CN Carbamic acid, [(1R,2R)-2-hydroxy-2-(5-phenoxy-2-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



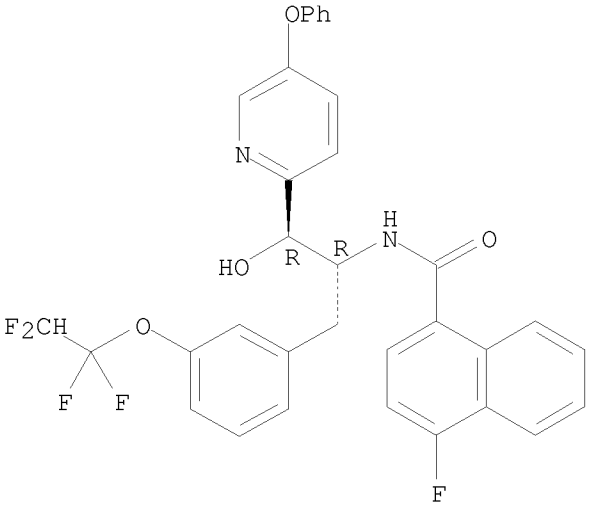
RN 444918-67-6 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, 6,7-dihydro-N-[(1R,2R)-2-hydroxy-2-(5-phenoxy-2-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



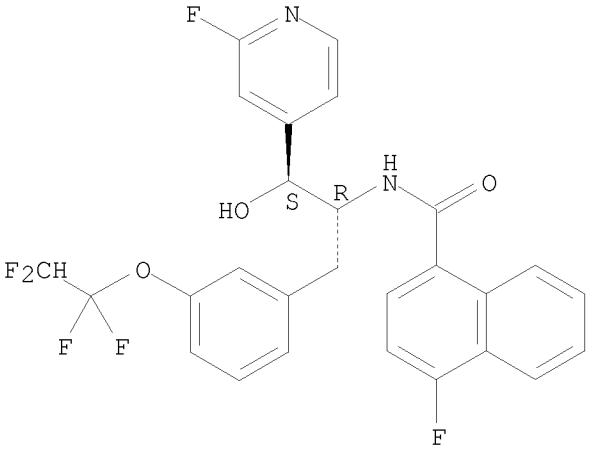
RN 444918-68-7 CAPLUS
CN 1-Naphthalenecarboxamide, 4-fluoro-N-[(1R,2R)-2-hydroxy-2-(5-phenoxy-2-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



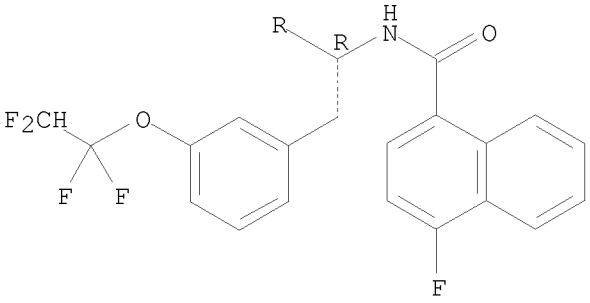
RN 444918-77-8 CAPLUS
CN 1-Naphthalenecarboxamide, 4-fluoro-N-[(1R,2S)-2-(2-fluoro-4-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

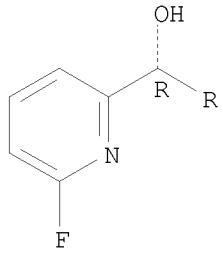
Relative stereochemistry.



RN 444918-78-9 CAPLUS
CN 1-Naphthalenecarboxamide, 4-fluoro-N-[(1R,2R)-2-(6-fluoro-2-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

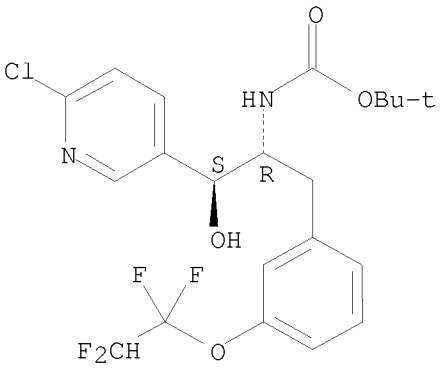
Relative stereochemistry.





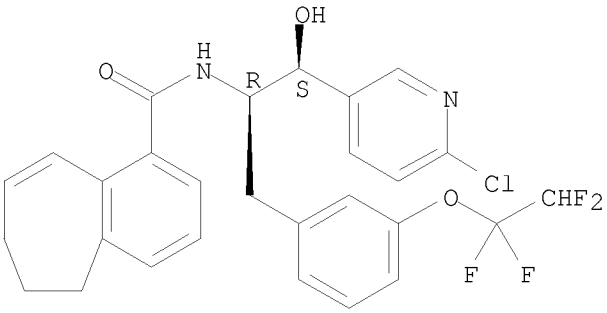
RN 444920-28-9 CAPLUS
CN Carbamic acid, [(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



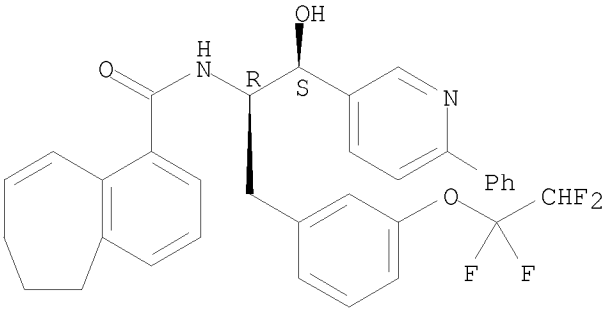
RN 444920-29-0 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, N-[(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-6,7-dihydro-, rel- (CA INDEX NAME)

Relative stereochemistry.



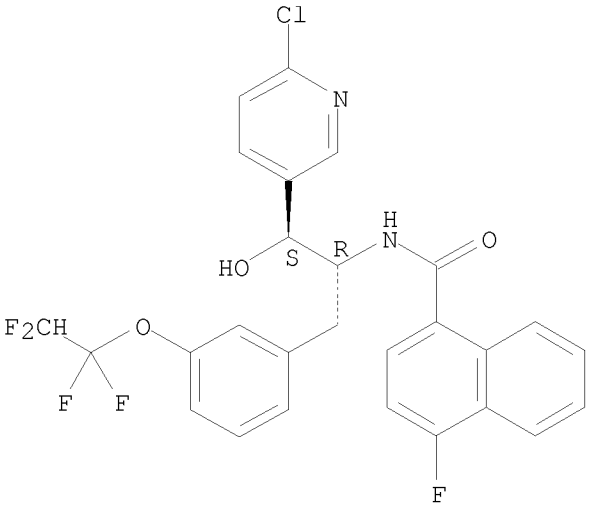
RN 444920-30-3 CAPLUS
CN 5H-Benzocycloheptene-1-carboxamide, 6,7-dihydro-N-[(1R,2S)-2-hydroxy-2-(6-phenyl-3-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 444920-31-4 CAPLUS
CN 1-Naphthalenecarboxamide, N-[(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-4-fluoro-, rel- (CA INDEX NAME)

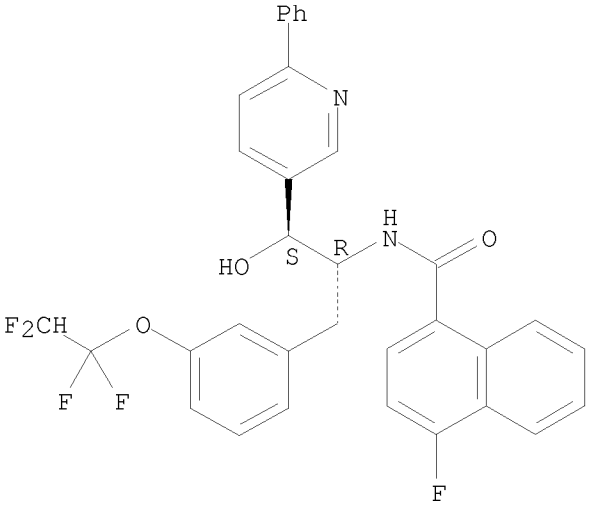
Relative stereochemistry.



RN 444920-32-5 CAPLUS

CN 1-Naphthalenecarboxamide, 4-fluoro-N-[(1R,2S)-2-hydroxy-2-(6-phenyl-3-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:636055 CAPLUS
DOCUMENT NUMBER: 135:211050
TITLE: Preparation of imidazoline compounds as antagonists of neuropeptide Y receptor
INVENTOR(S): Sato, Nagaaki; Okamoto, Osamu; Jitsuoka, Makoto; Nagai, Keita; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Fukami, Takehiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062738	A1	20010830	WO 2001-JP1312	20010222 <--
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

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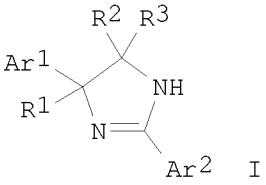
ES 2236178	T3	20050716	ES 2001-906215		20010222 <--
US 20030158418	A1	20030821	US 2002-204267		20020925 <--
US 7064142	B2	20060620			
US 20060135559	A1	20060622	US 2006-348459		20060207 <--
US 7482358	B2	20090127			
PRIORITY APPLN. INFO.:			JP 2000-45042	A	20000222 <--
			WO 2001-JP1312	W	20010222 <--
			US 2002-204267	A3	20020925 <--

OTHER SOURCE(S): MARPAT 135:211050

GI



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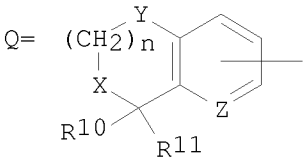
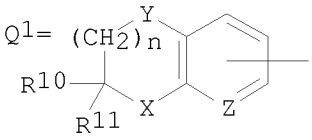
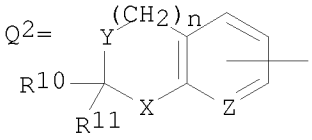






AB Compds. represented by the general formula (I) [wherein Ar1, Ar2, Ar3 = aryl or heteroaryl each optionally having substituents selected from cyano, halo, NO2, lower alkyl, halo-lower alkyl, hydroxy-lower alkyl, lower cycloalkyl-lower alkyl, lower alkenyl, lower alkylamino, di-lower alkylamino, lower alkanoylamino, lower alkylsulfonylamino, arylsulfonylamino, HO, lower alkoxy, halo-lower alkoxy, aryloxy, heteroaryloxy, lower alkylthio, CO2H, CHO, lower alkanoyl, lower alkoxycarbonyl, CONH2, lower alkylcarbamoyl, di-lower alkylcarbamoyl, lower alkylsulfonyl, arylsulfonyl, aryl, and heteroaryl; n = 0,1; R1 = lower cycloalkyl, Ar3, Q, Q1, Q2; R1, R2 = H, lower cycloalkyl, lower alkenyl, lower alkyl optionally having substituents selected from halo, lower alkylamino, di-lower alkylamino, lower alkanoylamino, HO, lower alkoxy, CHO, lower alkoxycarbonyl, lower alkylcarbamoyl, and di-lower alkylcarbamoyl; wherein R10 = R11 = H, or R10 and R11 together represents oxo; X, Y = CH2, CH2CH2, NR12 (wherein R12 = H, lower alkyl), O, S; Z = CH, N; with the proviso that when R2 and R3 are simultaneously hydrogen, Ar1, Ar2 and R1 do not simultaneously represent unsubstituted phenyl] or salts or esters thereof are prepared Theses compds. are useful as therapeutic agents for treating various neuropeptide Y (NPY)-related diseases, for example, circulatory diseases including hypertension, kidney diseases, cardiac diseases, vasospasm, and arteriosclerosis; central nervous system diseases including hyperphagia, depression, anxiety, convulsion, epilepsy, dementia, pain, alc. dependence, and withdrawal symptoms due to abstinence from drugs; metabolic diseases including obesity, diabetes, hormonal disorders, hypercholesterolemia, and hyperlipidemia; sexual dysfunction and reproductive function disorders; digestive diseases including enterokinetic disorders; respiratory diseases; inflammation; or glaucoma. Thus, 46.5 mg 2,4-dicyanopyridine

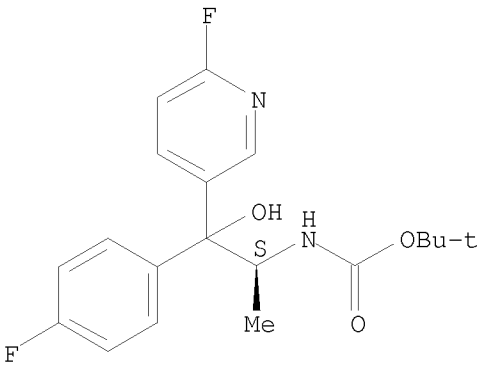
and 24 mg ytterbium trifluoromethanesulfonate were added to a solution of 100 mg (2S)-1-(4-fluorophenyl)-1-(6-fluoro-3-pyridyl)-1,2-propanediamine in 0.25 mL PhMe and stirred at 100° for 5 h to give 106 mg optically active (5S)-2-(4-cyano-2-pyridyl)-4-(4-fluorophenyl)-4-(6-fluoro-3-pyridyl)-5-methyl-2-imidazolidine (II). II in vitro showed IC50 of 1.7 nM for inhibiting the binding of [125I]peptide YY to human NPY receptor. Tablet formulations containing 2-(3-cyanophenyl)-4,4-bis(4-fluorophenyl)-2-imidazolidine were prepared

IT 357926-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoline compds. as antagonists of neuropeptide Y receptor)

RN 357926-98-8 CAPLUS

CN Carbamic acid, [(1S)-2-(4-fluorophenyl)-2-(6-fluoro-3-pyridinyl)-2-hydroxy-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:175676 CAPLUS

DOCUMENT NUMBER: 132:222456

TITLE: Preparation of 4-quinolinemethanol derivatives as purine receptor antagonists. (II)

INVENTOR(S): Gillespie, Roger John; Lerpiniere, Joanne; Giles, Paul Richard; Adams, David Reginald; Knutsen, Lars Jacob Stray; Cliffe, Ian Anthony

PATENT ASSIGNEE(S): Cerebrus Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

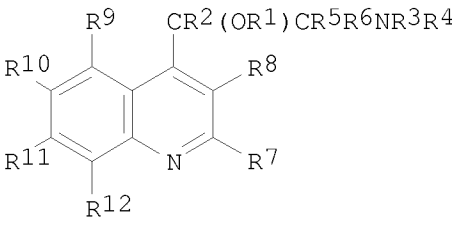
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000013682	A2	20000316	WO 1999-GB2924	19990903 <--

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,

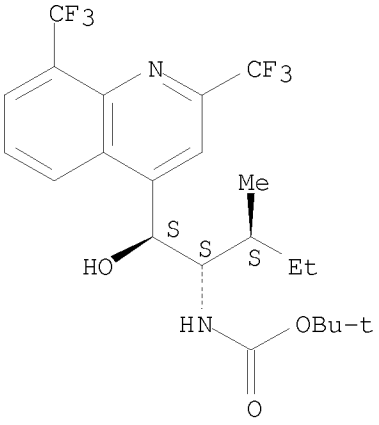
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9956402 A 20000327 AU 1999-56402 19990903 <--
EP 1107761 A2 20010620 EP 1999-943124 19990903 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
US 6608085 B1 20030819 US 2001-786472 20010509 <--
PRIORITY APPLN. INFO.: GB 1998-19384 A 19980904 <--
WO 1999-GB2924 W 19990903 <--
OTHER SOURCE(S): MARPAT 132:222456
GI



I

AB The title compds. I [R1 = H, alkyl; R2 = H, alkyl, aryl, heterocyclic rings; R3, R4 = H, alkyl, aryl, COR13, CO2R13, CONR13R14, CONR13NR14R15, SO2R13, SO2NR13R14, SO2NR13NR14R15 or may form a ring; R1R4, R2R3 may form a heterocyclic ring; R5, R6 = H, alkyl, aryl, heterocyclic ring; R7-R12 = H, alkyl aryl, heterocyclic ring, OH, halo, etc.], for the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, were prepared Binding affinities of I at A2A receptors were determined E.g., (11R,2'S)-α-(1-methyl-2-piperidinyl)-2,8-bis(trifluoromethyl)-4-quinolinemethanol was prepared
IT 261000-98-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinemethanol derivs. as purine receptor antagonists)
RN 261000-98-0 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[(S)-[2,8-bis(trifluoromethyl)-4-quinolinyl]hydroxymethyl]-2-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:529132 CAPLUS
DOCUMENT NUMBER: 131:170355
TITLE: Preparation of heterocycle-containing benzamide derivatives as farnesyl transferase inhibitors
INVENTOR(S): Drake, David John; Wardleworth, James Michael
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9941235	A1	19990819	WO 1999-GB369		19990204 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9924351	A	19990830	AU 1999-24351		19990204 <--
EP 1054865	A1	20001129	EP 1999-903834		19990204 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002503650	T	20020205	JP 2000-531430		19990204 <--
ZA 9901032	A	19990810	ZA 1999-1032		19990209 <--
PRIORITY APPLN. INFO.:			EP 1998-400294	A	19980210 <--
			WO 1999-GB369	W	19990204 <--

OTHER SOURCE(S): MARPAT 131:170355
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

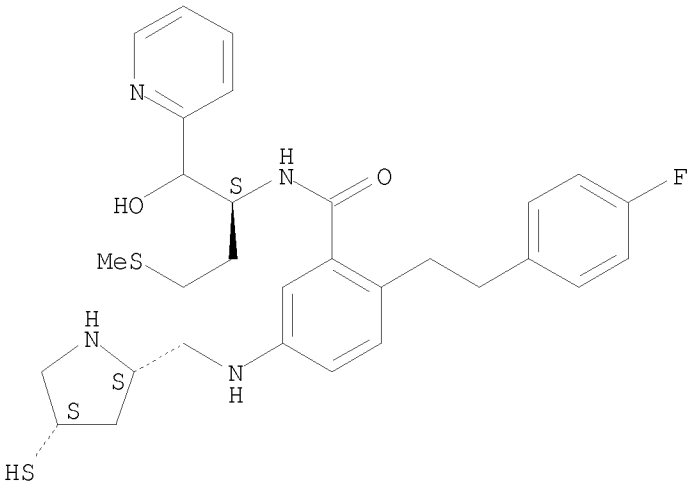
AB The present invention relates to compds. of formula (I; wherein A is of formula Q, Q1, or Ar1CH2E(Ar2); B is Ph, pyridyl, pyridazinyl, pyrimidyl, pyrazinyl, thienyl, thiazolyl, furyl or oxazolyl, the ring being substituted on ring carbon atoms by R1 and -(CH2)nR2; or B is pyrrolyl, pyrazolyl or imidazolyl, and when A is of formula Q or Q1, B can also be naphthyl substituted by R1 and (CH2)nR2; R1 is of the formula -CONHCH(R10)R11; ; R2 is Ph or heteroaryl; n is 0, 1 or 2; wherein R3 is hydrogen, C2-5 alkanoyl, C1-4 alkoxycarbonyl, C2-4 alkenyloxycarbonyl, phenyl-C1-3 alkyl, phenoxycarbonyl, etc.; R4 is hydrogen, C1-4 alkyl, C2-5 alkanoyl, C1-4 alkoxycarbonyl, phenyl-C1-3 alkyl, benzoyl, heteroaryl C1-3 alkyl or heteroaryl; D is a linking moiety selected from (un)substituted Q3 - Q5; Ar1 is (un)substituted imidazol-1-, -2-, or -3-yl; Ar2 is Ph or heteroaryl; E is C:CH, CHCH2, N-(un)substituted CHNH or CHNHCH2, CHO, CHOCH2; wherein R10 is hydrogen or (CH2)qR12 (q is 0-4) and R11 is of the formula CH2OR13, COR14, CH2COR14, is morpholino-C1-4 alkyl, pyrrolidin-1-yl-C1-4 alkyl, piperidin-1-yl-C1-4 alkyl, etc.; R12 is hydrogen, C1-4 alkylsulfanyl, C1-4 alkyl sulfonyl, hydroxy, C1-4 alkoxy, etc.; R13 is hydrogen, C1-4 alkyl, Ph, heteroaryl, C2-5 alkanoyl, etc.; R14 (un)substituted C1-4 alkyl, Ph, phenyl-C1-3 alkyl, cyano, C2-4 alkanoyloxy, HO, etc.) or pharmaceutically acceptable salts or prodrugs thereof. These compds. are useful for the treatment of a disease mediated through farnesylation of mutant ras products by inhibition of the enzyme farnesyl-protein transferase (FPTase), especially cancer. Thus, 4-{[1-(4-Fluorophenyl)-2-(imidazol-1-yl)ethyl]amino}-2-(4-fluorophenyl)benzoic acid was condensed with L-methionine Me ester hydrochloride using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, HOBT, and 4-dimethylaminopyridine in CH2Cl2 at ambient temperature for 5 h to give 80% N-{4-{[1-(4-Fluorophenyl)-2-(imidazol-1-yl)ethyl]amino}-2-(4-fluorophenyl)benzoyl}-L-methionine Me ester which was reduced by LiBH4 in THF at 0° at ambient temperature overnight to give N-benzoyl-L-methioninol derivative (II).

IT 239064-57-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocycle-containing benzamide derivs. as farnesyl transferase inhibitors for treatment of cancer)

RN 239064-57-4 CAPLUS

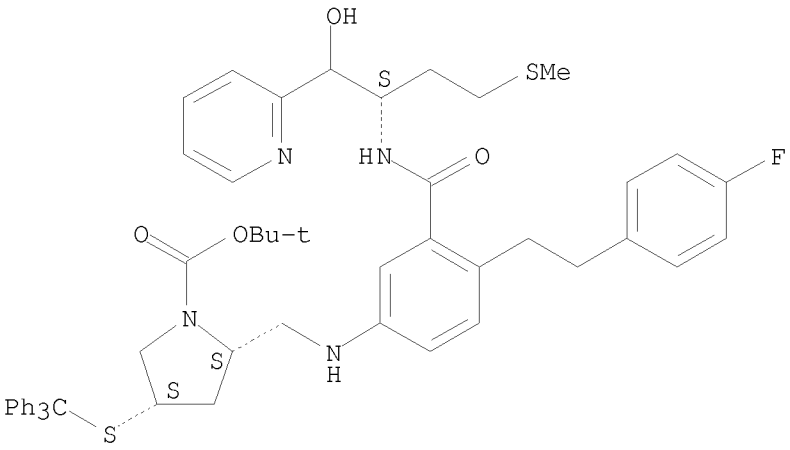
CN Benzamide, 2-[2-(4-fluorophenyl)ethyl]-N-[(1S)-1-(hydroxy-2-pyridinylmethyl)-3-(methylthio)propyl]-5-[[[(2S,4S)-4-mercapto-2-pyrrolidinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 239065-27-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycle-containing benzamide derivs. as farnesyl transferase
inhibitors for treatment of cancer)
RN 239065-27-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[2-(4-fluorophenyl)ethyl]-3-[[[(1S)-1-(hydroxy-2-pyridinylmethyl)-3-(methylthio)propyl]amino]carbonyl]phenyl]amino]methyl]-4-[(triphenylmethyl)thio]-, 1,1-dimethylethyl ester, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	133.46	320.52

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                  -18.86     -18.86

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar  6, 2009 (20090306/UP).

=> LOGOFF
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y\
'Y\' IS NOT VALID HERE
For an explanation, enter "HELP LOGOFF".

=> y
Y IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> logff
LOGFF IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y
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                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    1.40     321.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00     -18.86

STN INTERNATIONAL LOGOFF AT 11:30:30 ON 09 MAR 2009
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